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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS 4	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS 5	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS 6	JUN 29	EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS 7	JUL 09	PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 8	JUL 14	USGENE enhances coverage of patent sequence location (PSL) data
NEWS 9	JUL 27	CA/CAplus enhanced with new citing references
NEWS 10	JUL 16	GBFULL adds patent backfile data to 1855
NEWS 11	JUL 21	USGENE adds bibliographic and sequence information
NEWS 12	JUL 28	EPFULL adds first-page images and applicant-cited references
NEWS 13	JUL 28	INPADOCDB and INPAFAMDB add Russian legal status data
NEWS 14	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 15	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 16	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 17	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 18	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 19	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FILE 'HOME' ENTERED AT 17:28:13 ON 01 OCT 2009

=>  fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                             ENTRY    SESSION
FULL ESTIMATED COST                                           0.22       0.22

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STRUCTURE FILE UPDATES:   30 SEP 2009  HIGHEST RN 1186813-44-4
DICTIONARY FILE UPDATES:  30 SEP 2009  HIGHEST RN 1186813-44-4

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

  Please note that search-term pricing does apply when
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experimental property data in the original document.  For information
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http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\STNEXP\Queries\10552426claim9last.str
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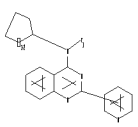

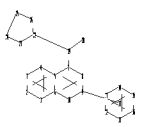

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chain nodes :
19  20
ring nodes :
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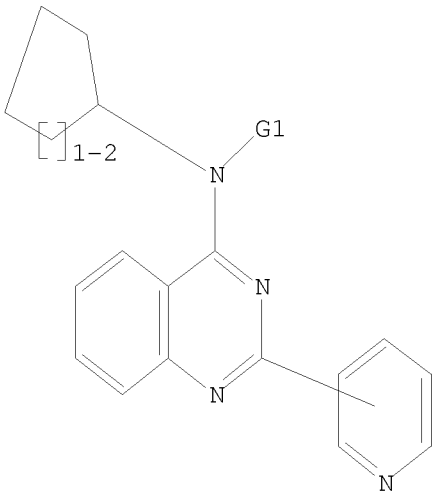
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 22 23 24 25 26
chain bonds :
7-19 19-20 19-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 22-23 22-26 23-24 24-25 25-26
exact/norm bonds :
7-19 19-20 19-22 22-23 22-26 23-24 24-25 25-26
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 20:CLASS
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:28:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 583 TO ITERATE

100.0% PROCESSED 583 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        10212 TO    13108
PROJECTED ANSWERS:               6 TO      266

L2            6 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:28:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    11994 TO ITERATE

100.0% PROCESSED    11994 ITERATIONS                                 222 ANSWERS
SEARCH TIME: 00.00.01

L3          222 SEA SSS FUL L1

=> d scan

L3   222 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
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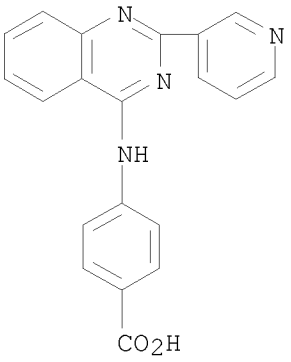
     (1:1)
MF   C20 H14 N4 O2 . Cl H
```



● HCl

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HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3   222 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   4-Quinazolinamine, N-1,3-benzodioxol-5-yl-2-(3-pyridinyl)-
MF   C20 H14 N4 O2
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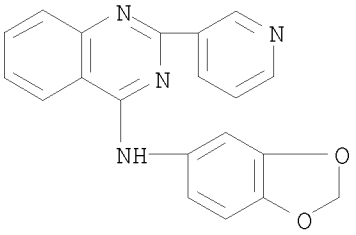

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	186.36	186.58

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FILE COVERS 1907 - 1 Oct 2009 VOL 151 ISS 14
FILE LAST UPDATED: 30 Sep 2009 (20090930/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

=> d his

(FILE 'HOME' ENTERED AT 17:28:13 ON 01 OCT 2009)

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     FILE 'REGISTRY' ENTERED AT 17:28:30 ON 01 OCT 2009
L1              STRUCTURE UPLOADED
L2            6 S L1
L3          222 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:29:24 ON 01 OCT 2009

=> s l3
L4           27 L3

=> s l3 and (pry<2004 or py<2004)
           27 L3
      4279054 PRY<2004
     24037061 PY<2004
L5           14 L3 AND (PRY<2004 OR PY<2004)

=> d 1-14 ibib abs hitstr

L5   ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2004:902403  CAPLUS
DOCUMENT NUMBER:          141:374752
TITLE:                    Heterocyclic compound modulators of kinases,
                          particularly Tie-2 kinase, and use in the treatment of
                          kinase-dependent diseases
INVENTOR(S):              Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.;
                          Schnepp, Kevin; Shi, Xian; Nuss, John
PATENT ASSIGNEE(S):       Exelixis, Inc., USA
SOURCE:                   PCT Int. Appl., 91 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     WO 2004092196         A2    20041028    WO 2004-US10858          20040408 <--
     WO 2004092196         A3    20050317
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     AU 2004230928         A1    20041028    AU 2004-230928           20040408 <--
     CA 2520323            A1    20041028    CA 2004-2520323          20040408 <--
     EP 1610774            A2    20060104    EP 2004-749893           20040408 <--
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     JP 2006523238         T     20061012    JP 2006-509820           20040408 <--
     US 20070161651        A1    20070712    US 2005-552426           20051007 <--
PRIORITY APPLN. INFO.:                       US 2003-461446P      P   20030409 <--
                                             WO 2004-US10858      A   20040408
OTHER SOURCE(S):          MARPAT 141:374752
AB   The invention provides compds. for modulating protein kinase enzymic
     activity for modulating cellular activities such as proliferation,
```
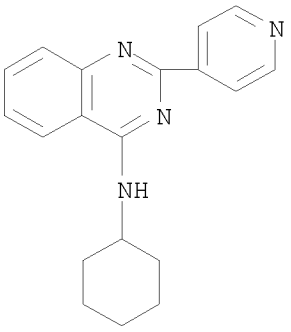
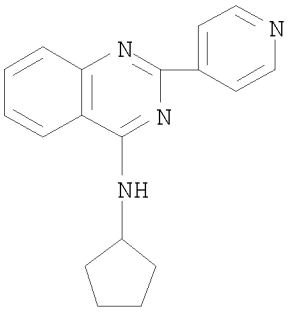

differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.

IT 332850-36-9P 781615-21-2P 781615-27-8P
781615-29-0P 781615-32-5P 781615-35-8P
781615-39-2P 781615-40-5P 781615-41-6P
781615-42-7P 781615-49-4P 781615-50-7P
781615-51-8P 781615-52-9P 781615-53-0P
781615-54-1P 781615-59-6P 781615-60-9P
781615-61-0P 781615-64-3P 781615-65-4P
781615-75-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

RN 332850-36-9 CAPLUS
CN 4-Quinazolinamine, N-cyclohexyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 781615-21-2 CAPLUS
CN 4-Quinazolinamine, N-cyclopentyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 781615-27-8 CAPLUS
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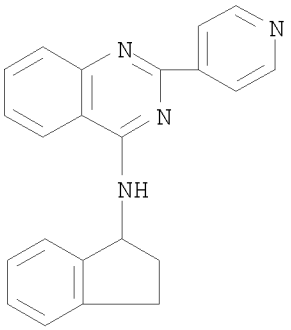
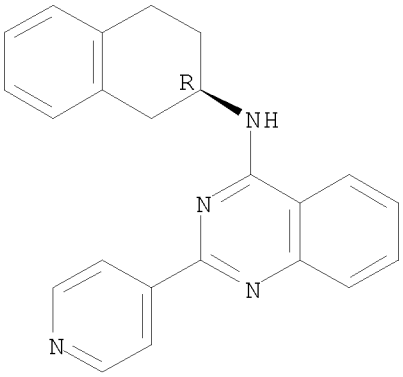


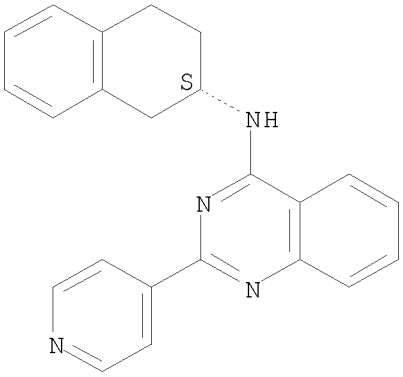
RN 781615-29-0 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



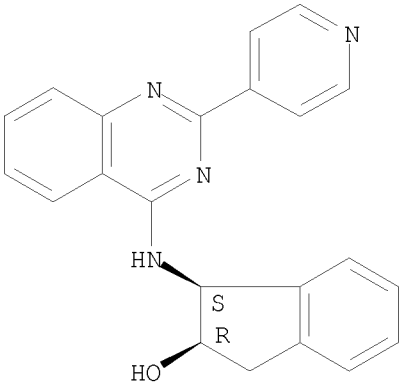
RN 781615-32-5 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



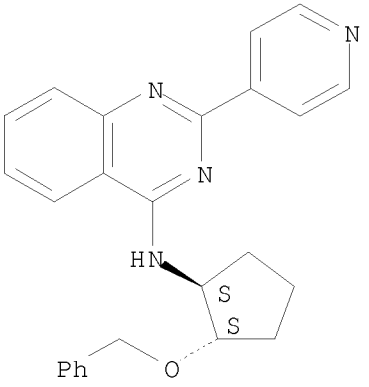
RN 781615-35-8 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.

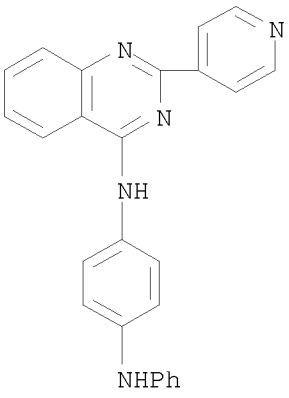


RN 781615-39-2 CAPLUS
CN 4-Quinazolinamine, N-[(1S,2S)-2-(phenylmethoxy)cyclopentyl]-2-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 781615-40-5 CAPLUS
CN 1,4-Benzenediamine, N1-phenyl-N4-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



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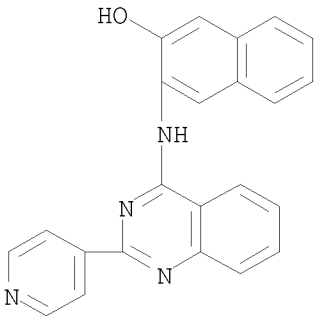
NAME)



RN 781615-42-7 CAPLUS
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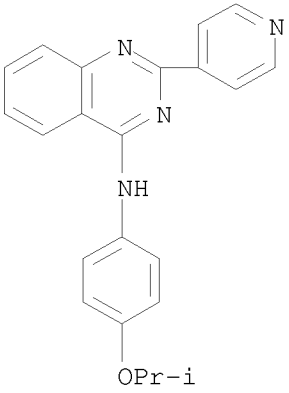

RN 781615-49-4 CAPLUS
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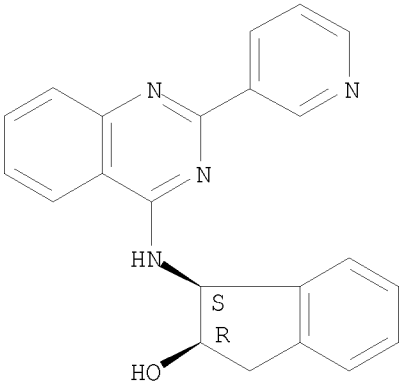
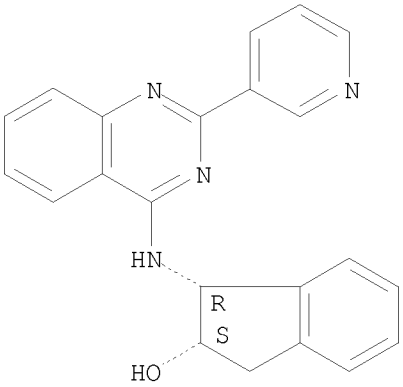
Absolute stereochemistry.



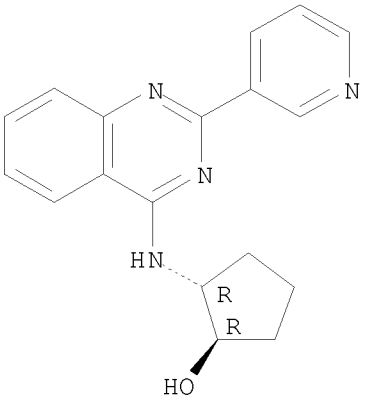
RN 781615-50-7 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, (1R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



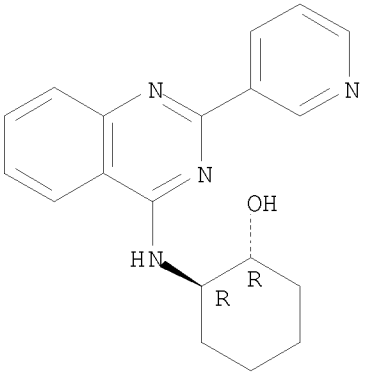
RN 781615-51-8 CAPLUS
CN Cyclopentanol, 2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, (1R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



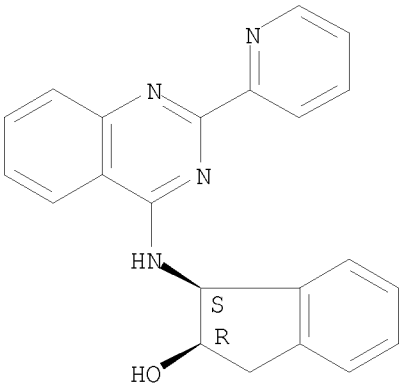
RN 781615-52-9 CAPLUS
CN Cyclohexanol, 2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, (1R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



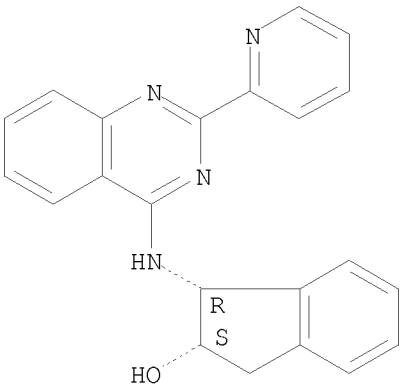
RN 781615-53-0 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(2-pyridinyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 781615-54-1 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(2-pyridinyl)-4-quinazolinyl]amino]-, (1R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 781615-59-6 CAPLUS
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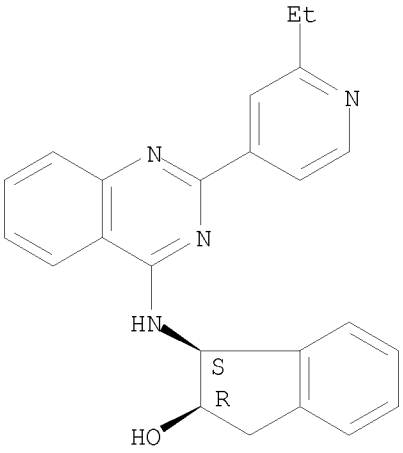
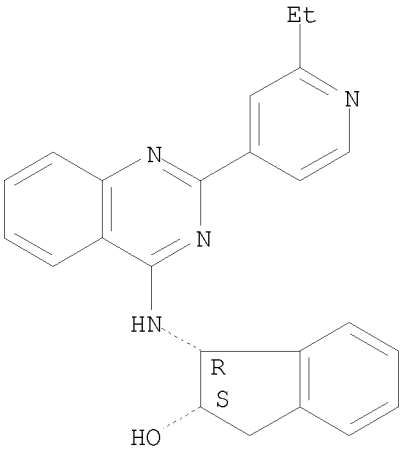
Absolute stereochemistry.



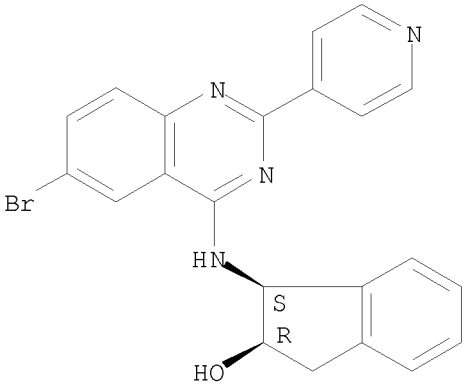
RN 781615-60-9 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2-ethyl-4-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



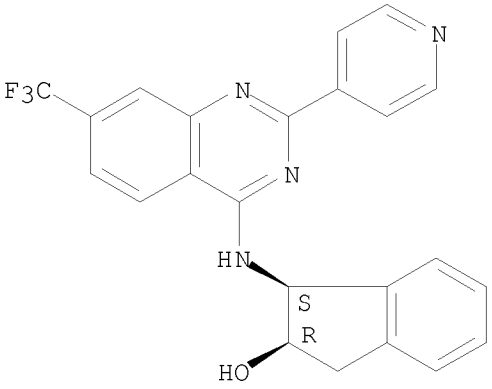
RN 781615-61-0 CAPLUS
CN 1H-Inden-2-ol, 1-[[6-bromo-2-(4-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



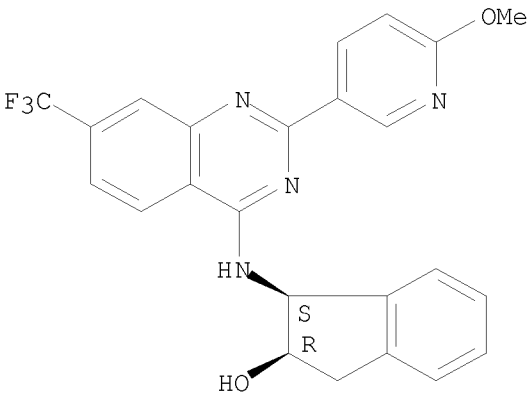
RN 781615-64-3 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(4-pyridinyl)-7-(trifluoromethyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 781615-65-4 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(6-methoxy-3-pyridinyl)-7-(trifluoromethyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

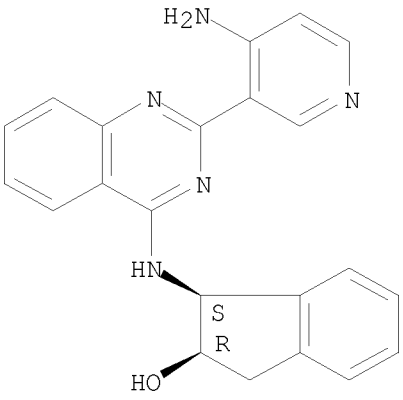
Absolute stereochemistry.



RN 781615-75-6 CAPLUS

CN 1H-Inden-2-ol, 1-[[2-(4-amino-3-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

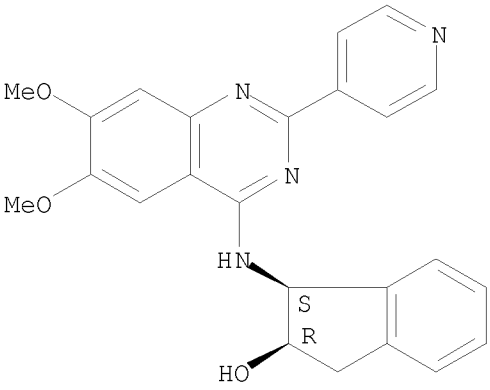
Absolute stereochemistry.



IT 781615-62-1 781615-67-6 781615-80-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

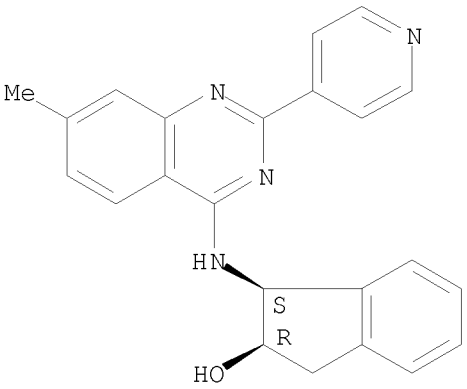
RN 781615-62-1 CAPLUS
CN 1H-Inden-2-ol, 1-[[6,7-dimethoxy-2-(4-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



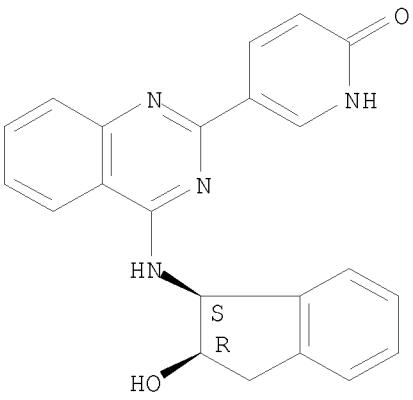
RN 781615-67-6 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[7-methyl-2-(4-pyridinyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



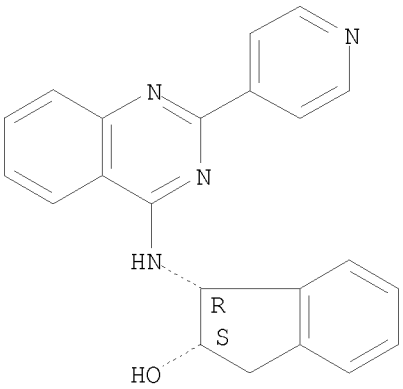
RN 781615-80-3 CAPLUS
CN 2(1H)-Pyridinone, 5-[4-[[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2-quinazolinyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 781615-84-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)
RN 781615-84-7 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (1R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

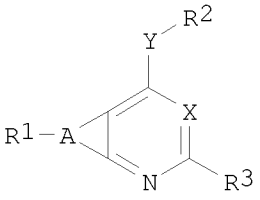


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

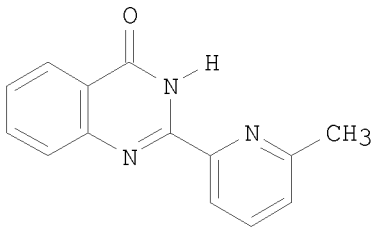
L5 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:633933 CAPLUS
DOCUMENT NUMBER: 141:174181
TITLE: Preparation of quinolines, quinazolines and thienopyrimidines as ALK-5 receptor ligands for the treatment of kidney fibrosis
INVENTOR(S): Dodic, Nerina; Gellibert, Francoise Jeanne; Hunter, Robert Neil, III
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065392	A1	20040805	WO 2004-EP650	20040126 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				

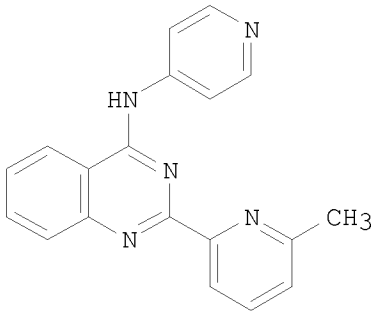
PRIORITY APPLN. INFO.: GB 2003-1719 A 20030124 <--
GB 2003-8706 A 20030415 <--
GB 2003-15519 A 20030702 <--
OTHER SOURCE(S): MARPAT 141:174181
GI



I



II



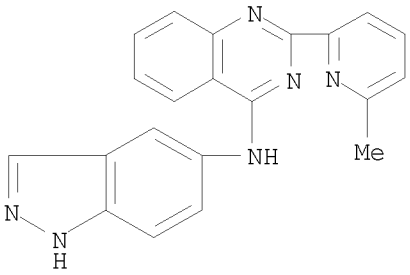
III

AB Condensed pyridines and pyrimidines (quinolines, quinazolines and thienopyrimidines) of formula I [X is N or CH; Y is -NR- or -NHCH2-; R is alkyl; A is a fused 5-7 membered carbocyclic or N/O/S-heterocyclic ring with one or more R1 groups; R1 is H, halo, NO2, alkyl, OR, CONR4R5, O(CH2)nNR4R5, (CH2)nNR4R5, or NR4R5; R2 is certain N-containing heterocyclic rings; R3 is pyridin-2-yl, C1-6alkyl-pyridin-2-yl, -pyrrol-2-yl or -thiazol-2-yl; R4 is H or alkyl; R5 is alkyl; NR4R5 can be 3-7 membered (un)saturated N/O/S-heterocycle] and their pharmaceutically acceptable salts, solvates or derivs. were synthesized. Thus, 2-aminobenzamide was coupled with 6-methyl-2-pyridinecarboxylic acid in the presence of EDCI/HOBT followed by cyclocondensation mediated by NaOH to give quinazolinone II. Chlorination of II with POCl3 and subsequent substitution of the resulting chloride with 4-aminopyridine afforded quinazoline III. These compds. are inhibitors of the transforming growth factor TGF-β, especially of activin-like kinase ALK-5 receptor, and are used in the treatment and prevention of various disease states mediated by ALK-5 kinase mechanisms such as kidney fibrosis. All the final products showed ALK5 receptor modulator activity with IC50 of 1-200 nM (16 nM for III) and TGF-β cellular activity with IC50 of 0.001-10 μM (82 nM for III). The role of ALK5 inhibitors for the treatment of photoaging was also demonstrated exptl.

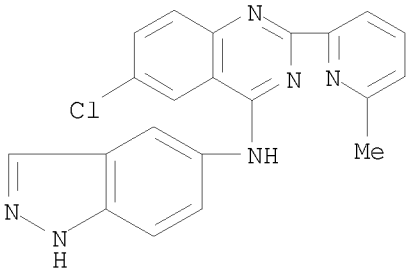
IT 733807-13-1P 733807-15-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolines, quinazolines and thienopyrimidines as ALK-5 receptor ligands for the treatment of, e.g., kidney fibrosis)

RN 733807-13-1 CAPLUS

CN 4-Quinazolinamine, N-1H-indazol-5-yl-2-(6-methyl-2-pyridinyl)- (CA INDEX NAME)



RN 733807-15-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-1H-indazol-5-yl-2-(6-methyl-2-pyridinyl)-
(CA INDEX NAME)



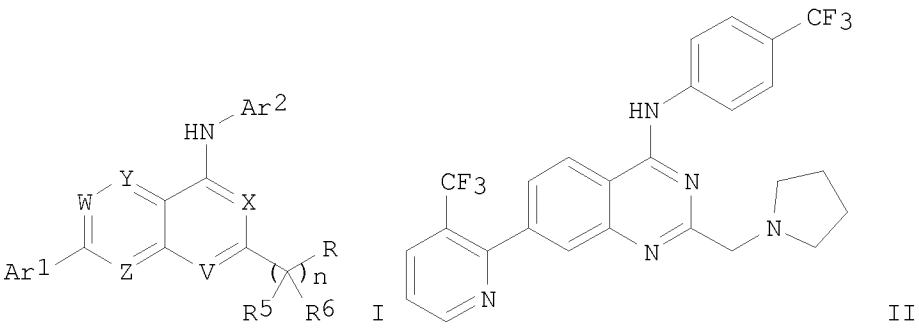
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:534191 CAPLUS
DOCUMENT NUMBER: 141:89100
TITLE: Preparation of (quinazolin-4-yl)amines as capsaicin receptor modulators
INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.; Brielmann, Harry; Caldwell, Timothy M.; De Lombaert, Stephane; Hodgetts, Kevin J.; Zheng, Xiaozhang
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055003	A1	20040701	WO 2003-US39606	20031212 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2509233 A1 20040701 CA 2003-2509233 20031212 <--
AU 2003296984 A1 20040709 AU 2003-296984 20031212 <--
US 20040156869 A1 20040812 US 2003-735607 20031212 <--
EP 1569925 A1 20050907 EP 2003-813410 20031212 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003017168 A 20051101 BR 2003-17168 20031212 <--
CN 1726205 A 20060125 CN 2003-80105815 20031212 <--
JP 2006515846 T 20060608 JP 2004-560827 20031212 <--
MX 2005006123 A 20050930 MX 2005-6123 20050608 <--
PRIORITY APPLN. INFO.: US 2002-433139P P 20021213 <--
WO 2003-US39606 W 20031212 <--
OTHER SOURCE(S): MARPAT 141:89100
GI

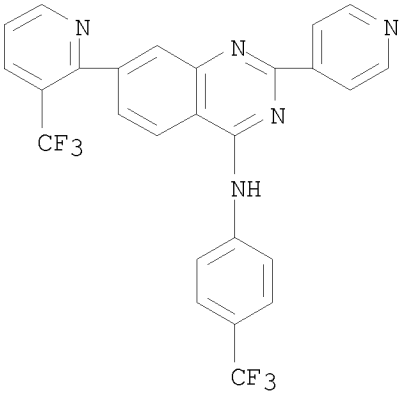


AB Title compds. I [wherein V, W, X, Y, and Z = independently N, CR1, with the proviso that at least one of V and X = N; R = OR7, NR3R4; R1 = independently H, halo, OH, CN, NH2, (halo)alkyl, (halo)alkoxy, alkoxycarbonyl, (di)alkylamino; R3 and R4 = independently H, (un)substituted (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R3 or R4 taken together with R5 or R6 forms an (un)substituted heterocycle; or NR3R4 = heterocyclyl; R5 and R6 = independently H, (un)substituted alkyl; or CR5R6 = CO; R7 = H, (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R7 taken together with R5 or R6 forms an (un)substituted heterocycle; n = 1-3; Ar1 and Ar2 = independently (un)substituted aryl, heterocyclyl; and pharmaceutically acceptable forms thereof] were prepared as modulators of capsaicin receptors, especially the vanilloid receptor 1 (VR1). For example, a solution of [2-(chloromethyl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine•HCl and pyrrolidine was heated to 100° for 1 h to give II. In competition binding assays, invention compds. exhibited Ki ≤ 1 μM for VR1 expressed in human embryonic kidney (HEK293) cells. Thus, I and their pharmaceutical compns. are useful for treating disorders associated with pathol. receptor activation, such as pain, in humans, domesticated companion animals, and livestock animals (no data).

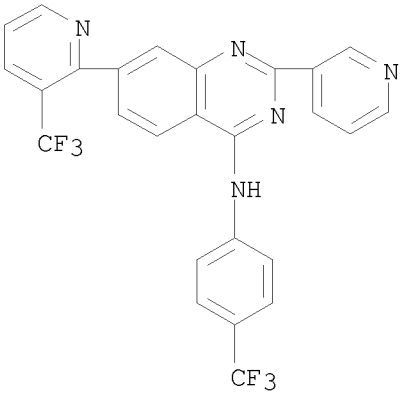
IT 573686-39-2P 573686-40-5P 573686-41-6P
573686-42-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VR1 inhibitor; preparation of (quinazolin-4-yl)amines as VR1 inhibitors for treatment of pain and other VR1-mediated conditions)

RN 573686-39-2 CAPLUS

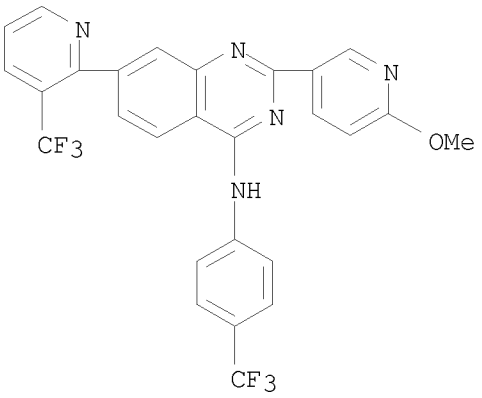
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-40-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

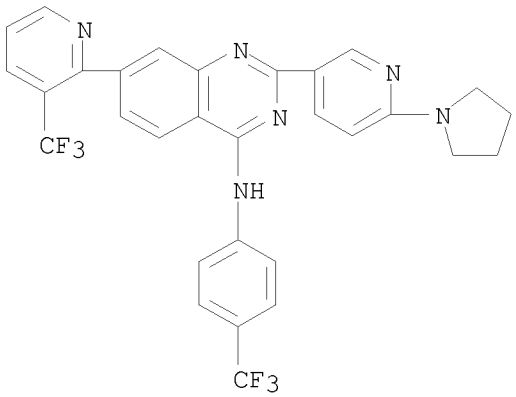


RN 573686-41-6 CAPLUS
CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS
CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-

(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



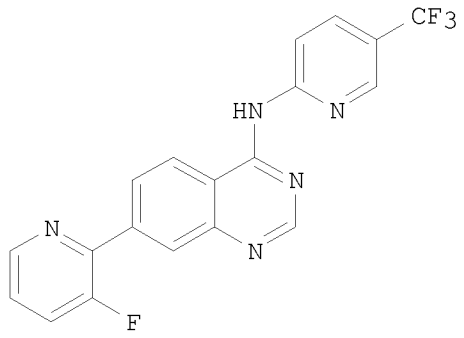
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:531361 CAPLUS
DOCUMENT NUMBER: 141:76702
TITLE: Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects
INVENTOR(S): Herzberg, Uri; Cortright, Daniel; Hurtt, Mark M.; Krause, James E.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054582	A1	20040701	WO 2003-US37209	20031119 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509616	A1	20040701	CA 2003-2509616	20031119 <--
AU 2003300791	A1	20040709	AU 2003-300791	20031119 <--
US 20040142958	A1	20040722	US 2003-718034	20031119 <--
EP 1581225	A1	20051005	EP 2003-813341	20031119 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006511535	T	20060406	JP 2004-560329	20031119 <--
PRIORITY APPLN. INFO.:			US 2002-433363P P	20021213 <--

WO 2003-US37209 W 20031119 <--

GI



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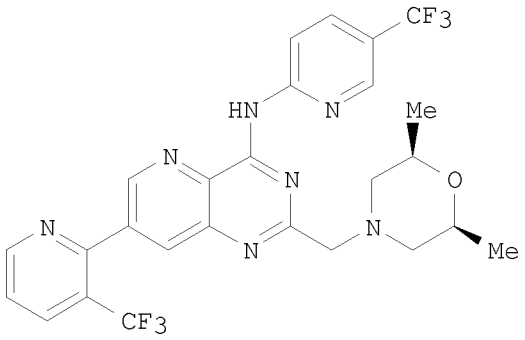


II

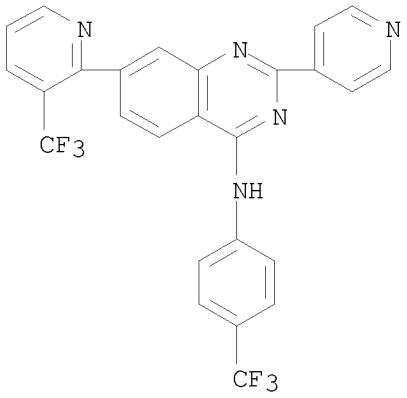
AB The invention relates to compns. comprising a nontoxic vanilloid receptor 1 (VR1) antagonist, optionally in combination with an addictive therapeutic agent, for the treatment of pain. Compns. and methods are further provided for inhibiting the development of tolerance to addictive therapeutic agents (especially narcotic analgesics) in patients treated with such agents, for minimizing adverse effects (e.g., dependence) resulting from treatment with such addictive agents, and for enhancing pain relief resulting from narcotic analgesic administration. Patients may be treated with a VR1 antagonist before, during, or after administration of the addictive therapeutic agent to prevent, decrease the severity of, delay, or treat tolerance and/or other adverse effects of the addictive agent in the patient. Examples include synthetic methods and limited data for the preparation of representation heteroarylamine VR1 antagonists, as well as capsaicin receptor binding assays and numerous pain model assays. For instance, coupling of 7-bromo-4-chloroquinazoline with 2-amino-5-trifluoromethylpyridine, followed by addition of 3-fluoro-2-tributylstannylpyridine provided I. In a bioassay testing the inhibition of tolerance to morphine, rats receiving morphine plus II exhibited statistically significantly higher withdrawal thresholds than any other treatment group, indicating that the VR1 antagonist prevents tolerance to repeated morphine dosing.

IT 573686-39-2 573686-40-5 573686-41-6
573686-42-7
RL: PRPH (Prophetic)
(Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects)

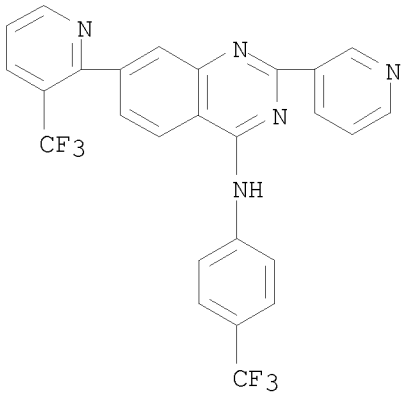
RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-

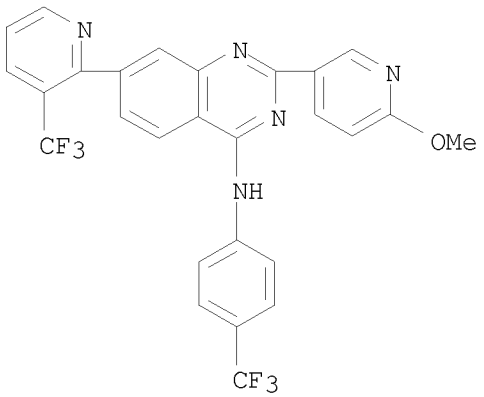
(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-40-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

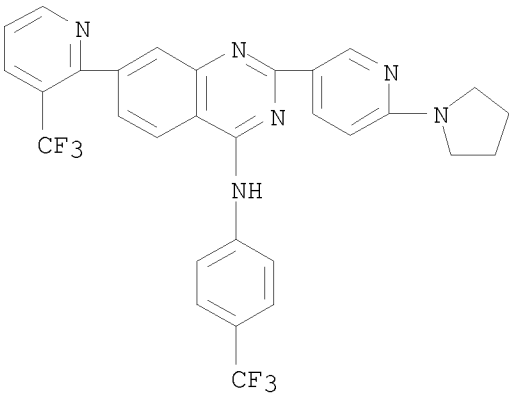


RN 573686-41-6 CAPLUS
CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS

CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:931342 CAPLUS
DOCUMENT NUMBER: 140:791
TITLE: Treatment of fibroproliferative disorders using TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003229305	A1	20031202	AU 2003-229305	20030516 <--
US 20040038856	A1	20040226	US 2003-440428	20030516 <--
EP 1511738	A1	20050309	EP 2003-726892	20030516 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
US 2002-381720P P 20020517 <--
US 2003-440428 A 20030516 <--

WO 2003-US15514 W 20030516 <--

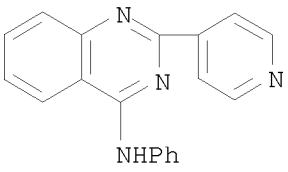
OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF-β mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF-β mediated cell activation, with a non-peptide small mol. inhibitor of TGF-β, specifically binding a TGFβ-R1 receptor kinase present in the cell or tissue.

IT 157862-99-2 627535-99-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of fibroproliferative disorders using TGF-β inhibitors)

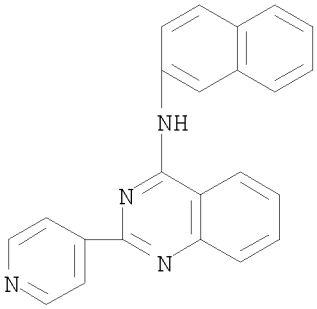
RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 627535-99-3 CAPLUS

CN 4-Quinazolinamine, N-2-naphthalenyl-2-(4-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:591156 CAPLUS

DOCUMENT NUMBER: 139:149640

TITLE: Preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain

INVENTOR(S): Bakthavatchatam, Rajagopal; Blum, Charles A.; Brielmann, Harry L.; Caldwell, Timothy M.; De Lombaert, Stephane

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 294 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2003062209	A2	20030731	WO 2003-US1563		20030117	<--
WO 2003062209	A3	20030904				
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2473796	A1	20030731	CA 2003-2473796		20030117	<--
BR 2003006982	A	20041026	BR 2003-6982		20030117	<--
EP 1471910	A2	20041103	EP 2003-703887		20030117	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
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HU 2005000200	A2	20050728	HU 2005-200		20030117	<--
JP 2005526714	T	20050908	JP 2003-562090		20030117	<--
US 20040106616	A1	20040603	US 2003-347210		20030121	<--
US 7074799	B2	20060711				
IN 2004DN01958	A	20050401	IN 2004-DN1958		20040708	<--
MX 2004006882	A	20041206	MX 2004-6882		20040715	<--
ZA 2004005641	A	20050715	ZA 2004-5641		20040715	<--
NO 2004003411	A	20040924	NO 2004-3411		20040816	<--
US 20060173003	A1	20060803	US 2006-345926		20060201	<--
US 7304059	B2	20071204				
US 20080015183	A1	20080117	US 2007-864987		20070929	<--
PRIORITY APPLN. INFO.:			US 2002-349920P	P	20020117	<--
			US 2002-350527P	P	20020122	<--
			WO 2003-US1563	W	20030117	<--
			US 2003-347210	A3	20030121	<--
			US 2006-345926	A3	20060201	
OTHER SOURCE(S):	MARPAT 139:149640					

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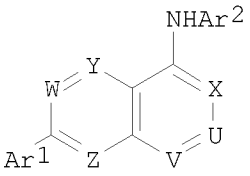


I

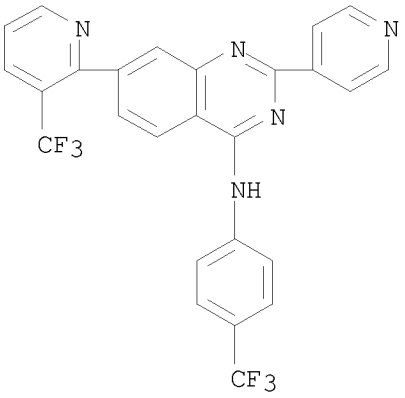
AB Substituted quinazolin-4-ylamine analogs (shown as I; variables defined below; e.g. (4-trifluoromethylphenyl)[7-(2-trifluoromethylphenyl)quinazolin-4-yl]amine) are provided. Such compds. are ligands that may be used to modulate VR1 capsaicin receptor activity in vivo or in vitro (no data), and are particularly useful in the treatment of conditions associated with pathol. receptor activation in humans, domesticated companion animals and livestock animals.

Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies. For I; V, X, W, Y and Z are each independently N or CR1, with the proviso that at least one of V and X is N; U is N or CR2, with the proviso that if V and X are N, then U is CR2; R1 = H, halogen, hydroxy, amino, C1-C8 alkyl, haloC1-C8alkyl, C1-C8alkoxy, haloC1-C8alkoxy and mono- and di(C1-C8alkyl)amino. R2 = (i) H, halogen, cyano, or -COOH; (ii) C1-C8alkanoyl, C2-C8alkanone, or C1-C8carbamate, each of which is (un)substituted with 1-9 substituents = Rb, or (iii) -Rc-M-A-Ry, wherein: Rc is C0-C3alkyl; M is a bond, N(Rz), O, S, SO2, (C:O)pN(Rz), N(Rz)(C:O)p, SO2N(Rz), or N(Rz)SO2, wherein p is 0 or 1; A is a bond or C1-C8alkyl, (un)substituted with 1-3 Rb. Ry and Rz, if present, are: (a) independently H, C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C6-C10arylC1-C8alkyl, C2-C8alkyl ether, C1-C8alkoxy, a 4- to 10-membered carbocycle or heterocycle, or joined to R1 to form a 4- to 10-membered carbocycle or heterocycle, wherein each Ry and Rz = (un)substituted with 1-9 Rb; or (b) joined to form a 4- to 10-membered carbocycle or heterocycle that is (un)substituted with 1-9 Rb; Ar2 is a 5- to 7-membered aromatic heterocycle, (un)substituted with 1-3 LRa. Ar1 is a 5- to 10-membered aromatic carbocycle or heterocycle, (un)substituted with 1-3 LRa; L = bond, -O-, -C(O)-, -OC(O)-, -C(O)O-, -O-C(O)O-, -S(O)m-, -NRx-, -C(O)NHRx-, -NHRxC(O)-, -NRxS(O)m-, -S(O)mNRx- and -N[S(O)mRx]S(O)m-; wherein m = 0, 1 and 2; and Rx = H and C1-C8alkyl; Ra = (i) H, halogen, cyano and nitro; and (ii) C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C2-C8alkyl ether, 3- to 10-membered heterocycles, mono- and di(C1-C8alkyl)amino and (3- to 10-membered heterocycle)C1-C6 alkyl, each of which is (un)substituted with 1-9 Rb. Rb = hydroxy, halogen, amino, aminocarbonyl, amido, cyano, nitro, C1-C8alkyl, C1-C8alkoxy, C1-C8alkylthio, C1-C8alkyl ether, hydroxyC1-C8alkyl, haloC1-C8alkyl, Ph, phenyl(C1-C8alkyl), mono and di(C1-C6 alkyl)amino, (SO2)C1-C8alkyl, 5- to 7-membered heterocycle and (5- to 7-membered heterocycle)(C1-C8alkyl). Although the methods of preparation are not claimed, many example prepns. and characterization data for >500 examples of I are included.

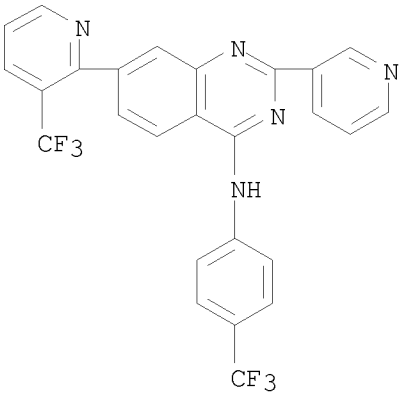
IT 573686-39-2P, [2-Pyridin-4-yl-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-40-5P, [2-Pyridin-3-yl-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-41-6P, [2-(6-Methoxypyridin-3-yl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-42-7P, [2-[6-(Pyrrolidin-1-yl)pyridin-3-yl]-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate and receptor detector; preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain and for detecting receptors)

RN 573686-39-2 CAPLUS

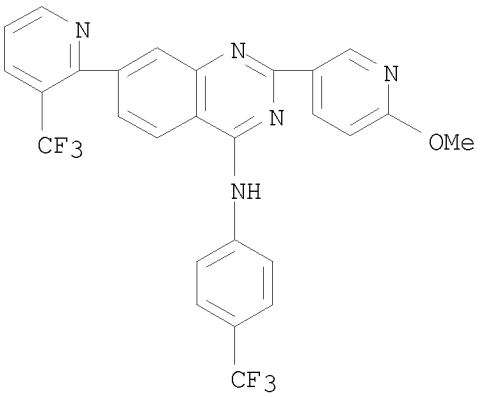
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-40-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

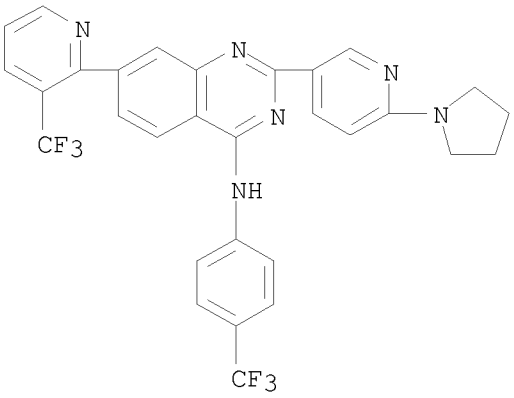


RN 573686-41-6 CAPLUS
CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS
CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX

NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:845560 CAPLUS
DOCUMENT NUMBER: 137:353051
TITLE: Preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6476031	B1	20021105	US 1999-383825	19990827 <--
US 6184226	B1	20010206	US 1998-141916	19980828 <--
CN 1152867	C	20040609	CN 1999-811659	19990827 <--
AT 342256	T	20061115	AT 1999-949568	19990827 <--
ES 2274642	T3	20070516	ES 1999-949568	19990827 <--
US 6277989	B1	20010821	US 2000-525034	20000314 <--
US 20030069248	A1	20030410	US 2001-969936	20011002 <--
US 20020161010	A1	20021031	US 2001-972582	20011005 <--
US 6903096	B2	20050607		
US 20050171123	A1	20050804	US 2005-53121	20050207 <--
US 7345045	B2	20080318		
US 20050220784	A1	20051006	US 2005-136242	20050523 <--
PRIORITY APPLN. INFO.:			US 1998-141916 A2	19980828 <--
			US 1999-383825 A3	19990827 <--
			US 2001-969936 B1	20011002 <--
			US 2001-972582 A3	20011005 <--

OTHER SOURCE(S): MARPAT 137:353051
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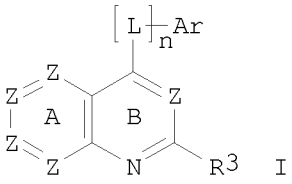
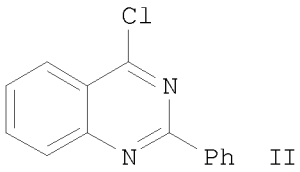
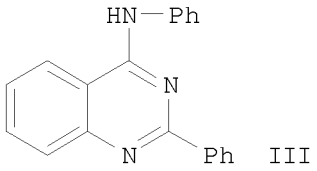


I



II



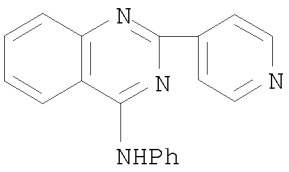
III

AB Title compds. I [R3 = (un)substituted aromatic; Ar = (un)substituted monocyclic or polycyclic aromatic; L = S(CR22)m, NR1SO2(CR22)l, SO2(CR22)m, etc.; Z = CR2, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R2 = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38-α kinase inhibition studies, 9-examples of compds. I exhibited IC50 values in the range of 0.1-1.5 μM. Also, the specificity of compds. I for p38-α was assessed by their ability to inhibit other kinases, e.g., p38-y JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC50 values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.

IT 157862-99-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors)

RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 28 THERE ARE 28 CAPLUS RECORDS THAT CITE THIS RECORD (44 CITINGS)

REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:754381 CAPLUS

DOCUMENT NUMBER: 137:279208

TITLE: Preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors

INVENTOR(S): Nagarathnam, Dhanapalan; Asgari, Davoud; Shao, Jianxing; Liu, Xiao-Gao; Khire, Uday; Wang, Chunguang;

	Hart, Barry; Boyer, Stephen; Weber, Olaf; Lynch, Mark; Bankston, Donald
PATENT ASSIGNEE(S):	Bayer Corporation, USA
SOURCE:	PCT Int. Appl., 74 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076976	A2	20021003	WO 2002-US8659	20020322 <--
WO 2002076976	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002250394	A1	20021008	AU 2002-250394	20020322 <--
US 20030125344	A1	20030703	US 2002-103566	20020322 <--
EP 1370553	A2	20031217	EP 2002-719303	20020322 <--
EP 1370553	B1	20060510		
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JP 2004524350	T	20040812	JP 2002-576234	20020322 <--
JP 4329003	B2	20090909		
AT 325795	T	20060615	AT 2002-719303	20020322 <--
TW 261055	B	20060901	TW 2002-91105591	20020322 <--
ES 2264477	T3	20070101	ES 2002-719303	20020322 <--
US 20030220357	A1	20031127	US 2002-252369	20020924 <--
CA 2507381	A1	20040408	CA 2003-2507381	20030924 <--
WO 2004029045	A2	20040408	WO 2003-US29538	20030924 <--
WO 2004029045	A3	20040722		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270785	A1	20040419	AU 2003-270785	20030924 <--
MX 2003008658	A	20050411	MX 2003-8658	20030924 <--
EP 1542992	A2	20050622	EP 2003-752497	20030924 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006508068	T	20060309	JP 2004-540124	20030924 <--
EP 1953152	A1	20080806	EP 2008-103780	20030924 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK				
HK 1061030	A1	20060908	HK 2004-104115	20040609 <--
MX 2005003273	A	20051018	MX 2005-3273	20050323 <--
US 20060142313	A1	20060629	US 2006-354977	20060216 <--
US 20060142314	A1	20060629	US 2006-354978	20060216 <--

PRIORITY APPLN. INFO.:

US 2001-277974P	P	20010323 <--
US 2001-315341P	P	20010829 <--
US 2001-315338P	P	20010829 <--
US 2002-103565	B1	20020322 <--
US 2002-103566	B1	20020322 <--
WO 2002-US8659	W	20020322 <--
US 2002-252369	A	20020924 <--
EP 2003-752497	A3	20030924 <--
WO 2003-US29538	W	20030924 <--

OTHER SOURCE(S): CASREACT 137:279208; MARPAT 137:279208

GI

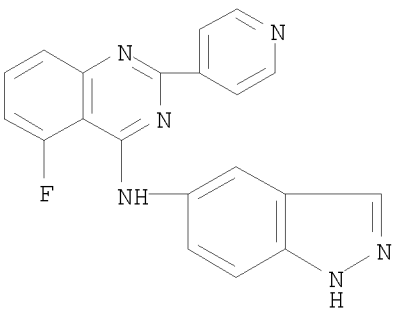
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = N, CR17; X = alkyl, alkoxy, thioalkoxy, amido, etc.; p = 0-3; a, c = CR5, NR6, etc.; b = CR5, N; A = H, halo, carboxy, cyano, alkoxy, etc.; B = (un)substituted up to 3 times in any position by R5; R1,6 = H, alkyl; R2-5 = H, alkyl, alkenyl; R17 = H, alkyl, CN with provisions] were prepared For instance, 2,4-Dichloroquinazoline (preparation given) was reacted with 5-aminoindazole (THF/H2O, KOAc) to give 2-(N-(1H-indazol-5-yl)amino)-4-chloroquinazoline in 92% yield. This was coupled to 2,4-dichlorophenylboronic acid (ethylene glycol di-Me ether, Pd(dppf)Cl2, NaHCO3, reflux) to give II. I are rho-kinase inhibitors and are useful for inhibiting tumor growth, treating erectile dysfunction and coronary heart disease.

IT 461037-54-7P, 5-Fluoro-N-(1H-indazol-5-yl)-2-(4-pyridinyl)-4-quinazolinamine 461037-55-8P 461037-80-9P, N-(1H-Indazol-5-yl)-7-methyl-2-(3-pyridinyl)-4-quinazolinamine 461037-81-0P 461037-82-1P, N-(1H-Indazol-5-yl)-7-methyl-2-(4-pyridinyl)-4-quinazolinamine 461037-83-2P 461038-03-9P, 7-Chloro-N-(1H-indazol-5-yl)-2-(3-pyridinyl)-4-quinazolinamine 461038-04-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(rho-kinase inhibitor; preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors)

RN 461037-54-7 CAPLUS

CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)- (CA INDEX NAME)

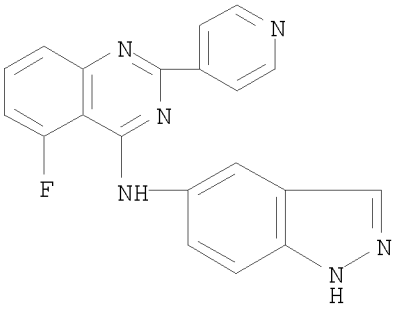


RN 461037-55-8 CAPLUS

CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

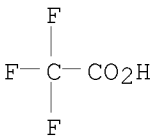
CM 1

CRN 461037-54-7
CMF C20 H13 F N6

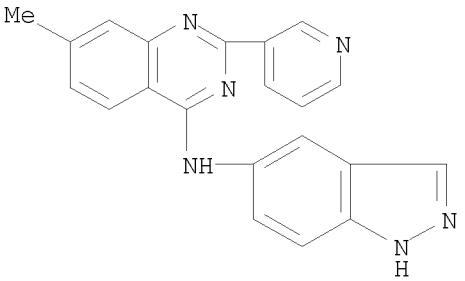


CM 2

CRN 76-05-1
CMF C2 H F3 O2



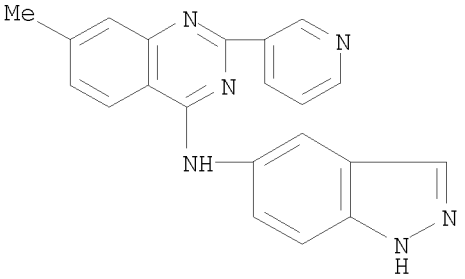
RN 461037-80-9 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)- (CA INDEX NAME)



RN 461037-81-0 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

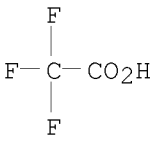
CM 1

CRN 461037-80-9
CMF C21 H16 N6

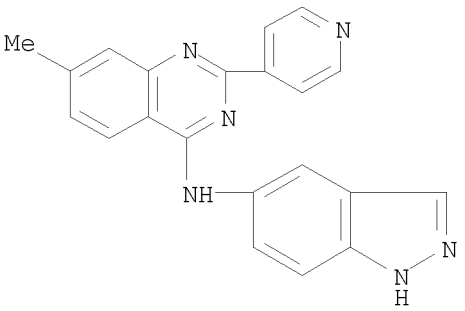


CM 2

CRN 76-05-1
CMF C2 H F3 O2



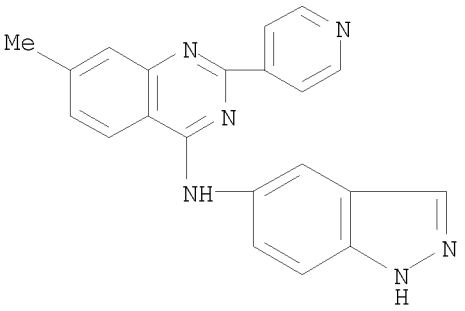
RN 461037-82-1 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-83-2 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

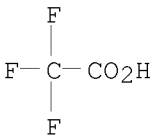
CM 1

CRN 461037-82-1
CMF C21 H16 N6

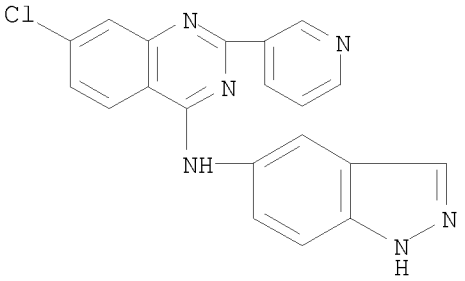


CM 2

CRN 76-05-1
CMF C2 H F3 O2



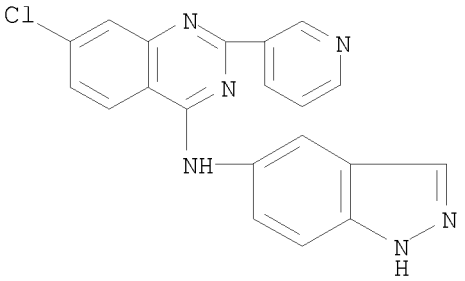
RN 461038-03-9 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)- (CA INDEX NAME)



RN 461038-04-0 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

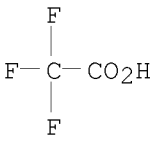
CM 1

CRN 461038-03-9
CMF C20 H13 Cl N6



CM 2

CRN 76-05-1
CMF C2 H F3 O2

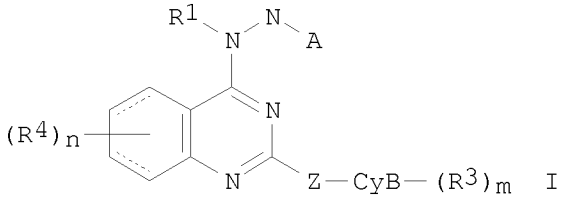


OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:158388 CAPLUS
DOCUMENT NUMBER: 136:200203
TITLE: Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont. of U.S. Ser. No. 60,444, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020025968	A1	20020228	US 2001-952769	20010914 <--
PRIORITY APPLN. INFO.:			US 1998-60444 B1	19980415 <--

OTHER SOURCE(S): MARPAT 136:200203
GI



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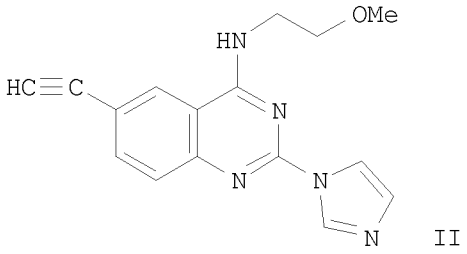


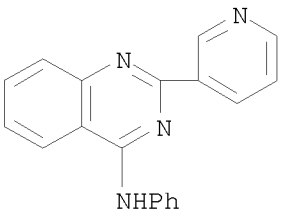
II

AB Title compds. I [wherein R1 = H or alkyl; Y = alkylene; A = ORa or S(O)pRa; Ra = alkylhydroxy; p = 0-2; Z = single bond, methylene, ethylene, vinylene, or ethynylene; CyB = heterocyclic ring; R3 = H, alkyl, alkoxy, halo, or CF3; R4 = H, alkyl, alkoxy, CO2H, carboxy ester, alkanoylamino, alkylsulfonylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, ethynyl, hydroxymethyl, acetyl, or (un)substituted sulfamoyl, carbamoyl, etc.; m and n = independently 1-2; or pharmaceutically acceptable salts or hydrates thereof] were prepared for inhibiting neoplastic cells and related conditions. For example, amination of 2,4-dichloro-6-(2-triethylsilylethynyl)quinazolin-2,4-dione (preparation given) with 2-methoxyethylamine in CHCl3, followed by addition of imidazole in EtOH and deprotection using NBu4F, afforded II. I are useful in the treatment of precancerous and cancerous lesions, including malignant melanomas, breast cancer, and colon cancer (no data).

IT 157862-81-2 157862-82-3 157862-99-2
157863-22-4 1102370-06-8 1102370-08-0
1102370-09-1 1102370-10-4 1102370-11-5
1102370-12-6 1102370-13-7 1102370-14-8
1102370-17-1 1102370-18-2 1102370-19-3
1102370-20-6 1102370-44-4
RL: PRPH (Prophetic)
(Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

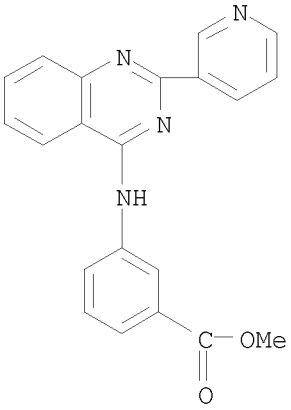
RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)

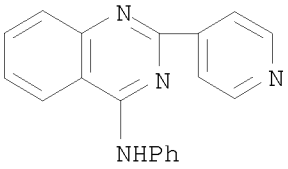


RN 157862-82-3 CAPLUS

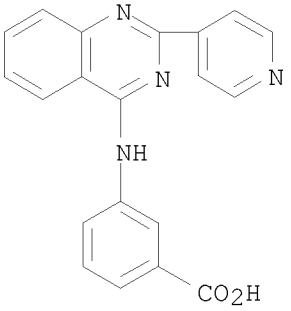
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



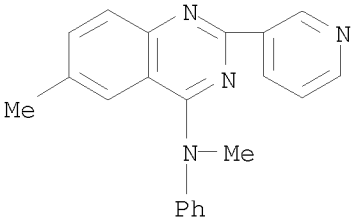
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

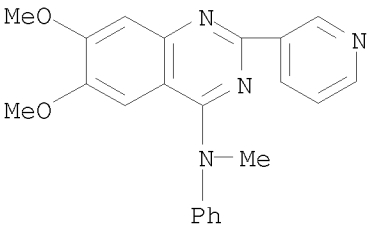


RN 1102370-06-8 CAPLUS
CN 4-Quinazolinamine, N,6-dimethyl-N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



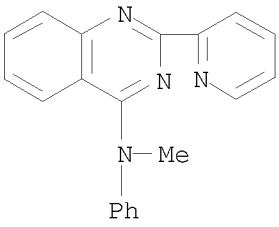
RN 1102370-08-0 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



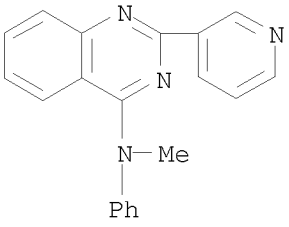
●2 HCl

RN 1102370-09-1 CAPLUS
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



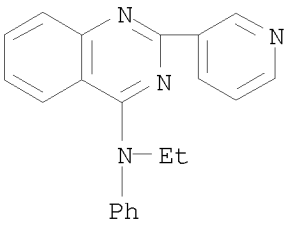
●2 HCl

RN 1102370-10-4 CAPLUS
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



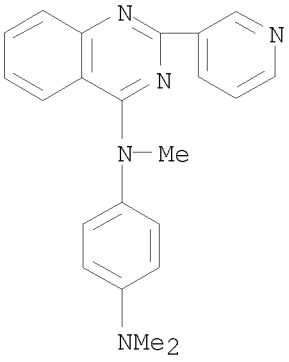
●2 HCl

RN 1102370-11-5 CAPLUS
CN 4-Quinazolinamine, N-ethyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



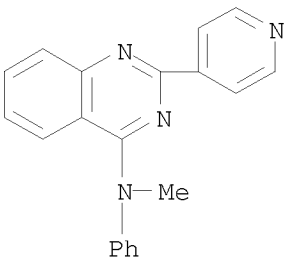
●2 HCl

RN 1102370-12-6 CAPLUS
CN 1,4-Benzenediamine, N1,N1,N4-trimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl]-, hydrochloride (1:3) (CA INDEX NAME)



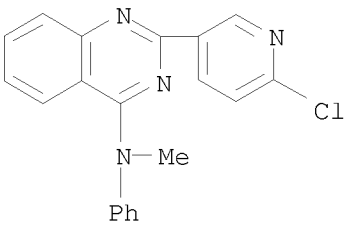
●3 HCl

RN 1102370-13-7 CAPLUS
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

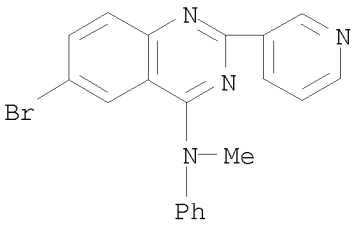


●2 HCl

RN 1102370-14-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-methyl-N-phenyl- (CA INDEX NAME)

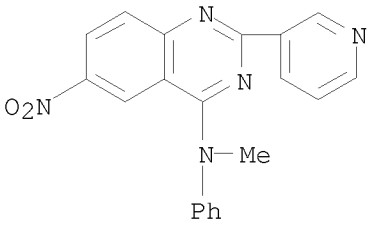


RN 1102370-17-1 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



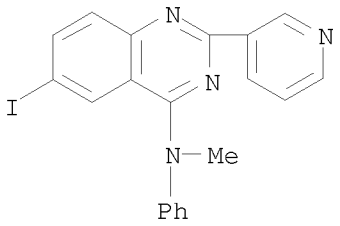
●2 HCl

RN 1102370-18-2 CAPLUS
CN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



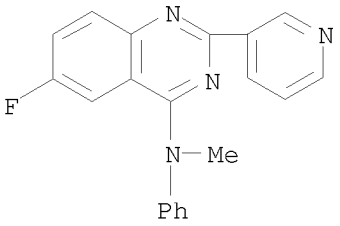
●2 HCl

RN 1102370-19-3 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



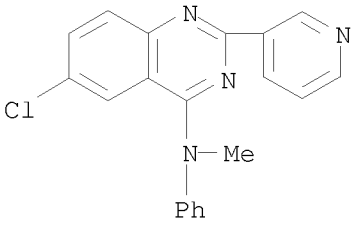
●2 HCl

RN 1102370-20-6 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 1102370-44-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

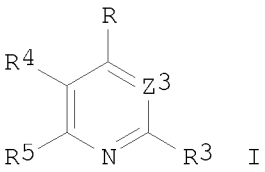
L5 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:161275 CAPLUS
DOCUMENT NUMBER: 132:194387
TITLE: Preparation of quinazolines as p38-α kinase and TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012497	A2	20000309	WO 1999-US19846	19990827 <--
WO 2000012497	A3	20000629		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6184226	B1	20010206	US 1998-141916	19980828 <--
CA 2342250	A1	20000309	CA 1999-2342250	19990827 <--
AU 9962413	A	20000321	AU 1999-62413	19990827 <--
AU 771947	B2	20040408		
EP 1107959	A2	20010620	EP 1999-949568	19990827 <--
EP 1107959	B1	20061011		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
BR 9913648	A	20020102	BR 1999-13648	19990827 <--
JP 2002523502	T	20020730	JP 2000-567525	19990827 <--
CN 1152867	C	20040609	CN 1999-811659	19990827 <--
AT 342256	T	20061115	AT 1999-949568	19990827 <--
ES 2274642	T3	20070516	ES 1999-949568	19990827 <--
MX 2001002175	A	20030714	MX 2001-2175	20010228 <--
HK 1035897	A1	20070601	HK 2001-106212	20010904 <--

PRIORITY APPLN. INFO.: US 1998-141916 A 19980828 <--
WO 1999-US19846 W 19990827 <--

OTHER SOURCE(S): MARPAT 132:194387
GI



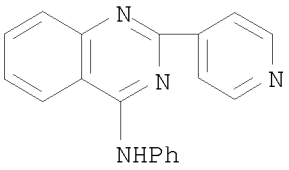
I

AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

IT 157862-99-2
RL: PRPH (Prophetic)
(Preparation of quinazolines as p38-α kinase and TGF-β inhibitors)

RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

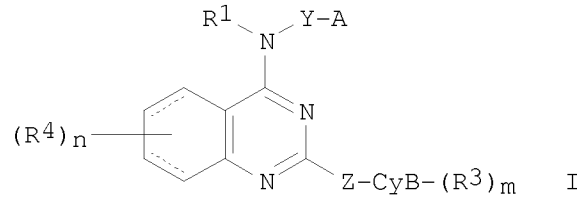


OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:795361 CAPLUS
DOCUMENT NUMBER: 124:29779
ORIGINAL REFERENCE NO.: 124:5715a,5718a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473 B2	19920715 <--
			US 1993-76431 B2	19930614 <--

OTHER SOURCE(S): MARPAT 124:29779
GI



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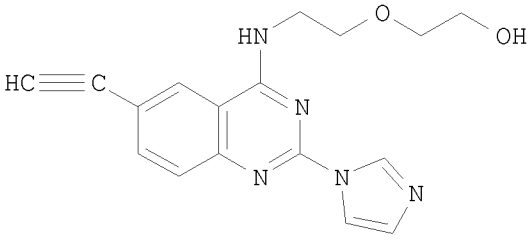


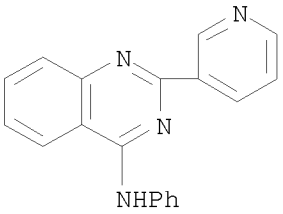
II

AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

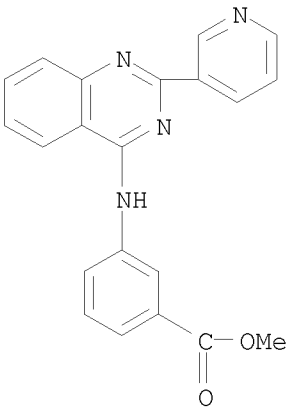
IT 157862-81-2P 157862-82-3P 157862-99-2P
157863-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

RN 157862-81-2 CAPLUS

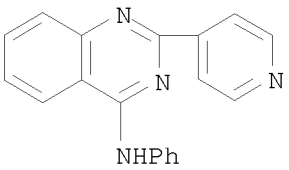
CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



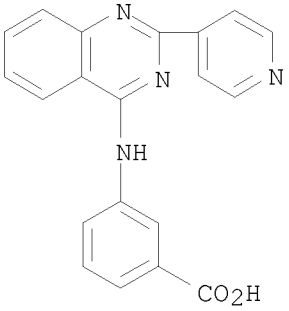
RN 157862-82-3 CAPLUS
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



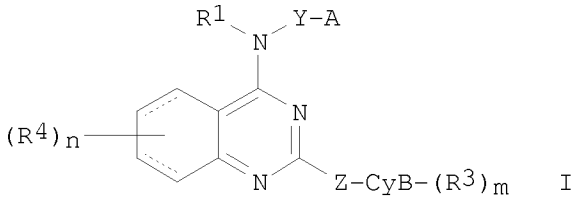
OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS

RECORD (29 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:761961 CAPLUS
DOCUMENT NUMBER: 123:340173
ORIGINAL REFERENCE NO.: 123:61059a,61062a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436233	A	19950725	US 1993-154518	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715 <--
US 1993-76431 B2 19930614 <--
OTHER SOURCE(S): CASREACT 123:340173; MARPAT 123:340173
GI



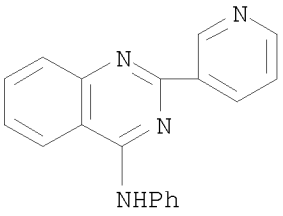
I

AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)l, (ii) OR0 or S(O)pR0 in which R0 is R0A or R0B; R0A is CyA-(R2)l; R0B is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF3, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is,

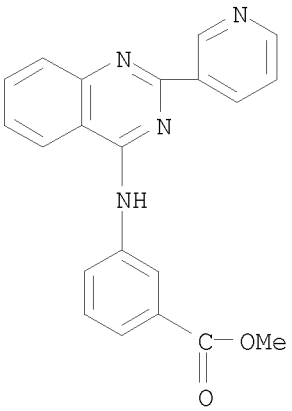
e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms,
two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.

IT 157862-81-2P 157862-82-3P 157862-99-2P
157863-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

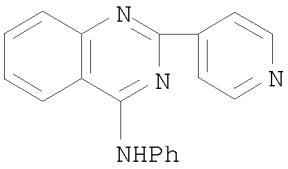
RN 157862-81-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



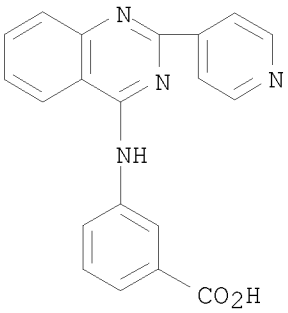
RN 157862-82-3 CAPLUS
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



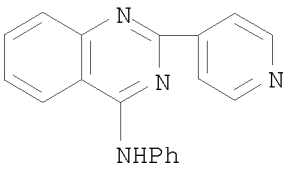
RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:746792 CAPLUS
DOCUMENT NUMBER: 123:132021
ORIGINAL REFERENCE NO.: 123:23145a,23148a
TITLE: Discovery of Potent Cyclic GMP Phosphodiesterase Inhibitors. 2-Pyridyl- and 2-Imidazolylquinazolines Possessing Cyclic GMP Phosphodiesterase and Thromboxane Synthesis Inhibitory Activities
AUTHOR(S): Lee, Sung J.; Konishi, Yoshitaka; Yu, Dingwei T.; Miskowski, Tamara A.; Riviello, Christopher M.; Macina, Orest T.; Frierson, Manton R.; Kondo, Kigen; Sugitani, Masafumi; et al.
CORPORATE SOURCE: Biofor Inc., Waverly, PA, 18471, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3547-57
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Moderate cyclic GMP phosphodiesterase (cGMP-PDE, PDE V) inhibitor 2-phenyl-4-anilinoquinazoline (I) was identified utilizing MultiCASE assisted drug design (MCADD) technol. Modification of I was conducted at the 2-, 4-, and 6-positions of the quinazoline ring for enhancement of cGMP-PDE inhibitory activity. The 6-substituted 2-(imidazol-1-yl)quinazolines are 1000 times more potent in in vitro PDE V enzyme assay than the well-known inhibitor zaprinast. The 6-substituted derivs. of 2-(3-pyridyl)quinazoline and 2-(imidazol-1-yl)quinazoline exhibited more than 1000-fold selectivity for PDE V over the other four PDE isoenzymes. In addition, 3 cGMP-PDE inhibitors were found to have an addnl. property of thromboxane synthesis inhibitory activity.
IT 157862-99-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

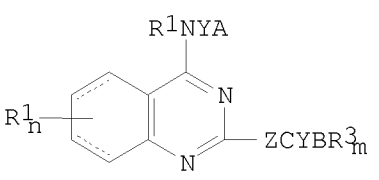


OS.CITING REF COUNT: 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

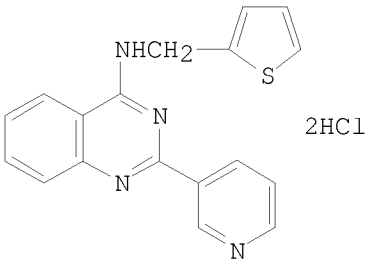
L5 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:605373 CAPLUS
DOCUMENT NUMBER: 121:205373
ORIGINAL REFERENCE NO.: 121:37397a,37400a
TITLE: 4-aminoquinazoline derivatives, and their use as medicine
INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest Taras; Kondo, Kigen; Yu, Dingwei Tim
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <--
EP 579496	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 A 19920715 <--
US 1993-76431 A 19930614 <--
OTHER SOURCE(S): MARPAT 121:205373
GI



I



2HCl

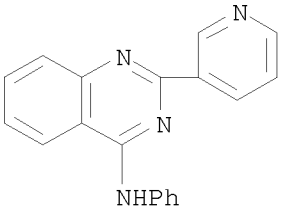
II

AB The title compds. I wherein R1 is H or alkyl; Y is bond or alkylene; A is (i) -CyAR2, (ii) -OR0 or -S(O)pR0, R0 = H, alkyl, etc., p is 0-2, (iii) -NR16R17, R16, R17 are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R2 is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR5, in which R5 is H or alkyl, (5) -NR6R7, R6, R7 are H, alkyl, (6) -SO2NR6R7, (7) halogen, (8) CF3, (9) NO2 or (10) CF3O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R3 is H, alkyl, alkoxy, halogen or CF3; R4 is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA2 synthetase. Thus, a representative prepared compound II had inhibitory activity IC50 of 3.6 x 10-7 on cGMP-PDE.

IT 157862-81-2P 157862-82-3P 157862-99-2P
157863-22-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiovascular agents)

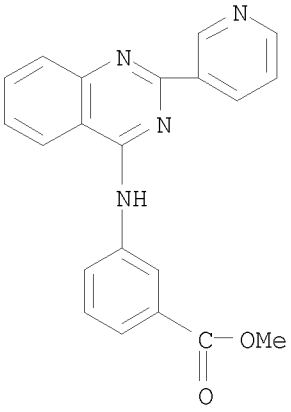
RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



RN 157862-99-2 CAPLUS
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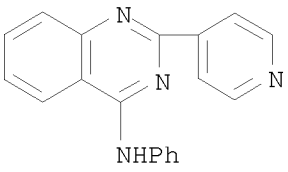

RN 157863-22-4 CAPLUS
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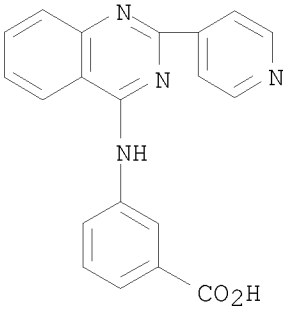

OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

=> fil stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	87.44	274.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.48	-11.48

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FILE CONTAINS CURRENT INFORMATION.
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=> FIL STNGUIDE
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FULL ESTIMATED COST                                       1.75     275.77

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CA SUBSCRIBER PRICE                                       0.00     -11.48

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LAST RELOADED: Sep 25, 2009 (20090925/UP).

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:Y
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FULL ESTIMATED COST                                       0.14     275.91

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CA SUBSCRIBER PRICE                                       0.00     -11.48

STN INTERNATIONAL LOGOFF AT 17:50:04 ON 01 OCT 2009



Connecting via Winsock to STN



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LOGINID:SSPTABEM1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  DEC 01  ChemPort single article sales feature unavailable
 NEWS  3  JUN 01  CAS REGISTRY Source of Registration (SR) searching
                  enhanced on STN
 NEWS  4  JUN 26  NUTRACEUT and PHARMAML no longer updated
 NEWS  5  JUN 29  IMSCOPROFILE now reloaded monthly
 NEWS  6  JUN 29  EPFULL adds Simultaneous Left and Right Truncation
                  (SLART) to AB, MCLM, and TI fields
 NEWS  7  JUL 09  PATDPAFULL adds Simultaneous Left and Right
                  Truncation (SLART) to AB, CLM, MCLM, and TI fields
 NEWS  8  JUL 14  USGENE enhances coverage of patent sequence location
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(PSL) data
NEWS 9 JUL 27 CA/CAplus enhanced with new citing references
NEWS 10 JUL 16 GBFULL adds patent backfile data to 1855
NEWS 11 JUL 21 USGENE adds bibliographic and sequence information
NEWS 12 JUL 28 EPFULL adds first-page images and applicant-cited references
NEWS 13 JUL 28 INPADOCDB and INPAFAMDB add Russian legal status data
NEWS 14 AUG 10 Time limit for inactive STN sessions doubles to 40 minutes
NEWS 15 AUG 18 COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 16 AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 17 AUG 24 CA/CAplus enhanced with legal status information for U.S. patents
NEWS 18 SEP 09 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 19 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:07:24 ON 01 OCT 2009

=> fil reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.22 0.22

FILE 'REGISTRY' ENTERED AT 18:07:33 ON 01 OCT 2009
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STRUCTURE FILE UPDATES: 30 SEP 2009 HIGHEST RN 1186813-44-4
DICTIONARY FILE UPDATES: 30 SEP 2009 HIGHEST RN 1186813-44-4

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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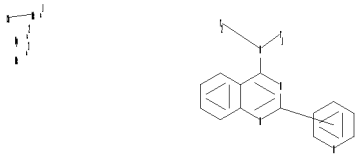
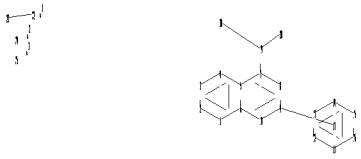
Uploading C:\Program Files\STNEXP\Queries\10552426claim30latest.str

chain nodes :
19 20 22 23 24 25 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
7-19 19-20 19-30 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16
exact/norm bonds :
7-19 19-20 19-30 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 11 :

G1:H,Ak

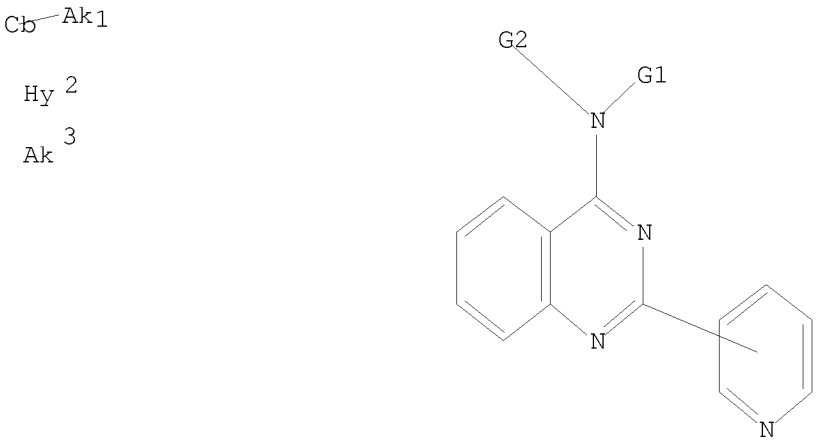
G2:[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:CLASS 20:CLASS
22:CLASS 23:Atom 24:Atom 25:CLASS 30:CLASS
Generic attributes :
23:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
24:

Saturation : Saturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak
G2 [@1],[@2],[@3]

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 2354 TO ITERATE

85.0% PROCESSED 2000 ITERATIONS 16 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 44170 TO 49990
PROJECTED ANSWERS: 116 TO 636

L2 16 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 18:08:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 47188 TO ITERATE

100.0% PROCESSED 47188 ITERATIONS 467 ANSWERS
SEARCH TIME: 00.00.04

L3 467 SEA SSS FUL L1

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	185.88	186.10

FILE 'CAPLUS' ENTERED AT 18:08:13 ON 01 OCT 2009
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FILE COVERS 1907 - 1 Oct 2009 VOL 151 ISS 14
FILE LAST UPDATED: 30 Sep 2009 (20090930/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

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       4279054 PRY<2004
      24037061 PY<2004
L4          18 L3 AND (PRY<2004 OR PY<2004)

=> d 1-18 ibib abs hitstr
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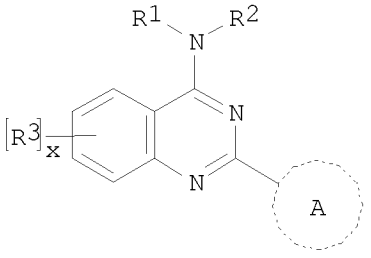
L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1012671 CAPLUS
DOCUMENT NUMBER: 145:377381
TITLE: Preparation of quinazolines as modulators of ion channels
INVENTOR(S): Gonzalez, Jesus E.; Wilson, Dean M.; Termin, Andreas P.; Grootenhuis, Peter D. J.; Zhang, Yulian; Petzoldt, Benjamin J.; Fanning, Lev Tyler Dewey; Neubert, Timothy D.; Tung, Roger D.; Martinborough, Esther; Zimmerman, Nicole
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: U.S. Pat. Appl. Publ., 351 pp., Cont.-in-part of U.S. Ser. No. 792,688.

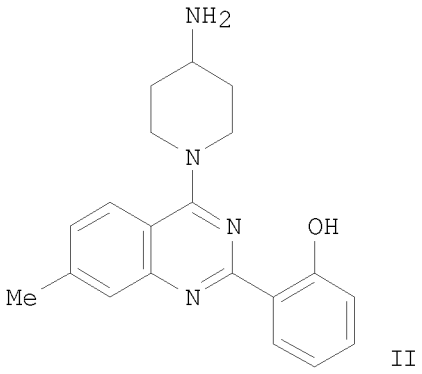
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 20060217377	A1	20060928	US 2004-935008		20040902	<--
US 20040248890	A1	20041209	US 2004-792688		20040303	<--
ZA 2005007979	A	20070328	ZA 2005-7979		20040303	<--
PRIORITY APPLN. INFO.:			US 2003-451458P	P	20030303	<--
			US 2003-463797P	P	20030418	<--
			US 2004-792688	A2	20040303	

OTHER SOURCE(S): MARPAT 145:377381
GI



I

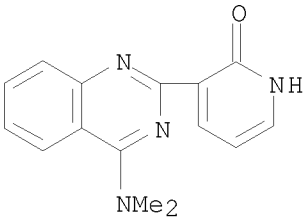


II

AB The title compds. [I; NR1R2 = (un)substituted 3-12 membered monocyclic or bicyclic (un)saturated ring having 0-3 heteroatoms selected from N, S or O; ring A = (un)substituted 5-7 membered aryl, 8-10 membered bicyclic aryl having 0-3 heteroatoms selected from N, S or O, etc.; x = 0-4; R3 = QR (wherein Q = a bond, alkylidene wherein up to two non-adjacent methylene units are optionally replaced by S, O, CS, etc.; R = halo, NO2, CN, etc.); with provisos], useful as inhibitors of voltage-gated sodium channels and calcium channels, were prepared Thus, reacting 2-(4-chloro-7-methylquinazolin-2-yl)phenol with 4-aminopiperidine in the presence of Et3N in CH2Cl2 afforded 89% II. Representative compds. I were found to possess desired N-type calcium channel modulation activity and selectivity (no specific data given). Also, representative compds. I were found to possess desired voltage gated sodium channel activity and selectivity (no specific data given). The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

IT 757985-00-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as modulators of ion channels for treating pain associated with various diseases)

RN 757985-00-5 CAPLUS
CN 2(1H)-Pyridinone, 3-[4-(dimethylamino)-2-quinazolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:76302 CAPLUS
DOCUMENT NUMBER: 142:170068
TITLE: Small molecule toll-like receptor (TLR) antagonists
INVENTOR(S): Lipford, Grayson B.; Forsbach, Alexandra; Zepp, Charles M.
PATENT ASSIGNEE(S): Coley Pharmaceutical G.m.b.H., Germany; Coley Pharmaceutical Group, Inc.
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007672	A2	20050127	WO 2004-US19714	20040618 <--
WO 2005007672	A3	20050915		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2528774	A1	20050127	CA 2004-2528774	20040618 <--
US 20050119273	A1	20050602	US 2004-872196	20040618 <--
US 7410975	B2	20080812		
EP 1635846	A2	20060322	EP 2004-776820	20040618 <--
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CN 1809357	A	20060726	CN 2004-80017064	20040618 <--
BR 2004011514	A	20060801	BR 2004-11514	20040618 <--
ZA 2005010028	A	20070328	ZA 2005-10028	20040618 <--
JP 2007524615	T	20070830	JP 2006-517471	20040618 <--
MX 2005013922	A	20060224	MX 2005-13922	20051216 <--
IN 2006KN00153	A	20070706	IN 2006-KN153	20060119 <--
US 20070232622	A1	20071004	US 2006-543314	20061004 <--
IN 2008KN03435	A	20090213	IN 2008-KN3435	20080822 <--
PRIORITY APPLN. INFO.:			US 2003-480588P P	20030620 <--
			US 2004-556007P P	20040323
			US 2004-872196 A1	20040618

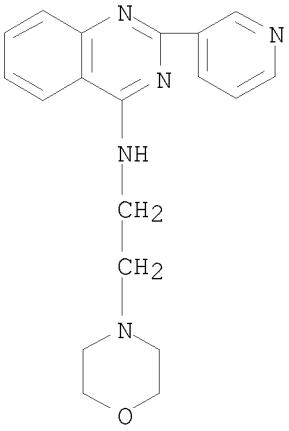
WO 2004-US19714 W 20040618
IN 2006-KN153 A3 20060119

OTHER SOURCE(S): MARPAT 142:170068

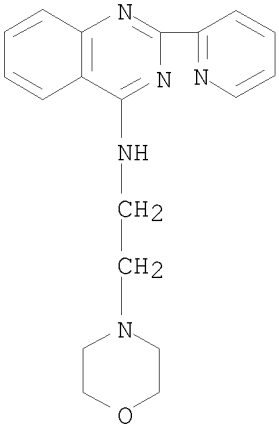
AB The invention provides methods and compns. useful for modulating signaling through Toll-like receptors (TLR). The methods involve contacting a TLR-expressing cell with a small mol. having a core structure including at least two rings. Certain of the compds. are 4-primary amino quinolines. Many of the compds. and methods are useful specifically for inhibiting immune stimulation involving at least one of TLR9, TLR8, TLR7, and TLR3. The methods may have use in the treatment of autoimmunity, inflammation, allergy, asthma, graft rejection, graft vs. host disease, infection, sepsis, cancer, and immunodeficiency.

IT 453577-90-7P 831226-67-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(small mol. toll-like receptor antagonists such as 4-primary amino quinolines to inhibit immunostimulatory signaling in response to antigens such as nucleic acids for treatment of autoimmune disorders)

RN 453577-90-7 CAPLUS
CN 4-Quinazolinamine, N-[2-(4-morpholinyl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 831226-67-6 CAPLUS
CN 4-Quinazolinamine, N-[2-(4-morpholinyl)ethyl]-2-(2-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902403 CAPLUS
DOCUMENT NUMBER: 141:374752
TITLE: Heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in the treatment of kinase-dependent diseases
INVENTOR(S): Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.; Schnepp, Kevin; Shi, Xian; Nuss, John
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092196	A2	20041028	WO 2004-US10858	20040408 <--
WO 2004092196	A3	20050317		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230928	A1	20041028	AU 2004-230928	20040408 <--
CA 2520323	A1	20041028	CA 2004-2520323	20040408 <--
EP 1610774	A2	20060104	EP 2004-749893	20040408 <--
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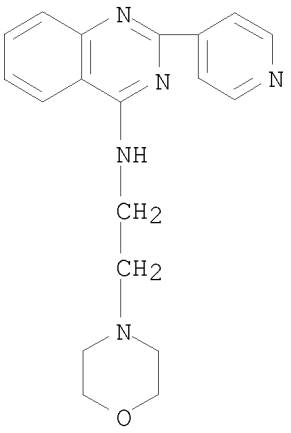
JP 2006523238	T	20061012	JP 2006-509820	20040408 <--
US 20070161651	A1	20070712	US 2005-552426	20051007 <--
PRIORITY APPLN. INFO.:			US 2003-461446P P	20030409 <--
			WO 2004-US10858 A	20040408

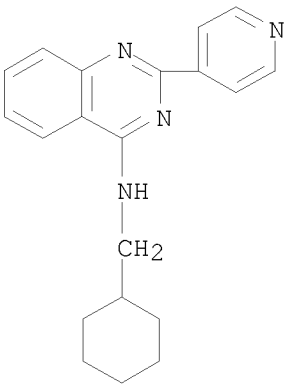
OTHER SOURCE(S): MARPAT 141:374752
AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.
IT 18590-70-0P 781615-22-3P 781615-23-4P
781615-24-5P 781615-25-6P 781615-26-7P
781615-36-9P 781615-37-0P 781615-38-1P
781615-48-3P 781615-55-2P 781615-57-4P
781615-76-7P 781615-77-8P 781615-78-9P
781615-82-5P 781615-83-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

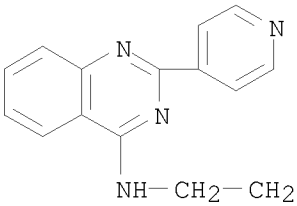
RN 18590-70-0 CAPLUS
CN 4-Quinazolinamine, N-[2-(4-morpholinyl)ethyl]-2-(4-pyridinyl)- (CA INDEX NAME)



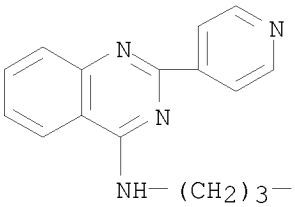
RN 781615-22-3 CAPLUS
CN 4-Quinazolinamine, N-(cyclohexylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



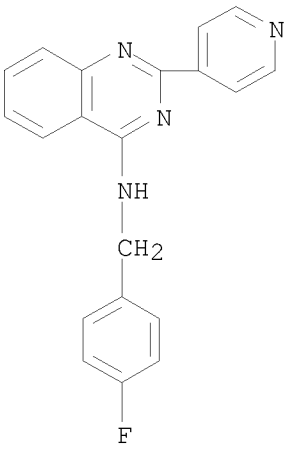
RN 781615-23-4 CAPLUS
CN Ethanol, 2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



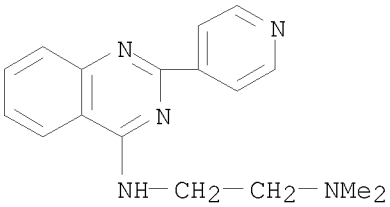
RN 781615-24-5 CAPLUS
CN 1-Propanol, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



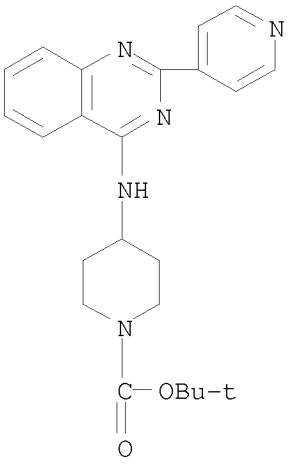
RN 781615-25-6 CAPLUS
CN 4-Quinazolinamine, N-[(4-fluorophenyl)methyl]-2-(4-pyridinyl)- (CA INDEX NAME)



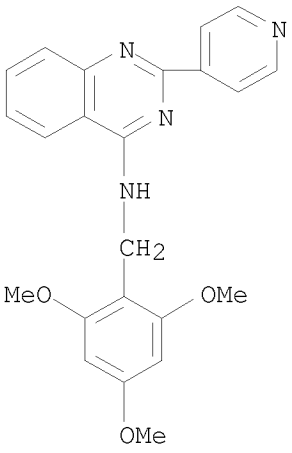
RN 781615-26-7 CAPLUS
CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



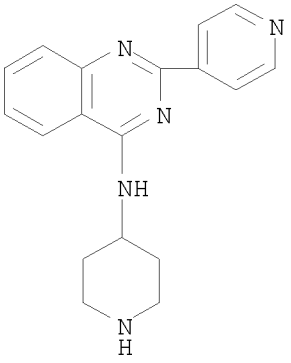
RN 781615-36-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 781615-37-0 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[(2,4,6-trimethoxyphenyl)methyl]-
(CA INDEX NAME)

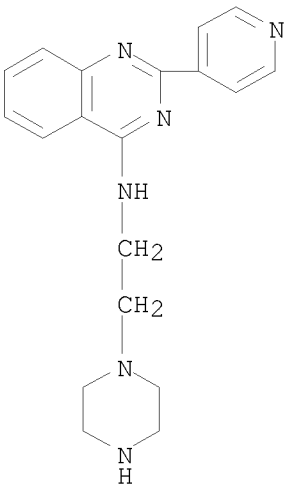


RN 781615-38-1 CAPLUS
CN 4-Quinazolinamine, N-4-piperidinyl-2-(4-pyridinyl)- (CA INDEX NAME)



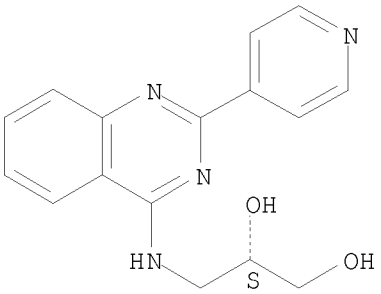
RN 781615-48-3 CAPLUS

CN 4-Quinazolinamine, N-[2-(1-piperazinyl)ethyl]-2-(4-pyridinyl)- (CA INDEX NAME)



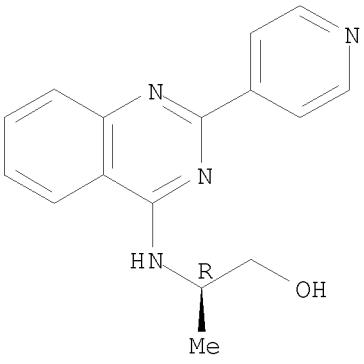
RN 781615-55-2 CAPLUS
CN 1,2-Propanediol, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



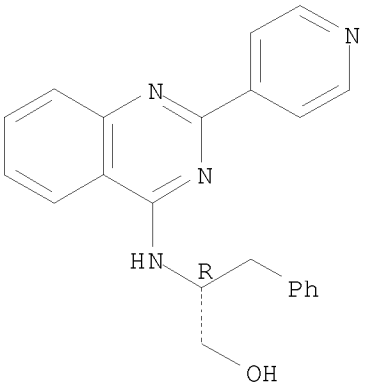
RN 781615-57-4 CAPLUS
CN 1-Propanol, 2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



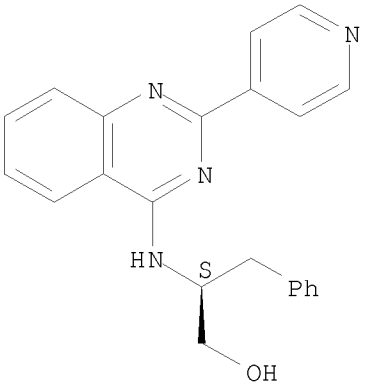
RN 781615-76-7 CAPLUS
CN Benzenepropanol, β-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (βR)- (CA INDEX NAME)

Absolute stereochemistry.

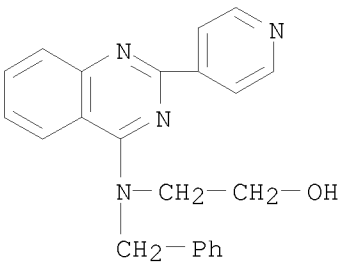


RN 781615-77-8 CAPLUS
CN Benzenepropanol, β-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (βS)- (CA INDEX NAME)

Absolute stereochemistry.

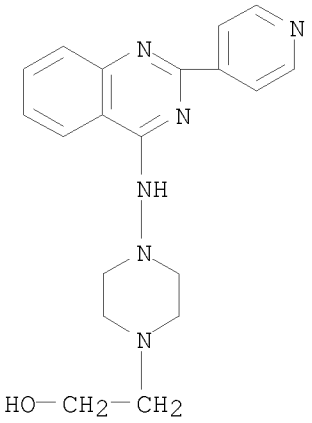


RN 781615-78-9 CAPLUS
CN Ethanol, 2-[(phenylmethyl)[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

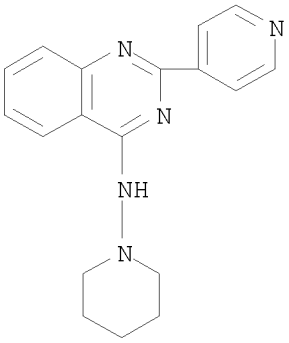


RN 781615-82-5 CAPLUS
CN 1-Piperazineethanol, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX

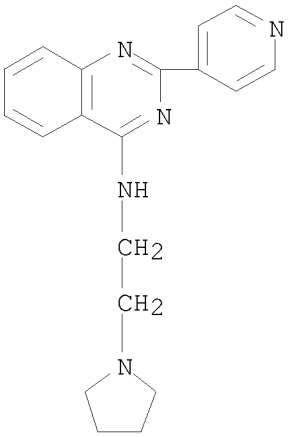
NAME)



RN 781615-83-6 CAPLUS
CN 4-Quinazolinamine, N-1-piperidinyl-2-(4-pyridinyl)- (CA INDEX NAME)

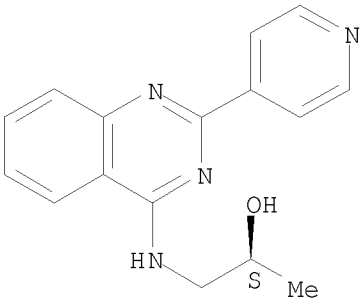


IT 781615-20-1 781615-58-5 781615-66-5
781615-69-8 781615-70-1 781615-71-2
781615-72-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)
RN 781615-20-1 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



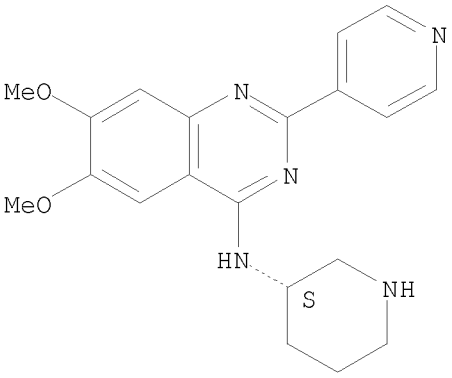
RN 781615-58-5 CAPLUS
CN 2-Propanol, 1-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



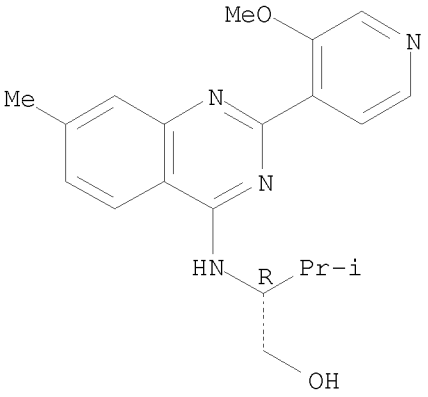
RN 781615-66-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(3S)-3-piperidinyl-2-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



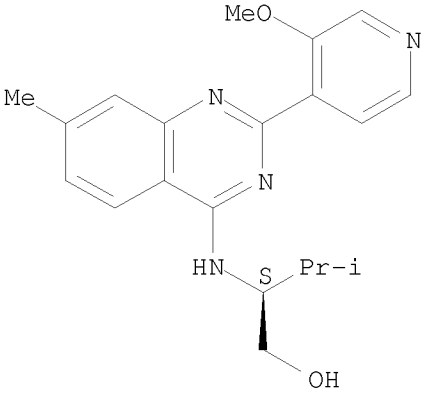
RN 781615-69-8 CAPLUS
CN 1-Butanol, 2-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-3-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



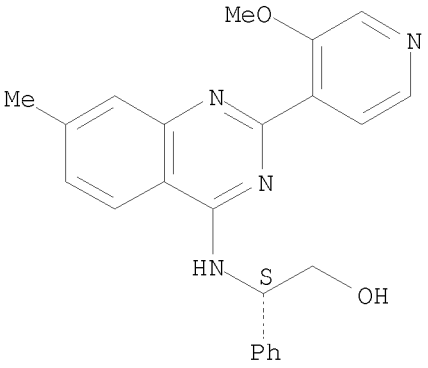
RN 781615-70-1 CAPLUS
CN 1-Butanol, 2-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 781615-71-2 CAPLUS
CN Benzeneethanol, β-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-, (βS)- (CA INDEX NAME)

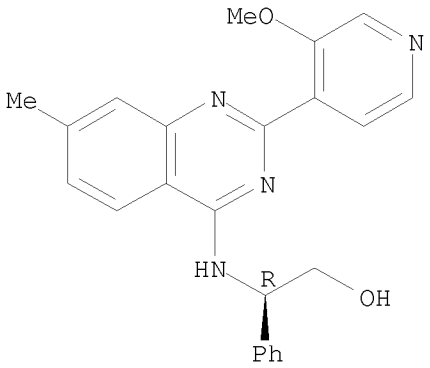
Absolute stereochemistry.



RN 781615-72-3 CAPLUS

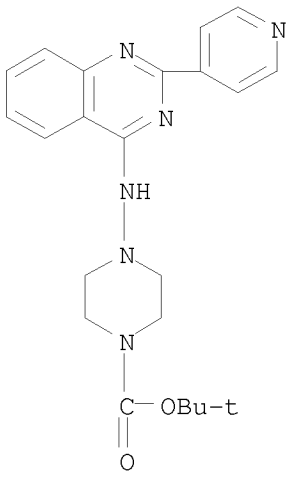
CN Benzeneethanol, β-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-, (βR)- (CA INDEX NAME)

Absolute stereochemistry.



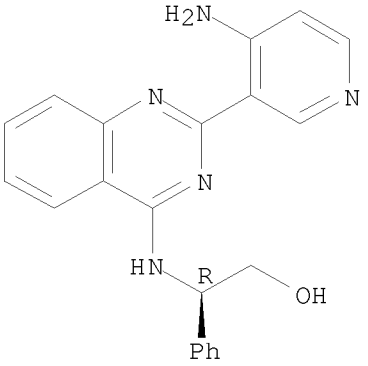
IT 781615-85-8P 781615-99-4P 781616-00-0P
781616-01-1P 781616-02-2P 781616-03-3P
781616-05-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

RN 781615-85-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



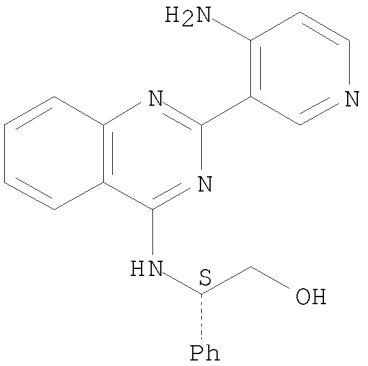
RN 781615-99-4 CAPLUS
CN Benzeneethanol, β-[[2-(4-amino-3-pyridinyl)-4-quinazolinyl]amino]-, (βR)- (CA INDEX NAME)

Absolute stereochemistry.



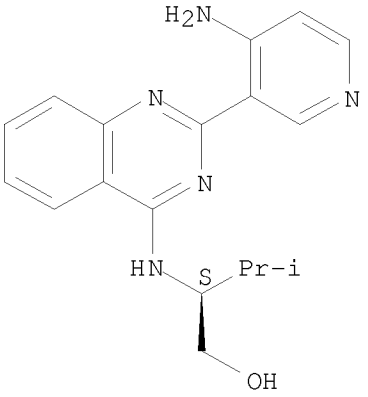
RN 781616-00-0 CAPLUS
CN Benzeneethanol, β-[[2-(4-amino-3-pyridinyl)-4-quinazolinyl]amino]-, (βS)- (CA INDEX NAME)

Absolute stereochemistry.



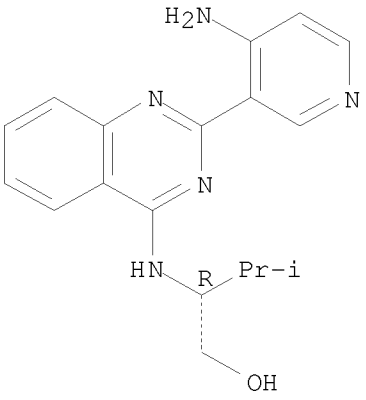
RN 781616-01-1 CAPLUS
CN 1-Butanol, 2-[[2-(4-amino-3-pyridinyl)-4-quinazolinyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

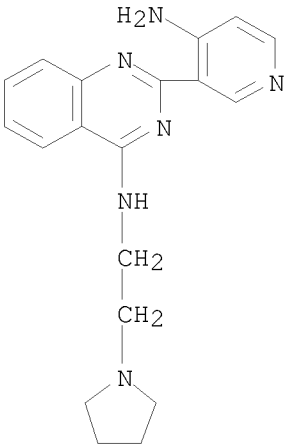


RN 781616-02-2 CAPLUS
CN 1-Butanol, 2-[[2-(4-amino-3-pyridinyl)-4-quinazolinyl]amino]-3-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

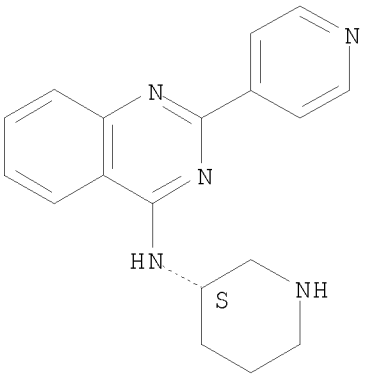


RN 781616-03-3 CAPLUS
CN 4-Quinazolinamine, 2-(4-amino-3-pyridinyl)-N-[2-(1-pyrrolidinyl)ethyl]-
(CA INDEX NAME)



RN 781616-05-5 CAPLUS
CN 4-Quinazolinamine, N-(3S)-3-piperidinyl-2-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:756698 CAPLUS
DOCUMENT NUMBER: 141:277632
TITLE: Preparation of quinazolines as modulators of ion channels
INVENTOR(S): Gonzales, Jesus E., III; Wilson, Dean Mitchell; Termin, Andreas Peter; Grootenhuis, Peter Diederik Jan; Zhang, Yulian; Petzoldt, Benjamin John; Fanning, Lev Tyler Dewey; Neubert, Timothy Donald; Tung, Roger D.; Martinborough, Esther; Zimmermann, Nicole
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 565 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2004078733	A1	20040916	WO 2004-US6451		20040303	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI						
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
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CN 1784391	A	20060607	CN 2004-80011981		20040303	<--
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NO 2005004546	A	20051125	NO 2005-4546		20051003	<--
IN 2005KN01955	A	20061124	IN 2005-KN1955		20051003	<--
PRIORITY APPLN. INFO.:			US 2003-451458P	P	20030303	<--
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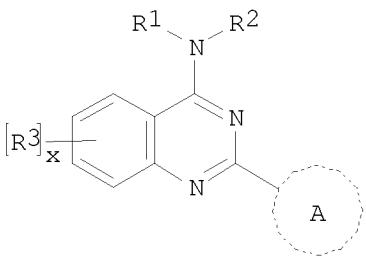
OTHER SOURCE(S): MARPAT 141:277632
GI



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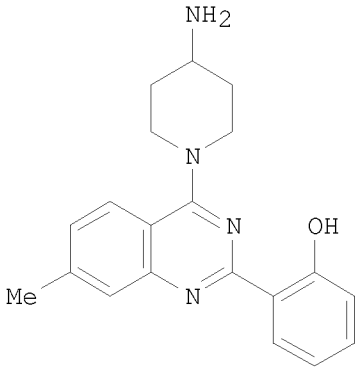


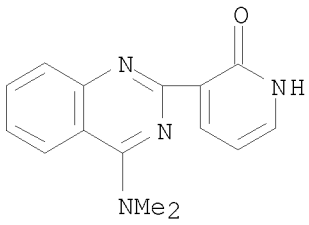
II

AB The title compds. [I; NR1R2 = (un)substituted 3-12 membered monocyclic or bicyclic (un)saturated ring having 0-3 heteroatoms selected from N, S or O; ring A = (un)substituted 5-7 membered aryl or 8-10 membered bicyclic aryl having 0-3 heteroatoms selected from N, S or O; x = 0-4; R3 = QR (wherein Q = a bond, alkylidene wherein up to two non-adjacent methylene units are optionally replaced by S, O, CS, etc.; R = halo, NO2, CN, etc.)], useful as inhibitors of voltage-gated sodium channels and calcium channels, were prepared Thus, reacting 2-(4-chloro-7-methylquinazolin-2-yl)phenol with 4-aminopiperidine in the presence of Et3N in CH2Cl2 afforded 89% II. Representative compds. I were found to possess desired N-type calcium channel modulation activity and selectivity (no specific data given). Also, representative compds. I were found to possess desired voltage gated sodium channel activity and selectivity (no specific data given). The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

IT 757985-00-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as modulators of ion channels)

RN 757985-00-5 CAPLUS

CN 2(1H)-Pyridinone, 3-[4-(dimethylamino)-2-quinazolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:472388 CAPLUS

DOCUMENT NUMBER: 139:53030

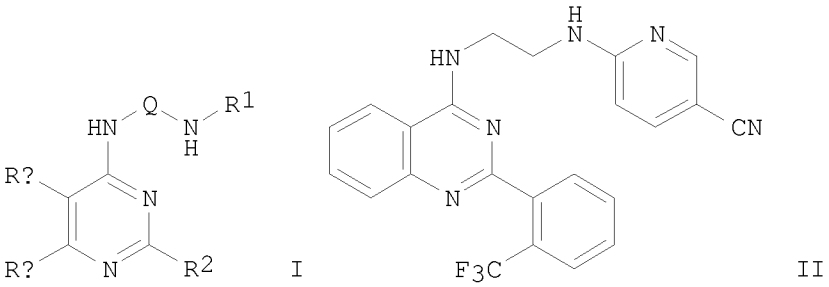
TITLE: Pyrimidine-based and quinazoline-based compounds useful as GSK-3 inhibitors

INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker, Marion W.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049739	A1	20030619	WO 2002-US39190	20021209 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469316	A1	20030619	CA 2002-2469316	20021209 <--
AU 2002364536	A1	20030623	AU 2002-364536	20021209 <--
AU 2002364536	B2	20081023		
US 20030199526	A1	20031023	US 2002-314905	20021209 <--
EP 1474147	A1	20041110	EP 2002-799913	20021209 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516005	T	20050602	JP 2003-550788	20021209 <--
MX 2004005510	A	20060224	MX 2004-5510	20040607 <--
ZA 2004005380	A	20050617	ZA 2004-5380	20040706 <--

PRIORITY APPLN. INFO.:			US 2001-338857P	P 20011207 <--
			WO 2002-US39190	W 20021209 <--

OTHER SOURCE(S): MARPAT 139:53030
GI

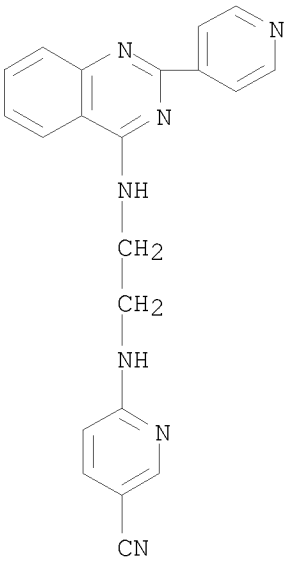


AB The invention provides a compound of formula I or a pharmaceutically acceptable derivative thereof [wherein: R1 = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted C1-4 alkylene chain with 0-2 non-adjacent CH2 optionally replaced by SO2 or CO; R2 = certain (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ra, Rb = -T-R3; or RaRb = atoms to complete fused, partially saturated or aromatic, 5- to 8-membered ring with 0-3 N/O/S atom(s) and

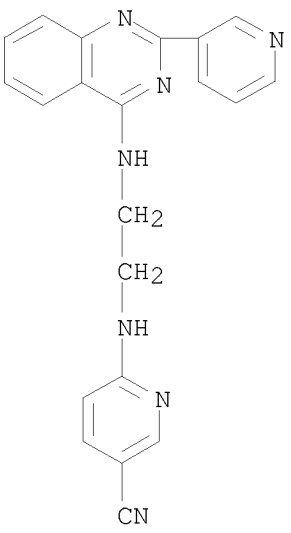
optionally substituted by oxo, -T-R3, etc.; T = bond or C1-4 alkylene chain; R3 = H, halo, OH or derivs., NH2 or derivs., CN, SH or derivs., CHO or derivs., CO2H or derivs., etc.; including pharmaceutically acceptable derivs. and prodrugs]. The compds. are inhibitors of protein kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Prepns. of 37 compds. are described in detail. For instance, 4-chloro-2-(2-trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3β in vitro, 17 compds. I, including II, had Ki < 0.1 μM, and 16 compds. had Ki of 0.1 to 1.0 μM.

IT 544676-80-4P 544676-92-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)

RN 544676-80-4 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)



RN 544676-92-8 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)

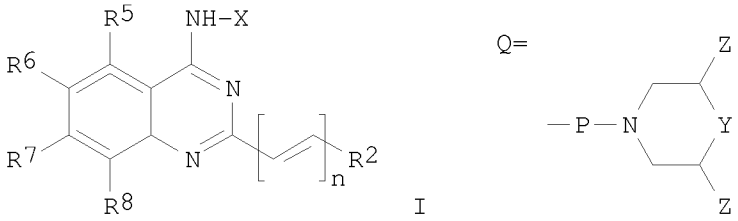


OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:615578 CAPLUS
DOCUMENT NUMBER: 137:154942
TITLE: Preparation of novel quinazoline derivatives for preventing or treating inflammatory diseases caused by bacterial DNA
INVENTOR(S): Kisanuki, Sumitsugu; Tomizawa, Hideyuki; Isobe, Yoshiaki
PATENT ASSIGNEE(S): Japan Energy Corp., Japan
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002062767	A1	20020815	WO 2002-JP1045		20020207 <--
W: AU, CA, JP, NZ, US					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
AU 2002230181	A1	20020819	AU 2002-230181		20020207 <--
PRIORITY APPLN. INFO.:			JP 2001-30973	A	20010207 <--
			WO 2002-JP1045	W	20020207 <--

OTHER SOURCE(S): MARPAT 137:154942
GI



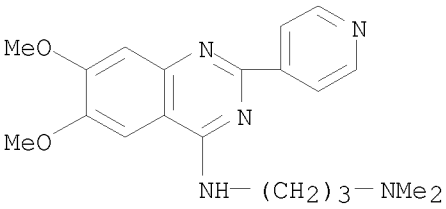
I

AB Disclosed are medicinal compns. for preventing or treating inflammatory diseases caused by bacterial DNA which contain as the active ingredient quinazoline derivs. represented by the following general formula (I) or pharmacol. acceptable salts thereof [wherein R5, R6, R7, R8 = H, substituents selected from a group of substituents A; or two adjacent groups of R5-R8 together represent methylenedioxy or CH:CHCH:CH; wherein substituents A = C1-4 alkyl, halo, OH, C1-4 alkoxy, C1-4 acyloxy, NR13R14 (R13, R14 = H, C1-4 alkyl), NHCOR15 (R15 = H, C1-4 alkyl), Ph, PhO, cyano, C1-4 acyl, CO2H, C2-5 alkoxycarbonyl, CONH2, N-(C1-4 alkyl)carbamoyl, N,N-di(C1-4 alkyl)carbamoyl; R2 = (un)substituted aryl or heteroaryl; n = 0, 1; X = a group of the following general formula -P-NR9R10 or Q; wherein P = (un)branched C2-6 alkylene; R9, R10 = H, C1-4 alkyl, C2-4 hydroxyalkyl, C3-6 alkoxyalkyl; Y = CHR11, O, S, NR12 (wherein R11 = H, C1-4 alkyl, OH, hydroxymethyl, methoxycarbonyl, ethoxycarbonyl; R12 = H, C1-4 alkyl, aryl optionally substituted by substituents A); Z = H or OH when Y = CHR11; Z = H when Y = O, S, or NR12]. Also disclosed are medicinal compns. containing I for preventing or treating autoimmune diseases or diseases caused by excessive production of TNF-α or IL-6. These compds. I inhibit the unusual production of TNF-α or IL-6 of macrophage or monocyte activated by bacterial DNA and are useful for treating or preventing diseases caused by unusual increase in cytokines, e.g. chronic articular rheumatism, systemic lupus erythematosus (SLE), septicemia, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, rejection of bone marrow transplant, hepatitis, type II diabetes, atrial myxoma, alc. hepatic cirrhosis, myeloma, and mesangium-proliferative nephritis. Thus, mesylation of 4-(4-hydroxybutylamino)-6,7-dimethoxy-2-(2-naphthyl)quinazoline by methanesulfonyl chloride and Et3N in CH2Cl2 under ice-cooling for 1 h and at room temperature for 4 h followed by amination with N-(2-methoxyethyl)ethylamine at room temperature at room temperature for 2 days gave 6,7-dimethoxy-4-(4-(ethyl-(2-methoxyethyl)amino)butylamino)-2-(2-naphthyl)quinazoline (II). II in vitro inhibited the production of TNF-α in mouse spleen cells with IC50 of 10 nM and that of IL-6 with IC50 of 32 nM.

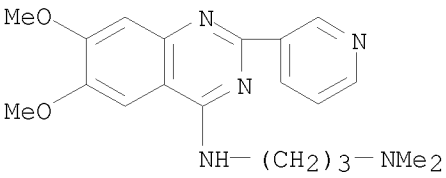
IT 445401-96-7P 445402-20-0P 445402-21-1P
445402-23-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel quinazoline derivs. for preventing or treating inflammatory diseases caused by bacterial DNA)

RN 445401-96-7 CAPLUS

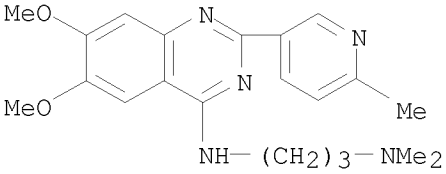
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(4-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



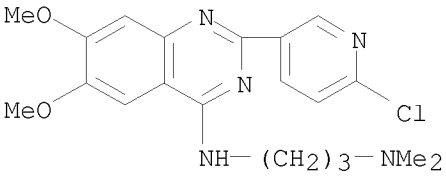
RN 445402-20-0 CAPLUS
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



RN 445402-21-1 CAPLUS
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(6-methyl-3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



RN 445402-23-3 CAPLUS
CN 1,3-Propanediamine, N3-[2-(6-chloro-3-pyridinyl)-6,7-dimethoxy-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

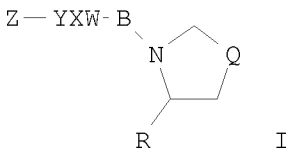
L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:504782 CAPLUS
DOCUMENT NUMBER: 137:78968
TITLE: Preparation of aminocarbonylpyrrolidine derivatives as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Ogawa, Akira

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051836	A1	20020704	WO 2001-JP11578	20011227 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433090	A1	20020704	CA 2001-2433090	20011227 <--
AU 2002216425	A1	20020708	AU 2002-216425	20011227 <--
EP 1354882	A1	20031022	EP 2001-271892	20011227 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040180925	A1	20040916	US 2003-465919	20031110 <--

PRIORITY APPLN. INFO.:			JP 2000-398441	A 20001227 <--
			JP 2001-261409	A 20010830 <--
			WO 2001-JP11578	W 20011227 <--

OTHER SOURCE(S): MARPAT 137:78968
GI



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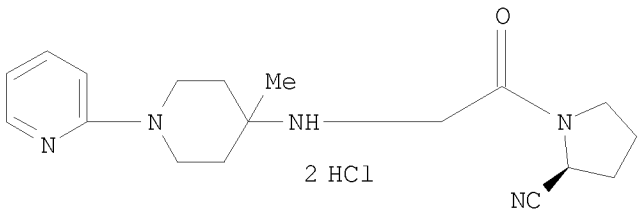


II

AB Title compds. [I; Q = CH2, S; R = H, (S)-CN; B = CH2CO, COCH2, CO; YXW = NHCH2CH2NH, NH(CH2)3NH, NHCH2C(CH3)2NH, 1-(4-methyl-piperidine-4-amino)-yl, 1-(1-aminomethylcyclopropyl)amino, 4-NHCH2C6H4CH2NH, N(CH3)CH2CH2N(CH3), 1,4-piperazinyl, 1-piperidinyl-4-amino, N(CH3)CH2C(CH3)2NH; Z = optionally substituted

1-pyrrolidinyl, optionally substituted 3-thiazolidinyl, optionally substituted 1-oxo-3-thiozolidinyl, etc.] and pharmacol. acceptable salts of title compds. are prepared as dipeptidyl peptidase IV inhibitors. Title compds. are useful as antidiabetics, antiaids agents, antiarteriosclerosis, antihyperglycinemia agents, and as remedies for hyperglycinemia, hyperinsulinism, etc. in combination with related remedies as GI-262570, KAD1229, etc. Thus, the title compound II was prepared and in vivo tested for DPP-IV inhibition with IC50 = 11 nmol/L.

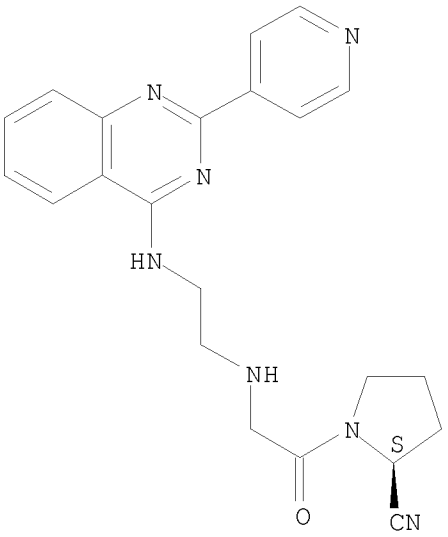
IT 440099-77-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)

RN 440099-77-4 CAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[2-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]acetyl]-, (2S)-, methanesulfonate (1:2) (CA INDEX NAME)

CM 1

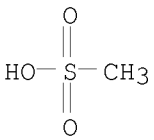
CRN 440099-76-3
CMF C22 H23 N7 O

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

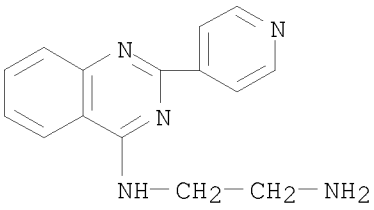


IT 380588-03-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)

RN 380588-03-4 CAPLUS

CN 1,2-Ethanediamine, N1-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:158388 CAPLUS

DOCUMENT NUMBER: 136:200203

TITLE: Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions

INVENTOR(S): Pamukcu, Rifat; Piazza, Gary

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont. of U.S. Ser. No. 60,444, abandoned.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

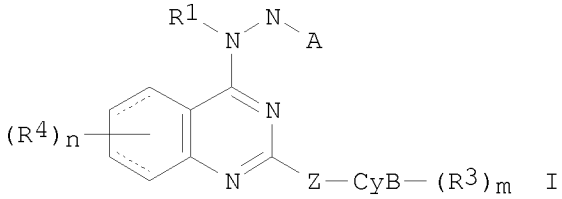
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020025968	A1	20020228	US 2001-952769	20010914 <--

PRIORITY APPLN. INFO.: US 1998-60444 B1 19980415 <--

OTHER SOURCE(S): MARPAT 136:200203

GI



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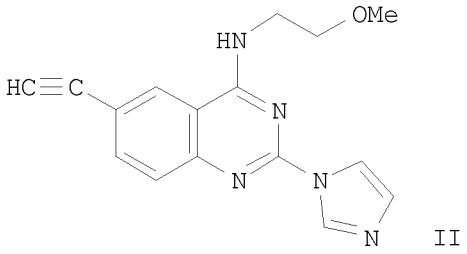


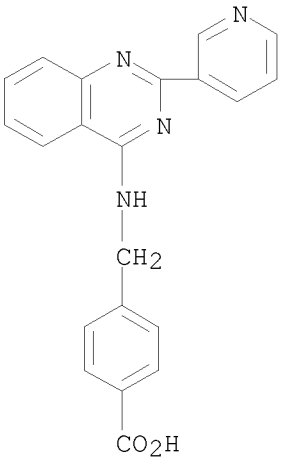
II

AB Title compds. I [wherein R1 = H or alkyl; Y = alkylene; A = ORa or S(O)pRa; Ra = alkylhydroxy; p = 0-2; Z = single bond, methylene, ethylene, vinylene, or ethynylene; CyB = heterocyclic ring; R3 = H, alkyl, alkoxy, halo, or CF3; R4 = H, alkyl, alkoxy, CO2H, carboxy ester, alkanoylamino, alkylsulfonylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, ethynyl, hydroxymethyl, acetyl, or (un)substituted sulfamoyl, carbamoyl, etc.; m and n = independently 1-2; or pharmaceutically acceptable salts or hydrates thereof] were prepared for inhibiting neoplastic cells and related conditions. For example, amination of 2,4-dichloro-6-(2-triethylsilylethynyl)quinazolin-2,4-dione (preparation given) with 2-methoxyethylamine in CHCl3, followed by addition of imidazole in EtOH and deprotection using NBu4F, afforded II. I are useful in the treatment of precancerous and cancerous lesions, including malignant melanomas, breast cancer, and colon cancer (no data).

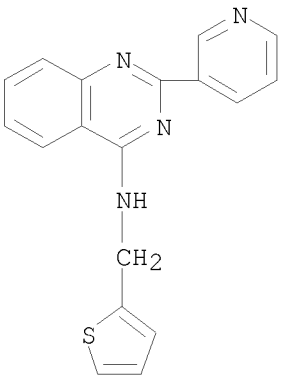
IT 157862-83-4 157862-85-6 157862-87-8
157862-88-9 157862-91-4 157862-94-7
157862-96-9 157863-06-4 157863-12-2
157863-15-5 157863-17-7 157863-99-5
RL: PRPH (Prophetic)
(Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

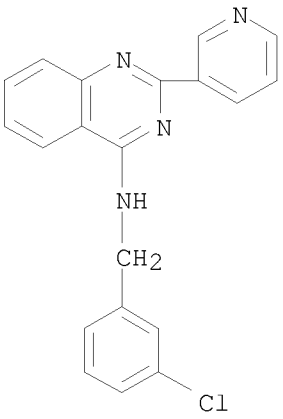


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



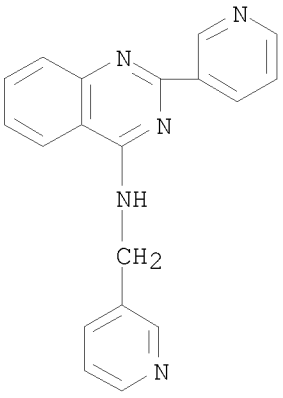
●2 HCl

RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

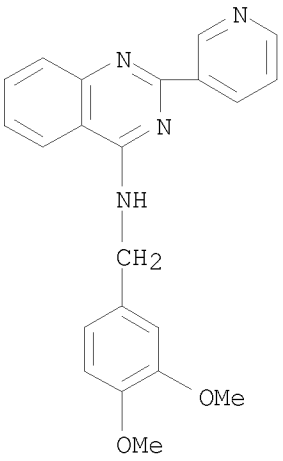


●2 HCl

RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

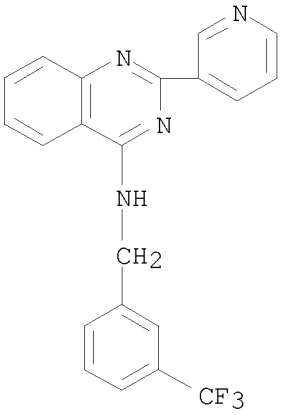


RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



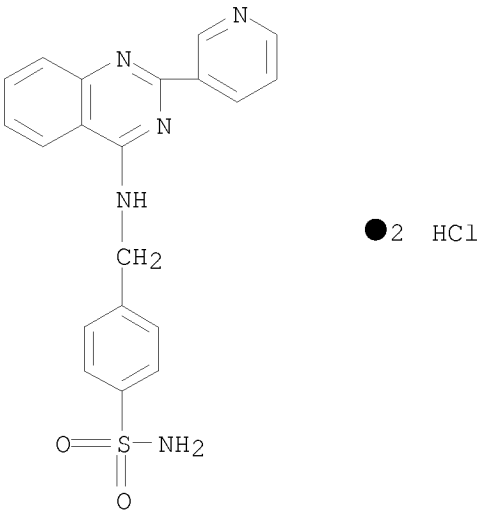
●2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



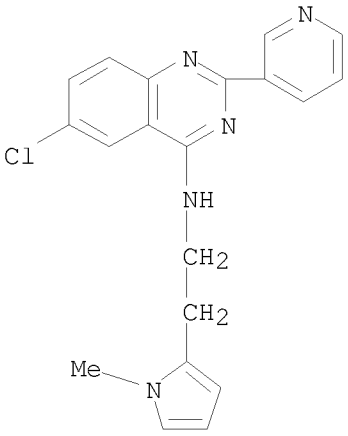
●2 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



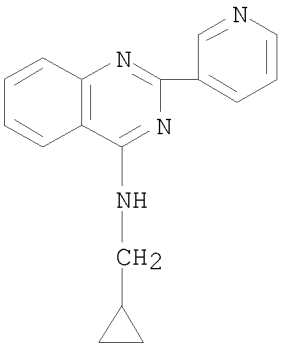
●2 HCl

RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



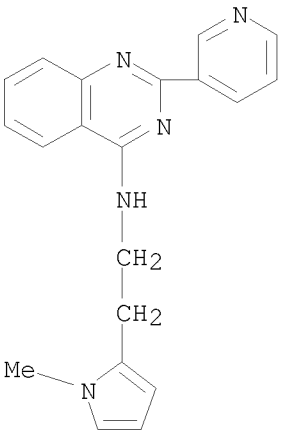
●2 HCl

RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

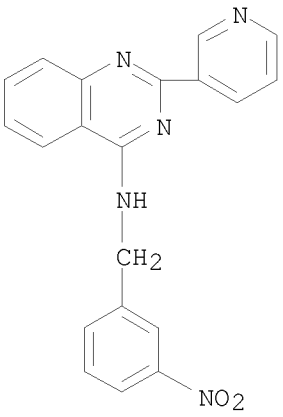


●2 HCl

RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-
(CA INDEX NAME)

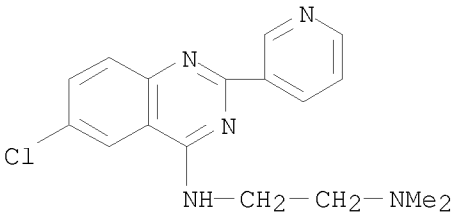


RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-,
hydrochloride (1:2) (CA INDEX NAME)



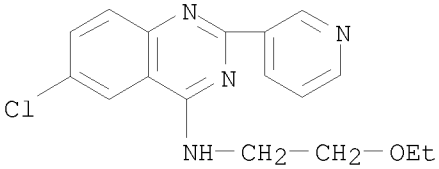
●2 HCl

RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

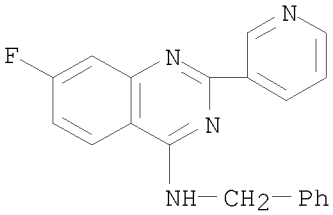
IT 171661-62-4P, 6-Chloro-4-(2-Ethoxyethyl)Amino-2-(3-Pyridyl)Quinazoline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antineoplastic agent; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)
RN 171661-62-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



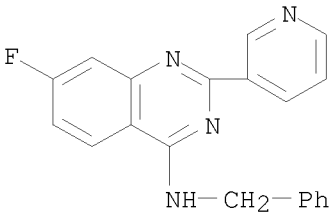
IT 157862-69-6P, 4-Phenylmethylamino-7-Fluoro-2-(3-

Pyridyl)Quinazoline 157862-70-9P,
4-Phenylmethylamino-7-Fluoro-2-(3-Pyridyl)Quinazoline Dihydrochloride
157863-23-5P, 6-Acetylamino-4-Phenylmethylamino-2-(3-
Pyridyl)Quinazoline 401520-93-2P,
6-Chloro-4-[(2-ethoxyethyl)amino]-2-(3-pyridyl)quinazoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(antineoplastic agent; preparation of aminoquinazolines for use in
inhibiting neoplastic cells and related conditions)

RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

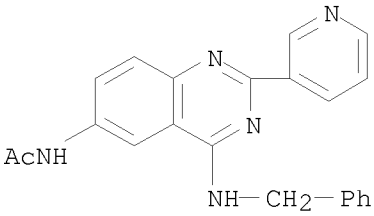


RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

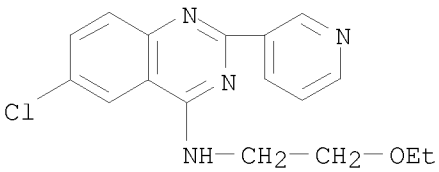


●2 HCl

RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)

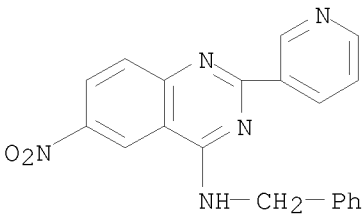


RN 401520-93-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 157863-09-7, 4-Phenylmethylamino-6-nitro-2-(3-pyridyl)quinazoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)
RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:441612 CAPLUS
DOCUMENT NUMBER: 133:63991
TITLE: cGMP phosphodiesterase 5 inhibitors for inhalation in the treatment of sexual dysfunction
INVENTOR(S): Naef, Reto
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037061	A2	20000629	WO 1999-EP10250	19991221 <--
WO 2000037061	A3	20001026		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

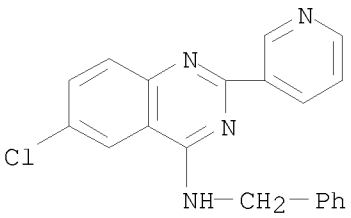
CA 2355368	A1	20000629	CA 1999-2355368		19991221 <--
EP 1140044	A2	20011010	EP 1999-964644		19991221 <--
EP 1140044	B1	20060315			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
JP 2002532542	T	20021002	JP 2000-589172		19991221 <--
AT 320247	T	20060415	AT 1999-964644		19991221 <--
ES 2260952	T3	20061101	ES 1999-964644		19991221 <--
US 20010055570	A1	20011227	US 2001-883572		20010618 <--
US 20040214831	A1	20041028	US 2004-851603		20040521 <--
US 20070197560	A1	20070823	US 2006-644659		20061222 <--
PRIORITY APPLN. INFO.:			GB 1998-28340	A	19981222 <--
			WO 1999-EP10250	W	19991221 <--
			US 2001-883572	A1	20010618 <--

AB Treatment of sexual dysfunction is carried out by inhalation of a cGMP PDE 5 inhibitor, especially, 5-[2-ethoxy-5-(4-methylpiperazinylsulfonyl)phenyl]-1-methyl-3-n-propyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I), 4-phenylmethylamino-6-chloro-2-(1-imidazolyl)quinazoline, 4-phenylmethylamino-6-chloro-2-(3-pyridyl)quinazoline, 1,3-dimethyl-6-(2-propoxy-5-methanesulfonylamidophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one or 1-cyclopentyl-3-ethyl-6-(3-ethoxy-4-pyridyl)pyrazolo[3,4-d]pyrimidin-4-one. Gelatin capsules suitable for use in a capsule inhaler are prepared, each capsule containing a dry powder consisting of 10 mg I, which had been ground to a mean particle diameter of 1-5 μm, and 10 mg of lactose monohydrate having a particle diameter below 212 μm. These capsules are used in the treatment of erectile dysfunction patients by inserting a capsule into the capsule chamber of an inhaler.

IT 157862-73-2
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(cGMP phosphodiesterase inhibitors for inhalation in treatment of sexual dysfunction)

RN 157862-73-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

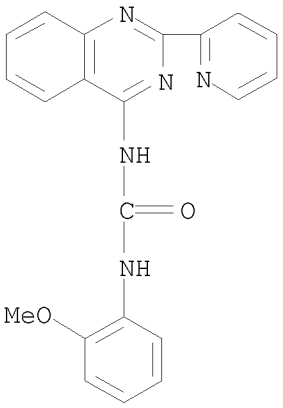
ACCESSION NUMBER: 2000:304988 CAPLUS

DOCUMENT NUMBER: 133:89495

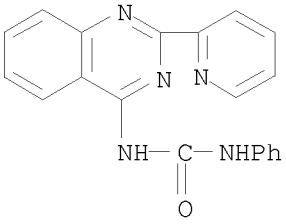
TITLE: Isoquinoline and Quinazoline Urea Analogues as Antagonists for the Human Adenosine A3 Receptor

AUTHOR(S): Van Muijlwijk-Koezen, Jacqueline E.; Timmerman, Henk; Van der Goot, Henk; Menge, Wiro M. P. B.; Von Kuenzel, Jacobien Frijtag; De Groote, Miriam; IJzerman, Adriaan P.

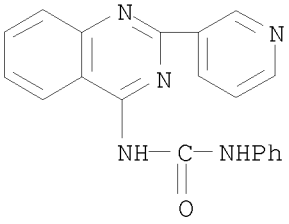
CORPORATE SOURCE: Leiden/Amsterdam Center for Drug Research Division of Medicinal Chemistry Department of Pharmacochemistry, Vrije Universiteit, Amsterdam, 1081 HV, Neth.
SOURCE: Journal of Medicinal Chemistry (2000), 43(11), 2227-2238
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Isoquinoline and quinazoline urea derivs. were found to bind to human adenosine A3 receptors. Series of N-phenyl-N'-quinazolin-4-ylurea derivs. and N-phenyl-N'-isoquinolin-1-ylurea derivs. were synthesized and tested in radioligand binding assays on their adenosine receptor affinities. A structure-affinity anal. indicated that on the 2-position of the quinazoline ring or the equivalent 3-position of the isoquinoline ring a Ph or heteroaryl substituent increased the adenosine A3 receptor affinity in comparison to unsubstituted or aliphatic derivs. Furthermore, the structure-affinity relationship of substituted phenylurea analogs was investigated. Substituents such as electron-withdrawing or electron-donating groups were introduced at different positions of the benzene ring to probe electronic and positional effects of substitution. Substitution on the 3- or 4-position of the Ph ring decreased the adenosine A3 receptor affinity. Substitution at position 2 with an electron-donating substituent, such as Me or methoxy, increased human adenosine A3 receptor affinity, whereas substitution on the 2-position with an electron-withdrawing substituent did not influence affinity. Combination of the optimal substituents in the two series had an additive effect, which led to the potent human adenosine A3 receptor antagonist N-(2-methoxyphenyl)-N'-(2-(3-pyridyl)quinazolin-4-yl)urea (VUF5574, I) showing a Ki value of 4 nM and being at least 2500-fold selective vs. A1 and A2A receptors. Compound I competitively antagonized the effect of an agonist in a functional A3 receptor assay, i.e., inhibition of cAMP production in cells expressing the human adenosine A3 receptor; a pA2 value of 8.1 was derived from a Schild plot. In conclusion, compound I is a potent and selective human adenosine A3 receptor antagonist and might be a useful tool in further characterization of the human A3 receptor.
IT 280138-92-3P 280138-93-4P 280138-96-7P
280138-97-8P 280138-98-9P 280139-11-9P
280570-45-8P, VUF 5574
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of isoquinoline and quinazoline urea analogs as antagonists for human adenosine A3 receptor)
RN 280138-92-3 CAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



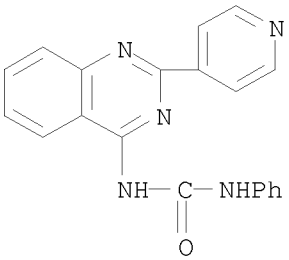
RN 280138-93-4 CAPLUS
CN Urea, N-phenyl-N'-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 280138-96-7 CAPLUS
CN Urea, N-phenyl-N'-[2-(3-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

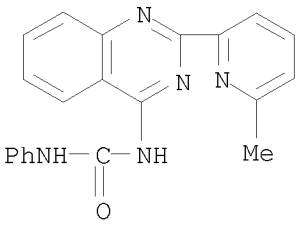


RN 280138-97-8 CAPLUS
CN Urea, N-phenyl-N'-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

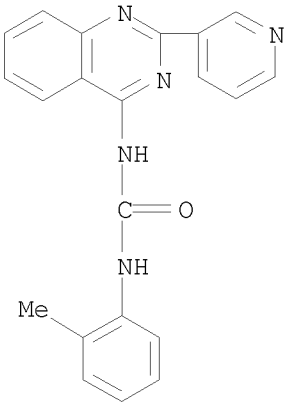


RN 280138-98-9 CAPLUS
CN Urea, N-[2-(6-methyl-2-pyridinyl)-4-quinazolinyl]-N'-phenyl- (CA INDEX

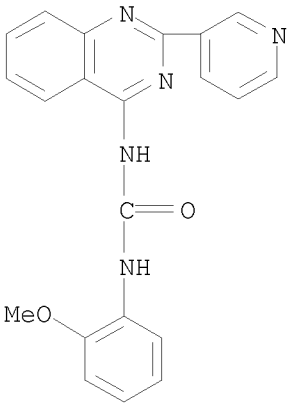
NAME)



RN 280139-11-9 CAPLUS
CN Urea, N-(2-methylphenyl)-N'-[2-(3-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



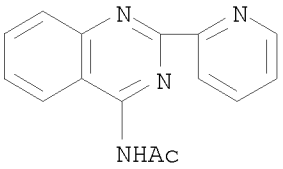
RN 280570-45-8 CAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[2-(3-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



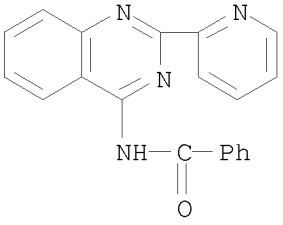
OS.CITING REF COUNT: 44 THERE ARE 44 CAPLUS RECORDS THAT CITE THIS RECORD (44 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:603674 CAPLUS

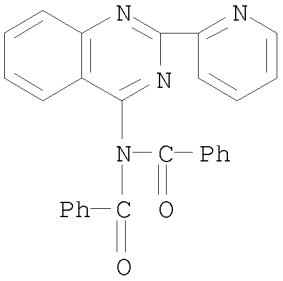
DOCUMENT NUMBER: 129:325734
ORIGINAL REFERENCE NO.: 129:66247a,66250a
TITLE: A Novel Class of Adenosine A3 Receptor Ligands. 2. Structure Affinity Profile of a Series of Isoquinoline and Quinazoline Compounds
AUTHOR(S): Van Muijlwijk-Koezen, Jacqueline E.; Timmerman, Henk; Link, Regina; Van der Goot, Henk; IJzerman, Adriaan P.
CORPORATE SOURCE: Division of Medicinal Chemistry Leiden/Amsterdam Center for Drug Research Department of Pharmacochemistry, Vrije Universiteit, Amsterdam, 1081 HV, Neth.
SOURCE: Journal of Medicinal Chemistry (1998), 41(21), 3994-4000
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1-Substituted 3-(2-pyridinyl)isoquinolines have been shown to form a novel class of adenosine A3 receptor ligands. In the present study further investigations of this new lead and the structure affinity relationships of this class of compds. are described. First, the influence of an amide group at position 1 of the isoquinoline ring on the adenosine A3 receptor affinity was determined A carboxamide proved to be a useful spacer between the isoquinoline and a Ph ring. N-[2-(2-pyridinyl)isoquinolin-4-yl]benzamide (VUF8507) had an affinity of 200 nM at the adenosine A3 receptor. Second, we investigated the effects of substitution of the benzamide ring of VUF8507 with a series of mono- and disubstituted N-[3-(2-pyridinyl)isoquinoline]benzamides. The ratio of the tautomers of the benzamides was determined in the solid state and in solution by spectroscopic techniques (IR and NMR). Affinities were determined in radioligand binding assays at rat brain A1 and A2A receptors and at cloned human A3 receptor. The benzamides showed higher adenosine A3 receptor affinity than aliphatic amides. We propose that the adenosine A3 receptor affinity of the different benzamides is related to their presence in either the iminol or amide form. Ligands present in the iminol form showed relatively high adenosine A3 receptor affinity. Finally, we explored the influence of replacement of C4 of the isoquinoline ring by a nitrogen atom. Comparison of isoquinolines with the corresponding quinazolines revealed that both compds. showed similar adenosine A3 receptor affinity. These investigations led to potent and selective human adenosine A3 receptor ligands with affinities in the nanomolar range. The subtype-selective compound 4-methoxy-N-[2-(2-pyridinyl)quinazolin-4-yl]benzamide (VUF8504) with an affinity of 17.0 nM at the human adenosine A3 receptor might become a useful tool in the pharmacol. characterization or the investigation of the physiol. function of this receptor.
IT 91748-43-5P 91748-44-6P 91748-45-7P
91748-46-8P 91748-48-0P 215172-44-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation)
(structure of isoquinoline and quinazoline compds. as adenosine A3 receptor ligands)
RN 91748-43-5 CAPLUS
CN Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



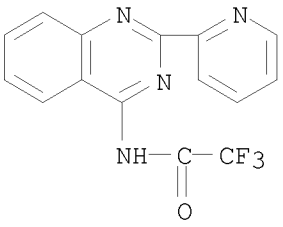
RN 91748-44-6 CAPLUS
CN Benzamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



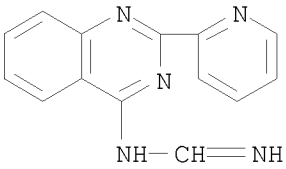
RN 91748-45-7 CAPLUS
CN Benzamide, N-benzoyl-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



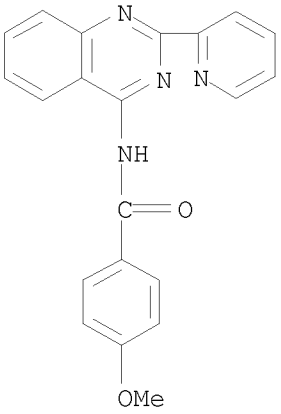
RN 91748-46-8 CAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 91748-48-0 CAPLUS
CN Methanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 215172-44-4 CAPLUS
CN Benzamide, 4-methoxy-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 49 THERE ARE 49 CAPLUS RECORDS THAT CITE THIS RECORD (49 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

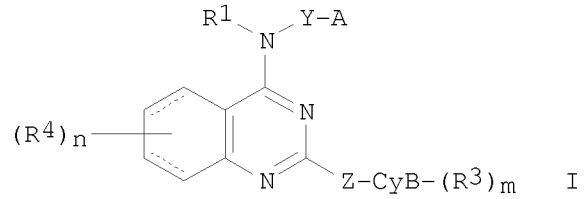
L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:795361 CAPLUS
DOCUMENT NUMBER: 124:29779
ORIGINAL REFERENCE NO.: 124:5715a,5718a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715 <--
US 1993-76431 B2 19930614 <--

OTHER SOURCE(S): MARPAT 124:29779

GI



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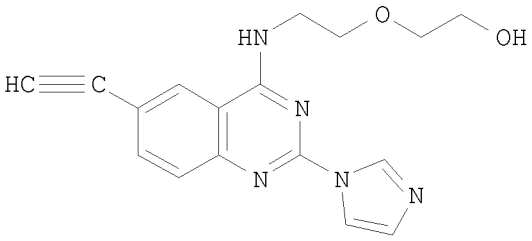


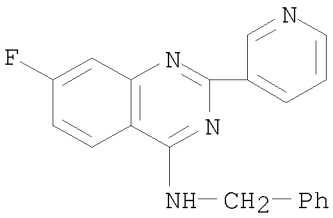
II

AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

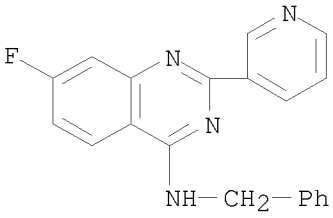
IT 157862-69-6P 157862-70-9P 157862-71-0P
157862-72-1P 157862-73-2P 157862-74-3P
157862-75-4P 157862-76-5P 157862-77-6P
157862-78-7P 157862-79-8P 157862-80-1P
157862-83-4P 157862-84-5P 157862-85-6P
157862-86-7P 157862-87-8P 157862-88-9P

157862-89-0P 157862-90-3P 157862-91-4P
157862-92-5P 157862-93-6P 157862-94-7P
157862-95-8P 157862-96-9P 157862-97-0P
157862-98-1P 157863-00-8P 157863-05-3P
157863-06-4P 157863-07-5P 157863-08-6P
157863-09-7P 157863-10-0P 157863-11-1P
157863-12-2P 157863-13-3P 157863-14-4P
157863-15-5P 157863-16-6P 157863-17-7P
157863-20-2P 157863-21-3P 157863-23-5P
157863-99-5P 171661-62-4P 171661-63-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

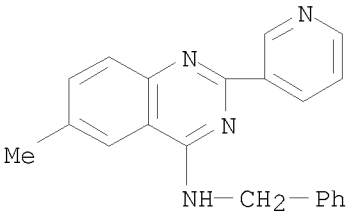


RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

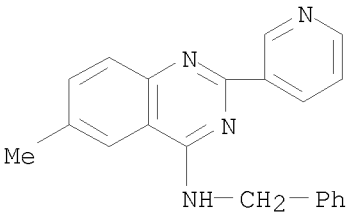


●2 HCl

RN 157862-71-0 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

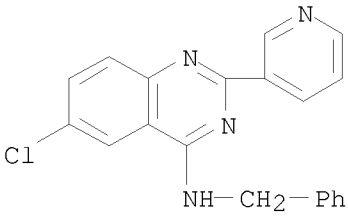


RN 157862-72-1 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

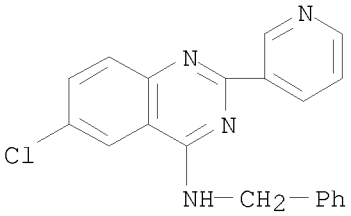


●2 HCl

RN 157862-73-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

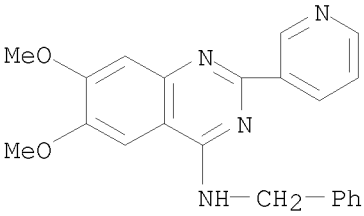


RN 157862-74-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

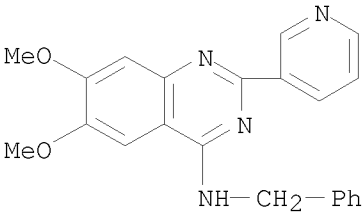


●2 HCl

RN 157862-75-4 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

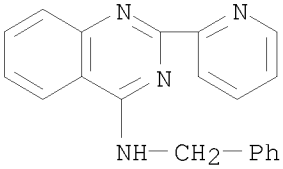


RN 157862-76-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



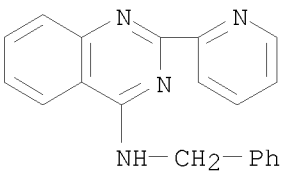
●2 HCl

RN 157862-77-6 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)



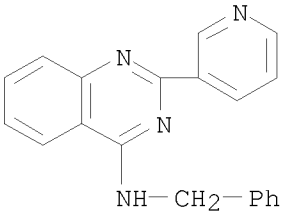
RN 157862-78-7 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

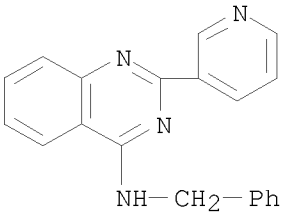


●2 HCl

RN 157862-79-8 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

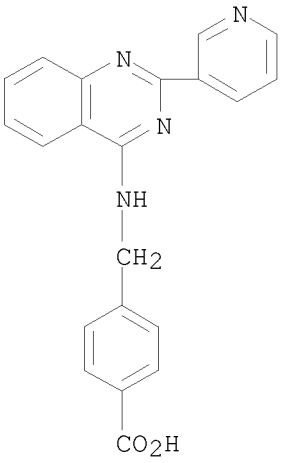


RN 157862-80-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

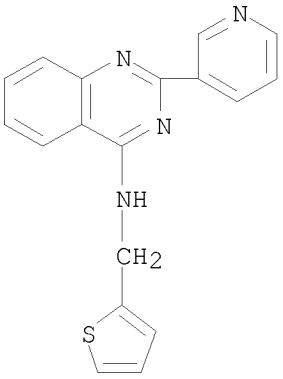


●2 HCl

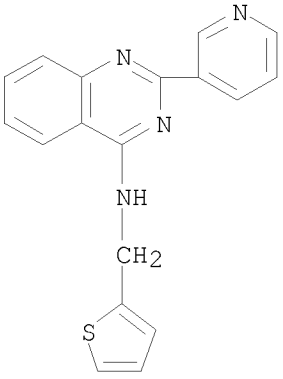
RN 157862-83-4 CAPLUS
CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)



RN 157862-84-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

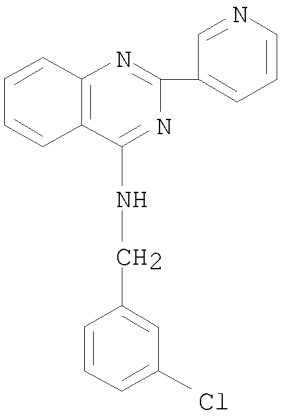


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

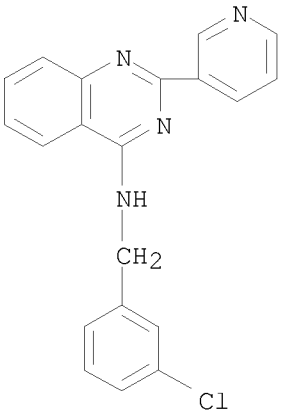


●2 HCl

RN 157862-86-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

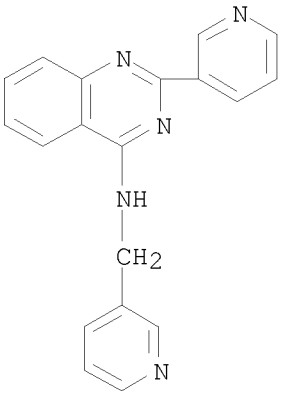


RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

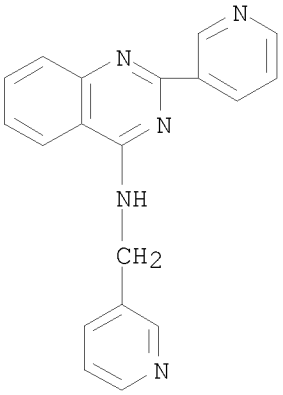


● 2 HCl

RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

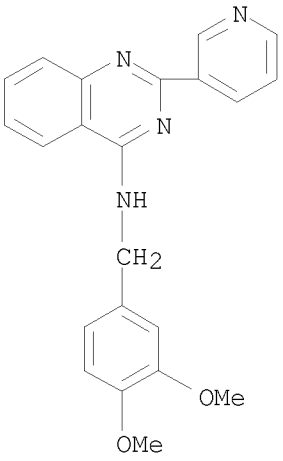


RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

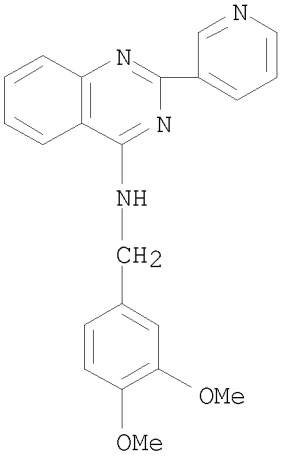


●3 HCl

RN 157862-90-3 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

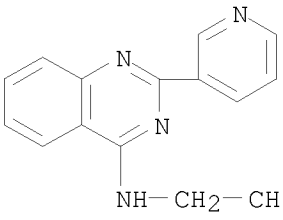


RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



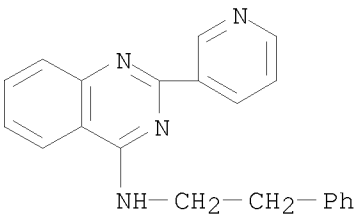
●2 HCl

RN 157862-92-5 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



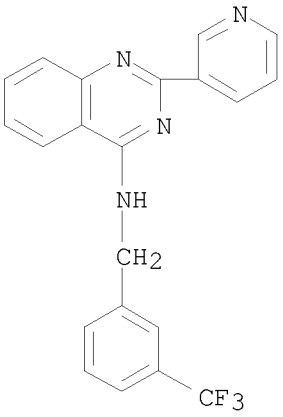
RN 157862-93-6 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)

(CA INDEX NAME)



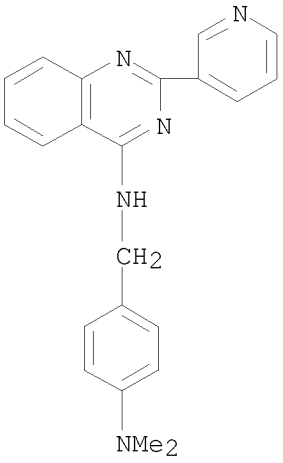
●2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



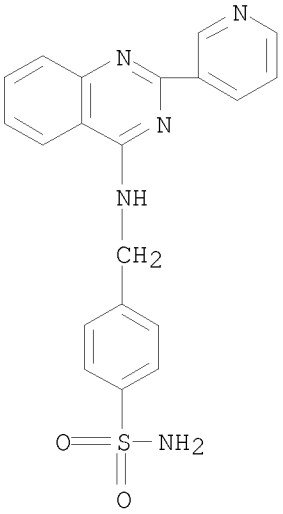
●2 HCl

RN 157862-95-8 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



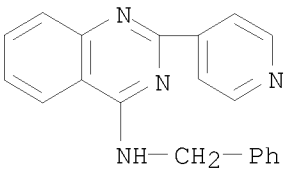
●3 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



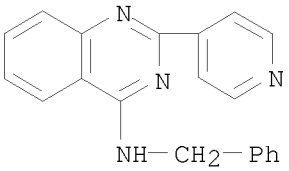
●2 HCl

RN 157862-97-0 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



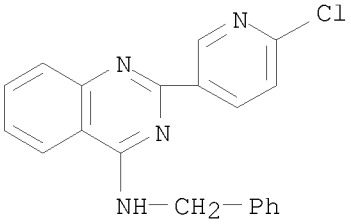
RN 157862-98-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2)

(CA INDEX NAME)

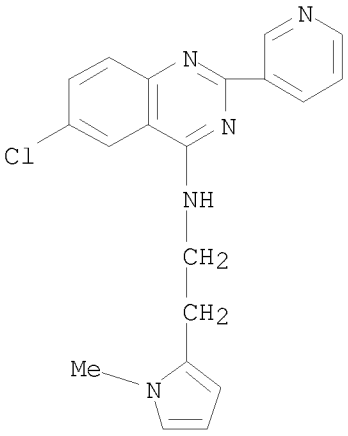


●2 HCl

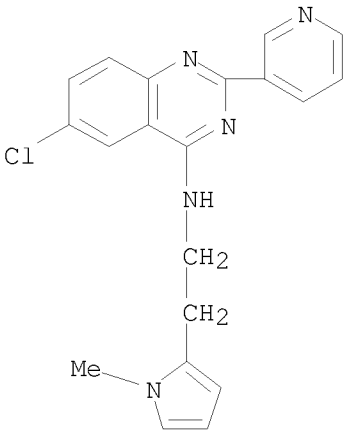
RN 157863-00-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 157863-05-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

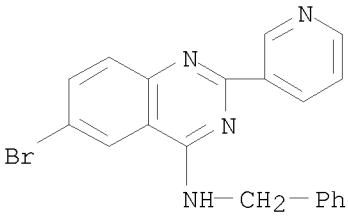


RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

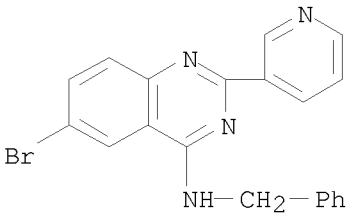


●2 HCl

RN 157863-07-5 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

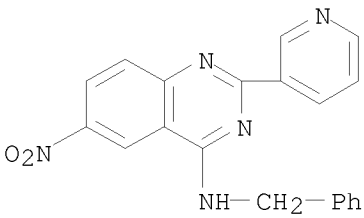


RN 157863-08-6 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

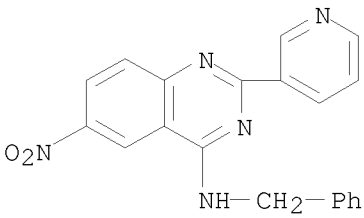


●2 HCl

RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

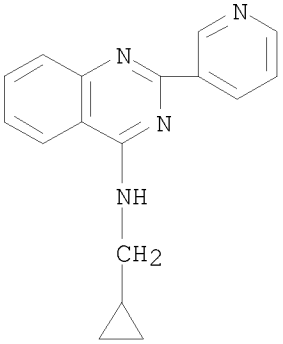


RN 157863-10-0 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

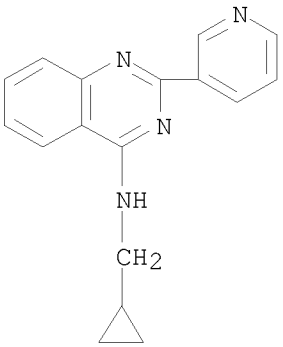


● 2 HCl

RN 157863-11-1 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



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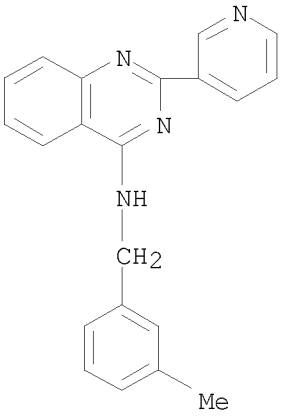
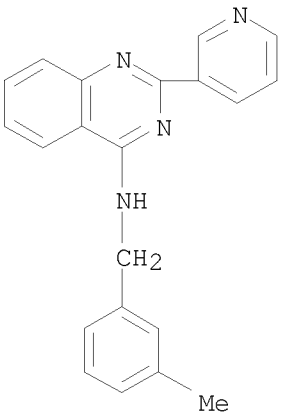
RN 157863-13-3 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

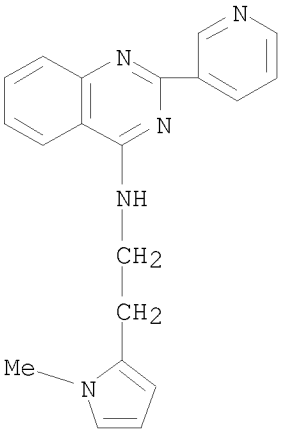


RN 157863-14-4 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

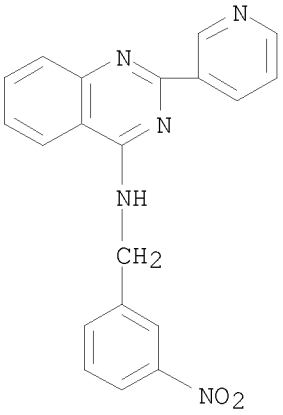


●2 HCl

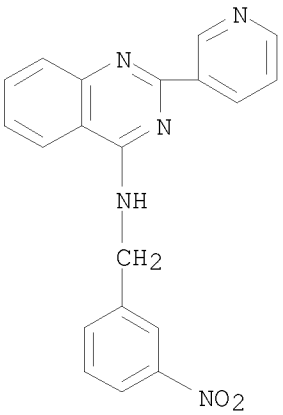
RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-16-6 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



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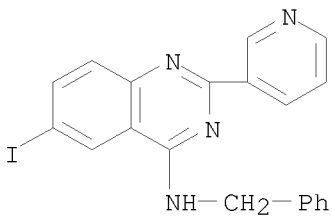
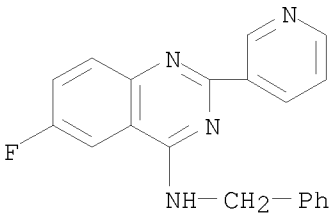
RN 157863-20-2 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



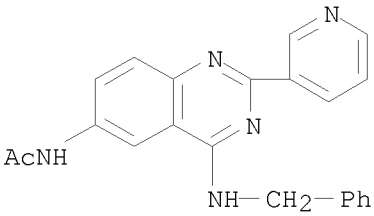
●2 HCl

RN 157863-21-3 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

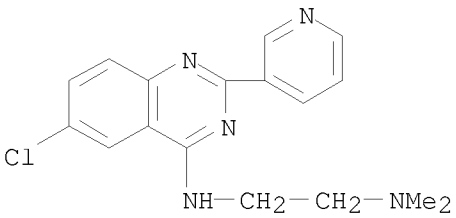


●2 HCl

RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)



RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



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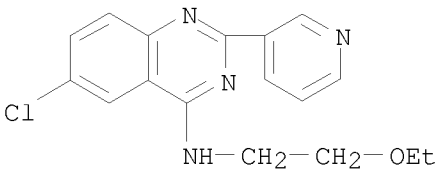
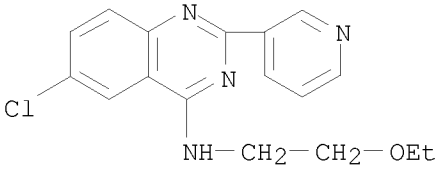
RN 171661-62-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 171661-63-5 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

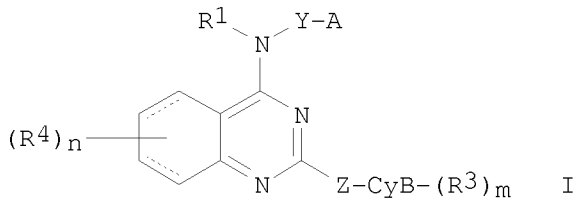
OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:761961 CAPLUS
DOCUMENT NUMBER: 123:340173
ORIGINAL REFERENCE NO.: 123:61059a,61062a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436233	A	19950725	US 1993-154518	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715 <--
US 1993-76431 B2 19930614 <--
OTHER SOURCE(S): CASREACT 123:340173; MARPAT 123:340173

GI

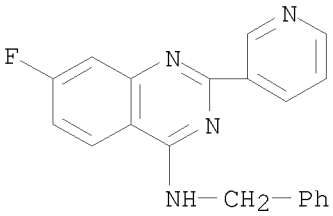


AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)l, (ii) OR0 or S(O)pR0 in which R0 is R0A or R0B; R0A is CyA-(R2)l; R0B is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF3, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is, e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.

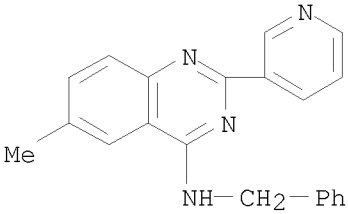
IT 157862-69-6P 157862-71-0P 157862-73-2P
157862-75-4P 157862-77-6P 157862-79-8P
157862-84-5P 157862-86-7P 157862-88-9P
157862-90-3P 157862-92-5P 157862-97-0P
157863-05-3P 157863-07-5P 157863-09-7P
157863-11-1P 157863-13-3P 157863-16-6P
157863-98-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

RN 157862-69-6 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-71-0 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-73-2 CAPLUS
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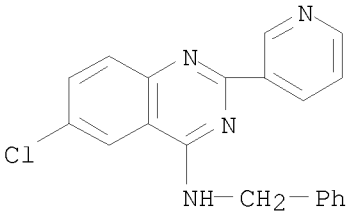
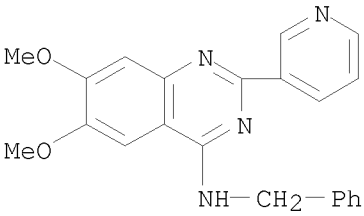
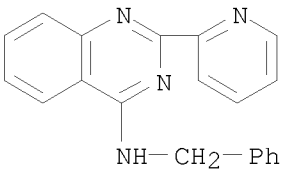


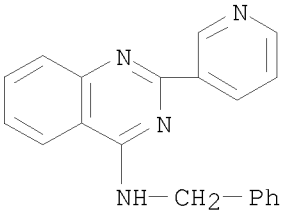
RN 157862-75-4 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



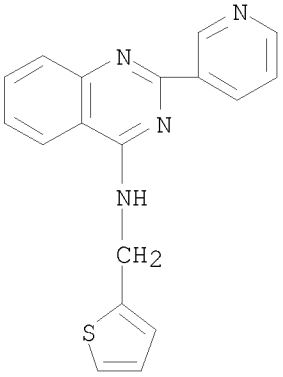
RN 157862-77-6 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)



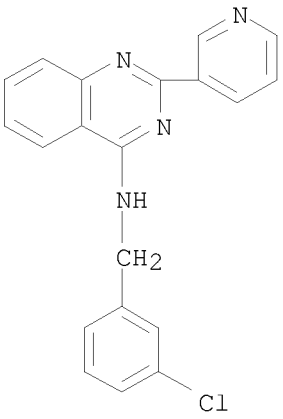
RN 157862-79-8 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



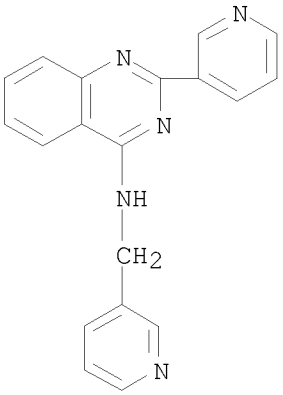
RN 157862-84-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)



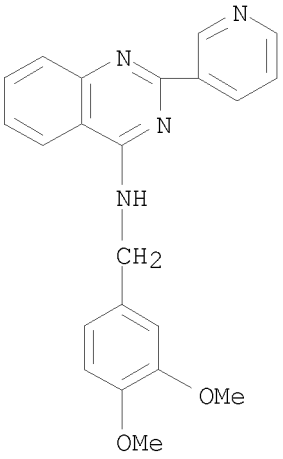
RN 157862-86-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

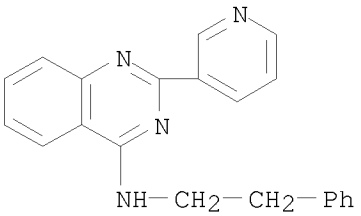


RN 157862-90-3 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

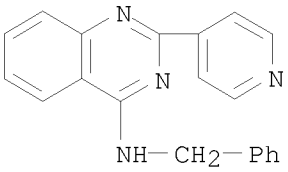


RN 157862-92-5 CAPLUS

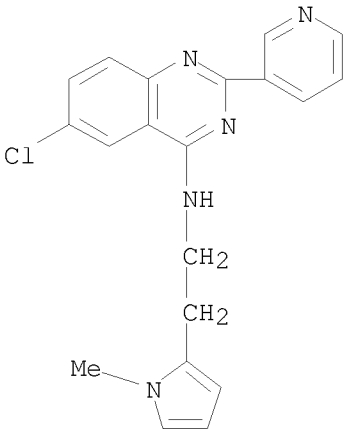
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



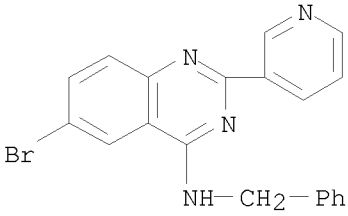
RN 157862-97-0 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



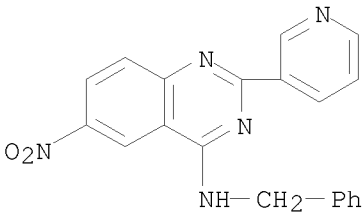
RN 157863-05-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)



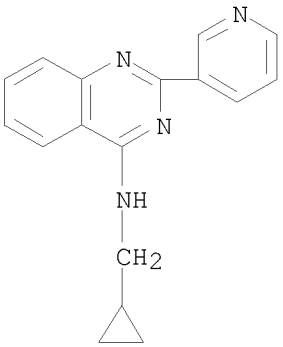
RN 157863-07-5 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



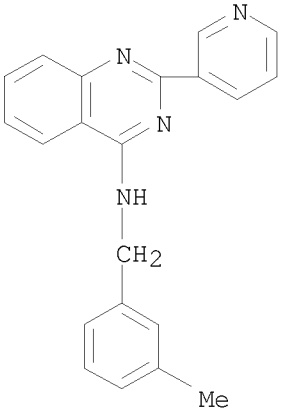
RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



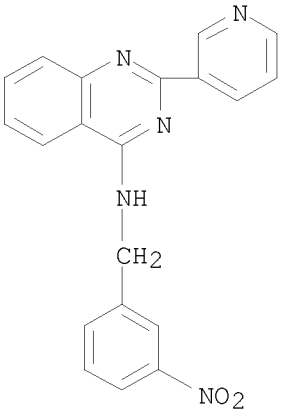
RN 157863-11-1 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



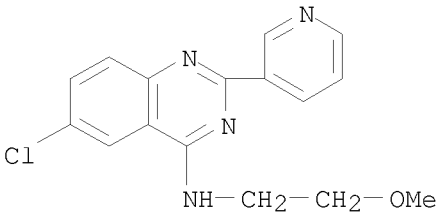
RN 157863-13-3 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



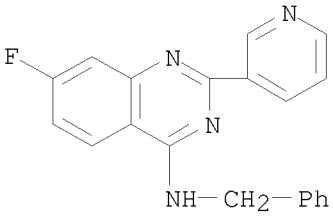
RN 157863-16-6 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-98-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

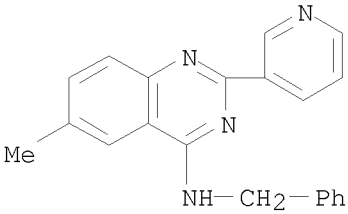


IT 157862-70-9P 157862-72-1P 157862-74-3P
157862-76-5P 157862-78-7P 157862-80-1P
157862-83-4P 157862-85-6P 157862-87-8P
157862-89-0P 157862-91-4P 157862-93-6P
157862-94-7P 157862-95-8P 157862-96-9P
157862-98-1P 157863-00-8P 157863-06-4P
157863-08-6P 157863-10-0P 157863-12-2P
157863-14-4P 157863-15-5P 157863-17-7P
157863-20-2P 157863-21-3P 157863-23-5P
157863-99-5P 170985-91-8P 170986-01-3P
170986-02-4P 170986-03-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)
RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



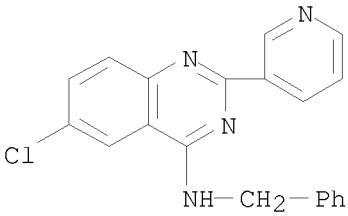
●2 HCl

RN 157862-72-1 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



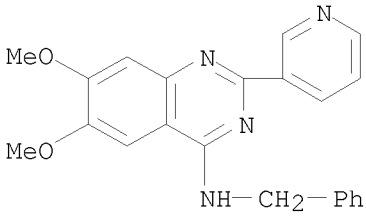
●2 HCl

RN 157862-74-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



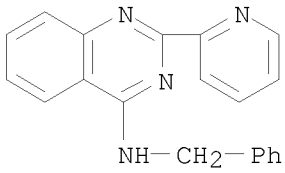
●2 HCl

RN 157862-76-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



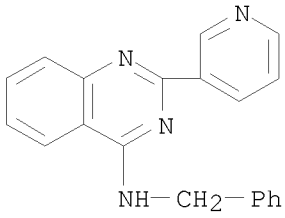
●2 HCl

RN 157862-78-7 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



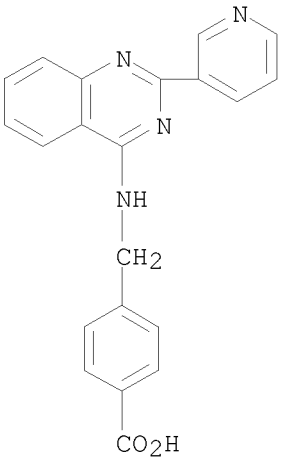
●2 HCl

RN 157862-80-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

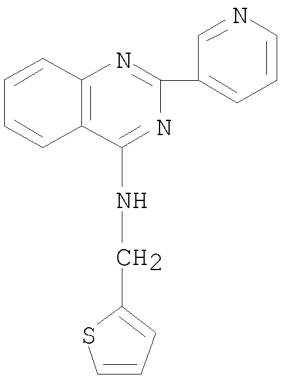


●2 HCl

RN 157862-83-4 CAPLUS
CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

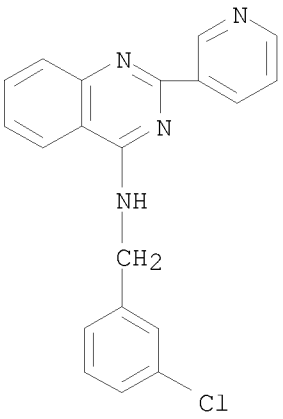


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



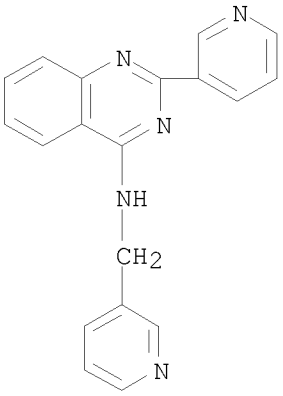
●2 HCl

RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



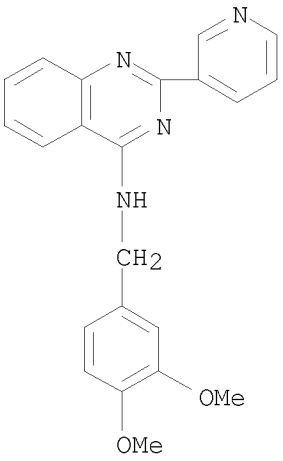
●2 HCl

RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)



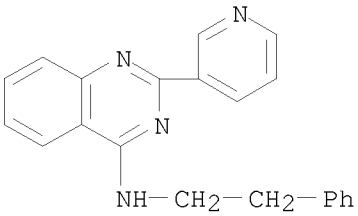
●3 HCl

RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



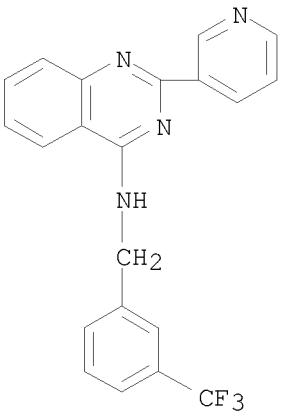
● 2 HCl

RN 157862-93-6 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



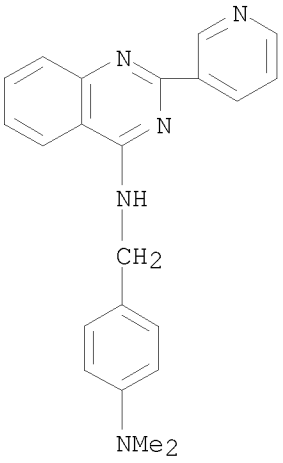
● 2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



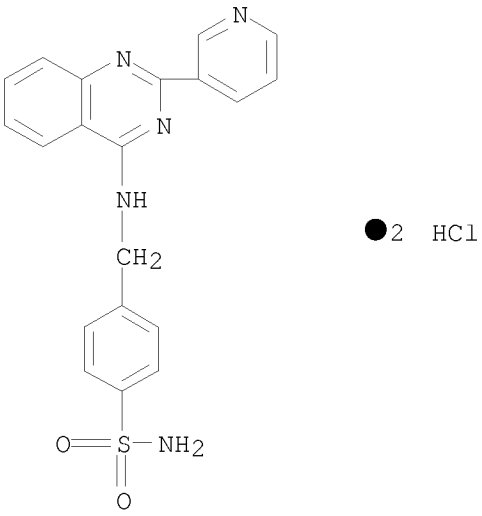
●2 HCl

RN 157862-95-8 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



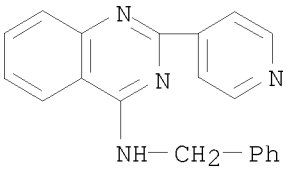
●3 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



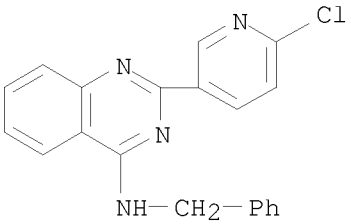
●2 HCl

RN 157862-98-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

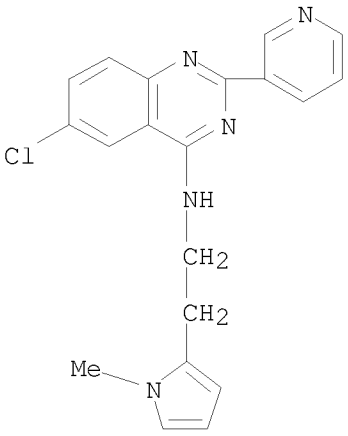


●2 HCl

RN 157863-00-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)

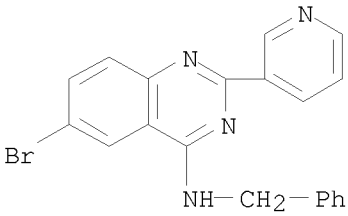


RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



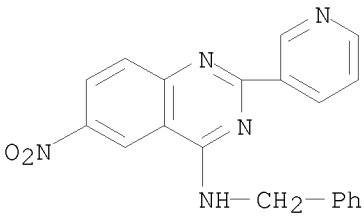
●2 HCl

RN 157863-08-6 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

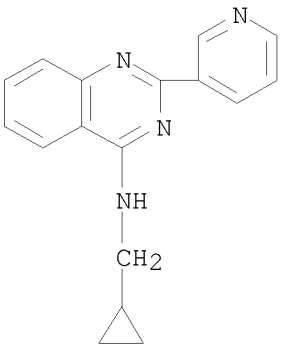
RN 157863-10-0 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

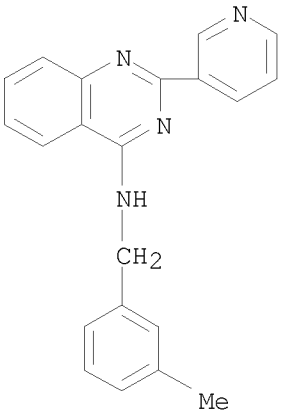
RN 157863-12-2 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



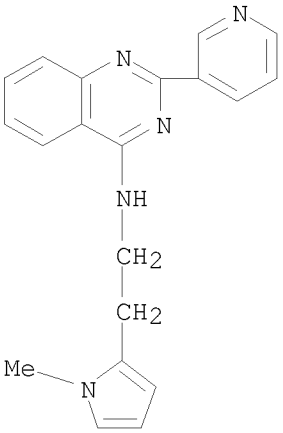
●2 HCl

RN 157863-14-4 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

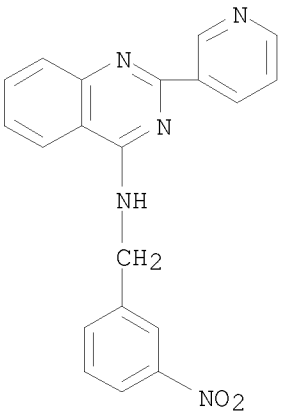


●2 HCl

RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

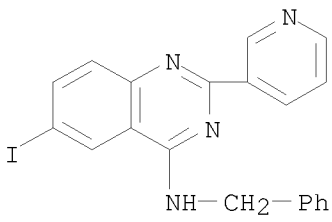


RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



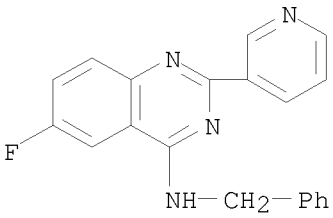
●2 HCl

RN 157863-20-2 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



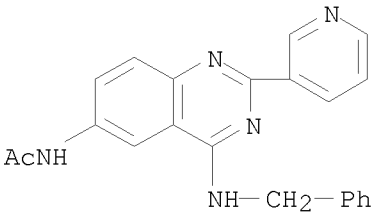
●2 HCl

RN 157863-21-3 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

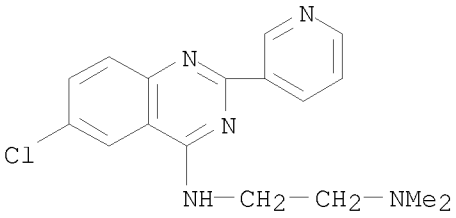


●2 HCl

RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)

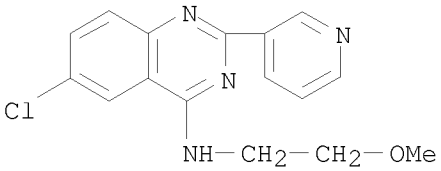


RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



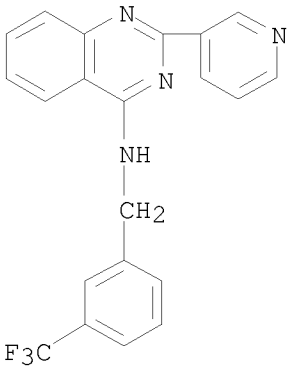
●3 HCl

RN 170985-91-8 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

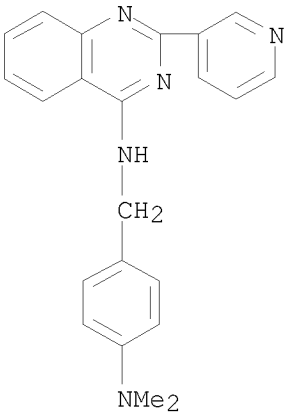


● 2 HCl

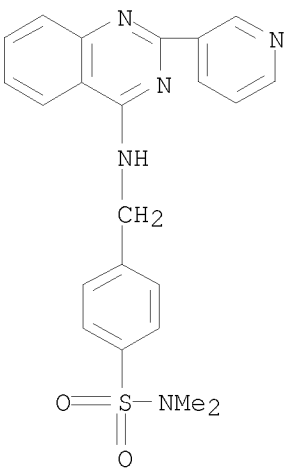
RN 170986-01-3 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-
(CA INDEX NAME)



RN 170986-02-4 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-
(CA INDEX NAME)



RN 170986-03-5 CAPLUS
CN Benzenesulfonamide, N,N-dimethyl-4-[[[2-(3-pyridinyl)-4-
quinazolinyl]amino]methyl]- (CA INDEX NAME)

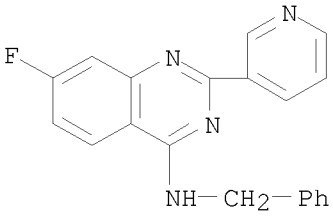


OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:746792 CAPLUS
DOCUMENT NUMBER: 123:132021
ORIGINAL REFERENCE NO.: 123:23145a,23148a
TITLE: Discovery of Potent Cyclic GMP Phosphodiesterase Inhibitors. 2-Pyridyl- and 2-Imidazolylquinazolines Possessing Cyclic GMP Phosphodiesterase and Thromboxane Synthesis Inhibitory Activities
AUTHOR(S): Lee, Sung J.; Konishi, Yoshitaka; Yu, Dingwei T.; Miskowski, Tamara A.; Riviello, Christopher M.; Macina, Orest T.; Frierson, Manton R.; Kondo, Kigen; Sugitani, Masafumi; et al.
CORPORATE SOURCE: Biofor Inc., Waverly, PA, 18471, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3547-57
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Moderate cyclic GMP phosphodiesterase (cGMP-PDE, PDE V) inhibitor 2-phenyl-4-anilinoquinazoline (I) was identified utilizing MultiCASE assisted drug design (MCADD) technol. Modification of I was conducted at the 2-, 4-, and 6-positions of the quinazoline ring for enhancement of cGMP-PDE inhibitory activity. The 6-substituted 2-(imidazol-1-yl)quinazolines are 1000 times more potent in in vitro PDE V enzyme assay than the well-known inhibitor zaprinast. The 6-substituted derivs. of 2-(3-pyridyl)quinazoline and 2-(imidazol-1-yl)quinazoline exhibited more than 1000-fold selectivity for PDE V over the other four PDE isoenzymes. In addition, 3 cGMP-PDE inhibitors were found to have an addnl. property of thromboxane synthesis inhibitory activity.
IT 157862-70-9P 157862-72-1P 157862-74-3P
157862-78-7P 157862-79-8P 157862-85-6P
157862-89-0P 157862-93-6P 157862-97-0P
157863-10-0P 157863-12-2P 166039-50-5P
166039-51-6P 166039-52-7P 166039-53-8P
166039-57-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

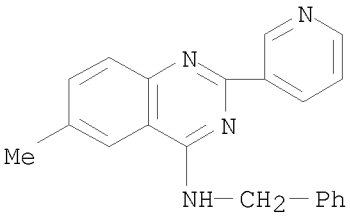
study); PREP (Preparation)
(pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)

RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



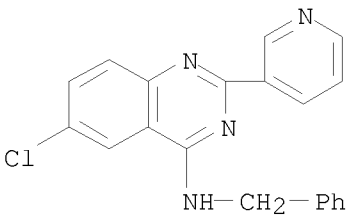
●2 HCl

RN 157862-72-1 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

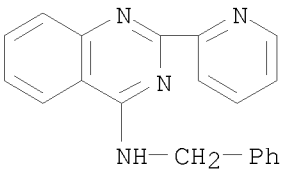
RN 157862-74-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

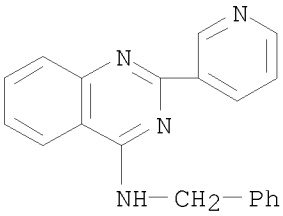
RN 157862-78-7 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2)

(CA INDEX NAME)

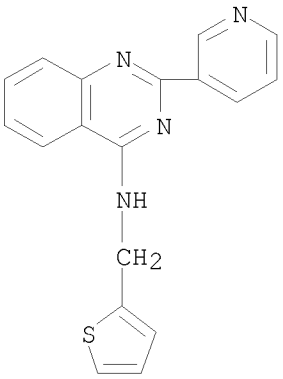


● 2 HCl

RN 157862-79-8 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

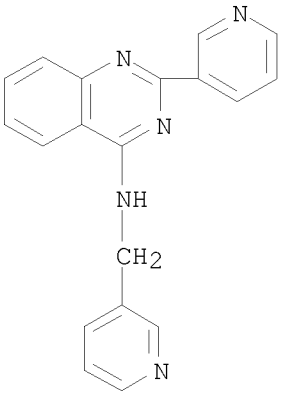


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



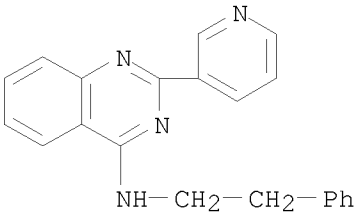
● 2 HCl

RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)



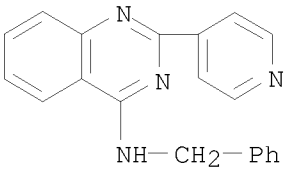
●3 HCl

RN 157862-93-6 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

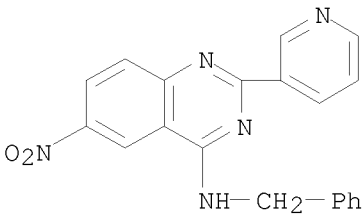


●2 HCl

RN 157862-97-0 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)

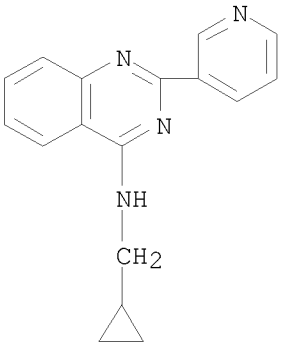


RN 157863-10-0 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



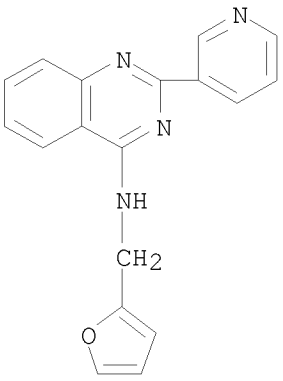
● 2 HCl

RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



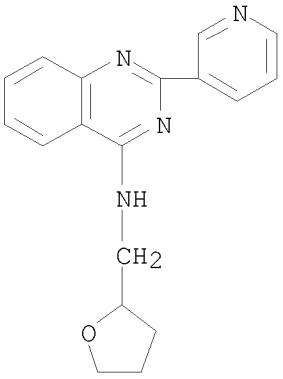
● 2 HCl

RN 166039-50-5 CAPLUS
CN 4-Quinazolinamine, N-(2-furanylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



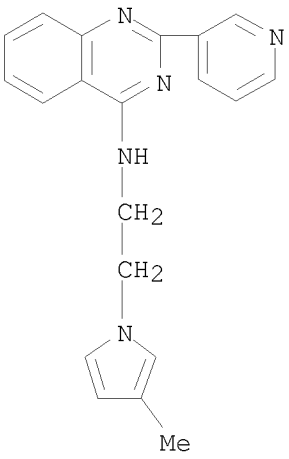
●2 HCl

RN 166039-51-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[(tetrahydro-2-furanyl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

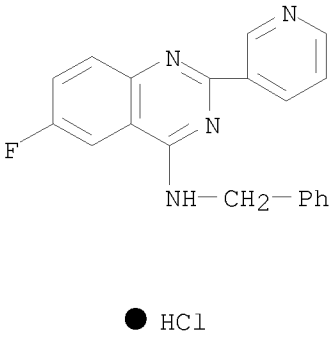


●2 HCl

RN 166039-52-7 CAPLUS
CN 4-Quinazolinamine, N-[2-(3-methyl-1H-pyrrol-1-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

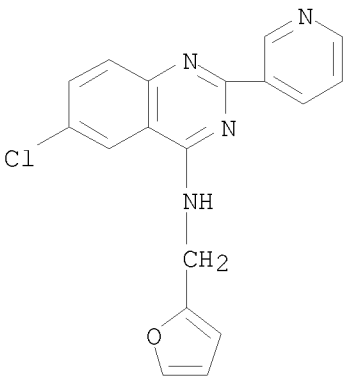


RN 166039-53-8 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 166039-57-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-furanylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



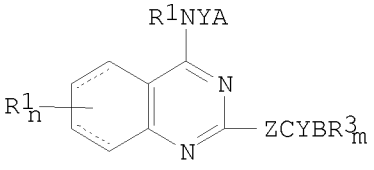
●2 HCl

OS.CITING REF COUNT: 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

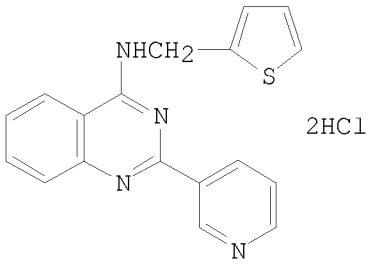
L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:605373 CAPLUS
DOCUMENT NUMBER: 121:205373
ORIGINAL REFERENCE NO.: 121:37397a,37400a
TITLE: 4-aminoquinazoline derivatives, and their use as medicine
INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest Taras; Kondo, Kigen; Yu, Dingwei Tim
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <--
EP 579496	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473 A	19920715 <--
			US 1993-76431 A	19930614 <--
OTHER SOURCE(S):	MARPAT 121:205373			

GI



I



II

AB The title compds. I wherein R1 is H or alkyl; Y is bond or alkylene; A is (i) -CyAR2, (ii) -OR0 or -S(O)pR0, R0 = H, alkyl, etc., p is 0-2, (iii) -NR16R17, R16, R17 are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R2 is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR5, in which R5 is H or alkyl, (5) -NR6R7, R6, R7 are H, alkyl, (6) -SO2NR6R7, (7) halogen, (8) CF3, (9) NO2 or (10) CF3O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring;

R3 is H, alkyl, alkoxy, halogen or CF3; R4 is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA2 synthetase. Thus, a representative prepared compound II had inhibitory activity IC50 of 3.6 x 10-7 on cGMP-PDE.

IT 157862-69-6P 157862-70-9P 157862-71-0P
157862-72-1P 157862-73-2P 157862-74-3P
157862-75-4P 157862-76-5P 157862-77-6P
157862-78-7P 157862-79-8P 157862-80-1P
157862-83-4P 157862-84-5P 157862-85-6P
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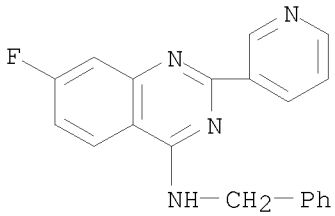
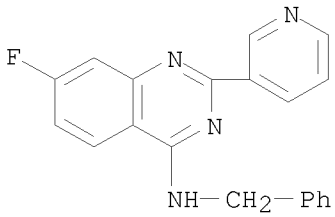
157863-20-2P 157863-21-3P 157863-23-5P
157863-98-4P 157863-99-5P 157864-02-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiovascular agents)

RN 157862-69-6 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

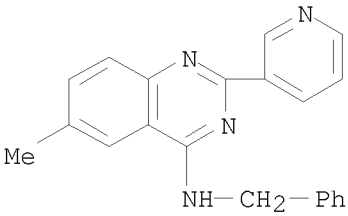


RN 157862-70-9 CAPLUS
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

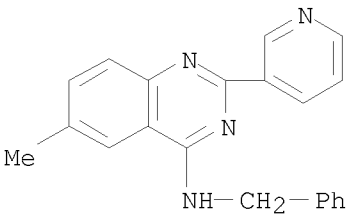


●2 HCl

RN 157862-71-0 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

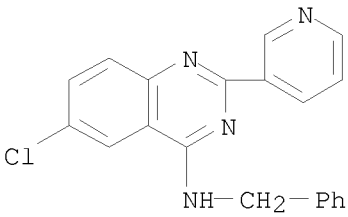


RN 157862-72-1 CAPLUS
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

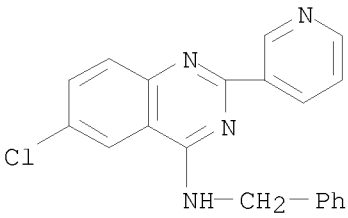


●2 HCl

RN 157862-73-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

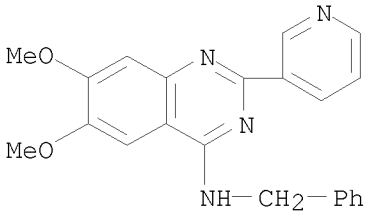


RN 157862-74-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

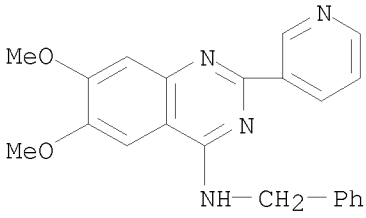


●2 HCl

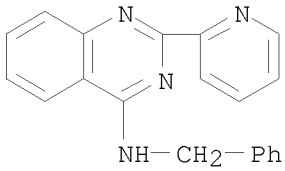
RN 157862-75-4 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



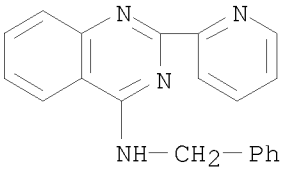
RN 157862-76-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



RN 157862-77-6 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)

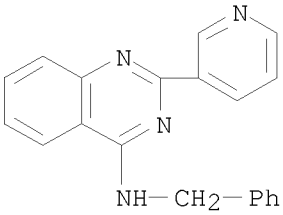


RN 157862-78-7 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

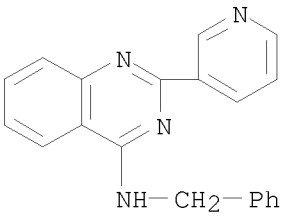


● 2 HCl

RN 157862-79-8 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

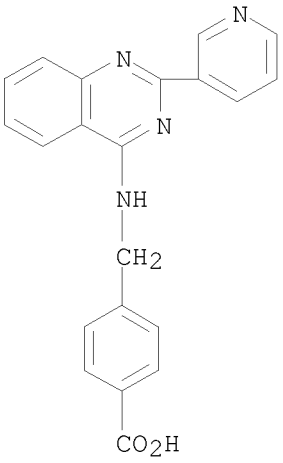


RN 157862-80-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

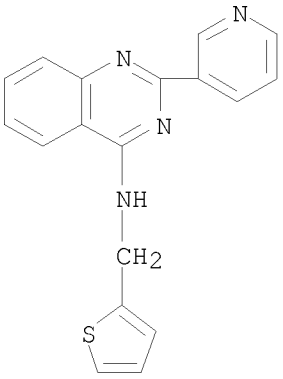


● 2 HCl

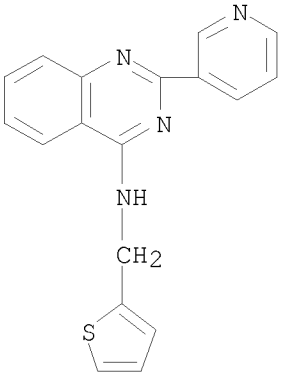
RN 157862-83-4 CAPLUS
CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)



RN 157862-84-5 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

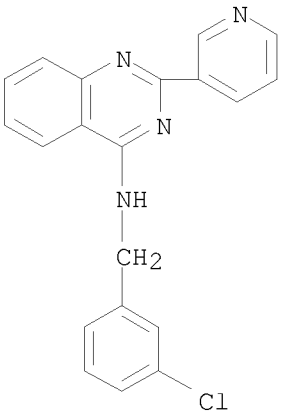


RN 157862-85-6 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

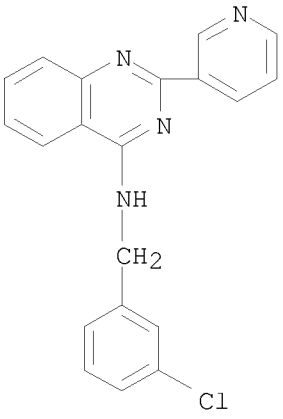


●2 HCl

RN 157862-86-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

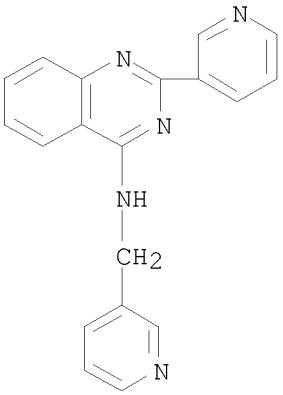


RN 157862-87-8 CAPLUS
CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

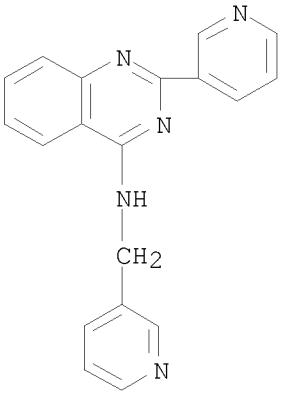


● 2 HCl

RN 157862-88-9 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

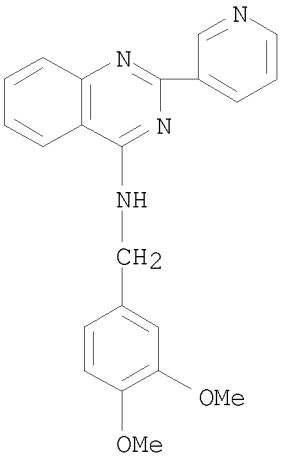


RN 157862-89-0 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)

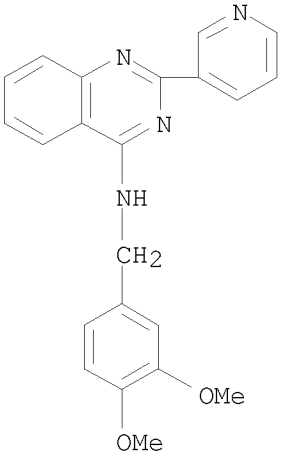


●3 HCl

RN 157862-90-3 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

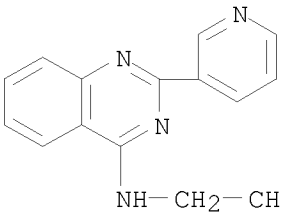


RN 157862-91-4 CAPLUS
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



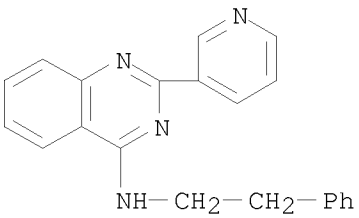
●2 HCl

RN 157862-92-5 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



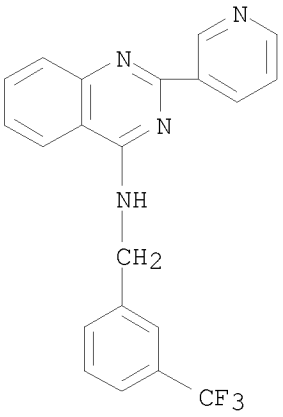
RN 157862-93-6 CAPLUS
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)

(CA INDEX NAME)



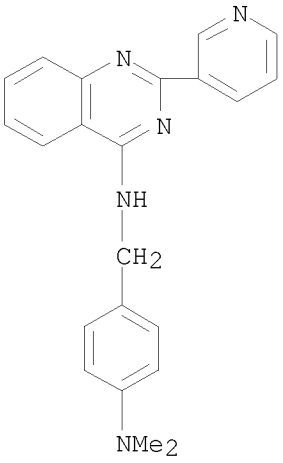
●2 HCl

RN 157862-94-7 CAPLUS
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



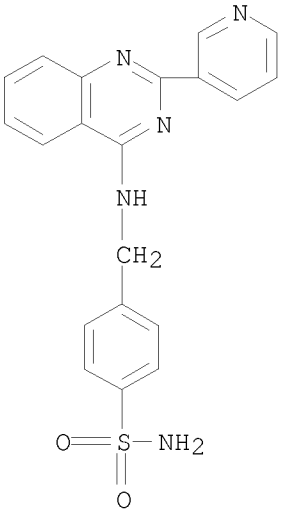
●2 HCl

RN 157862-95-8 CAPLUS
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



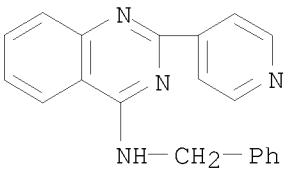
●3 HCl

RN 157862-96-9 CAPLUS
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



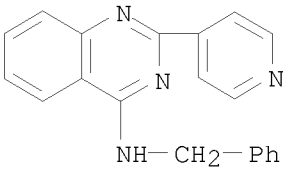
●2 HCl

RN 157862-97-0 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



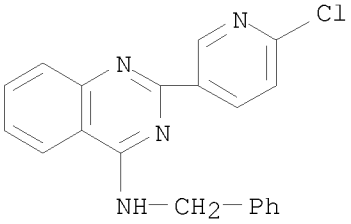
RN 157862-98-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2)

(CA INDEX NAME)

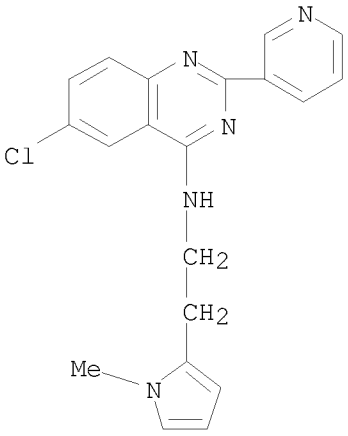


●2 HCl

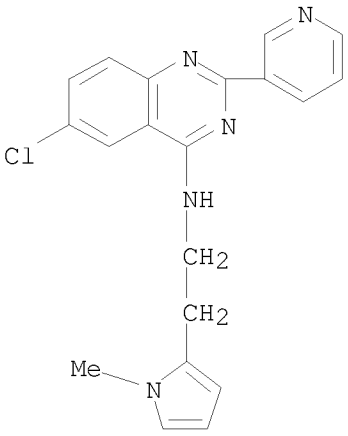
RN 157863-00-8 CAPLUS
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 157863-05-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

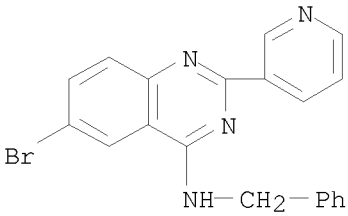


RN 157863-06-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

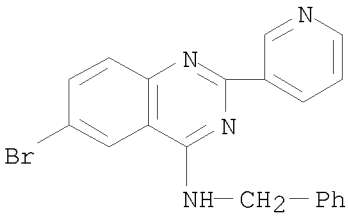


●2 HCl

RN 157863-07-5 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

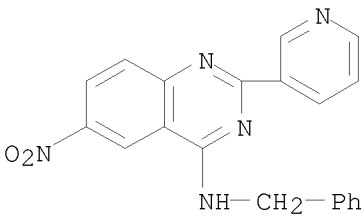


RN 157863-08-6 CAPLUS
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

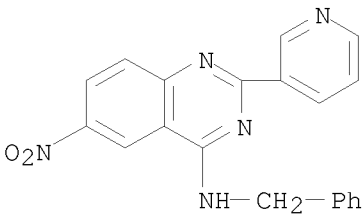


●2 HCl

RN 157863-09-7 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

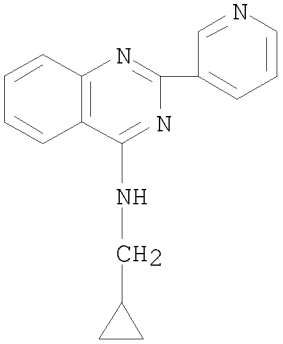


RN 157863-10-0 CAPLUS
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

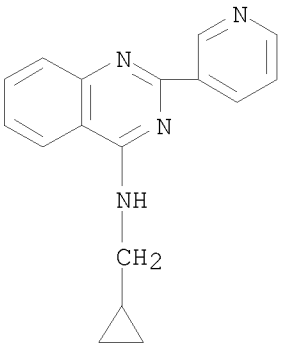


● 2 HCl

RN 157863-11-1 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

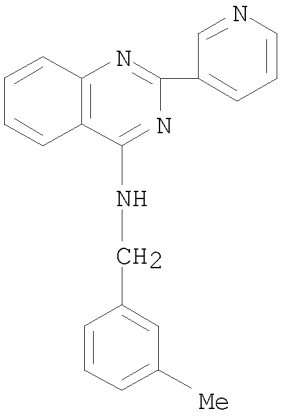


RN 157863-12-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

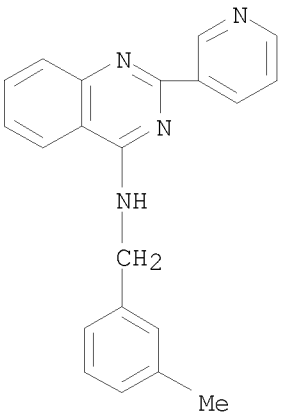


●2 HCl

RN 157863-13-3 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

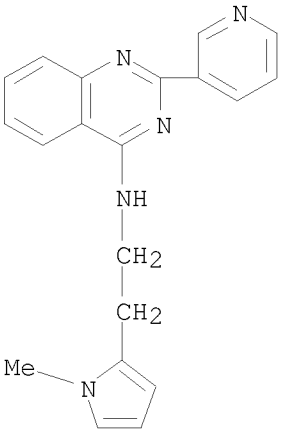


RN 157863-14-4 CAPLUS
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

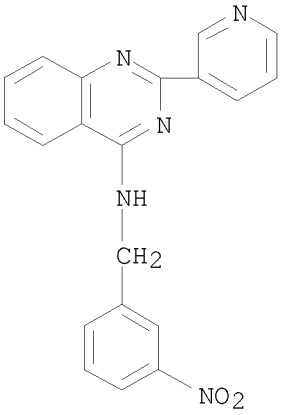


●2 HCl

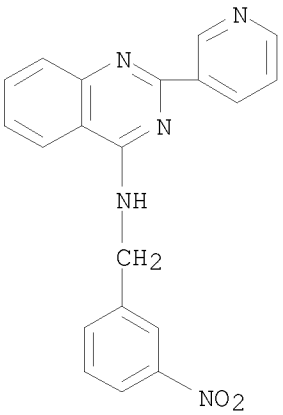
RN 157863-15-5 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-16-6 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

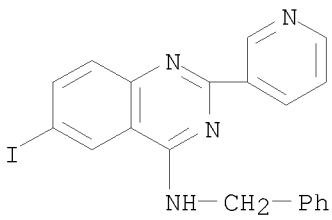


RN 157863-17-7 CAPLUS
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



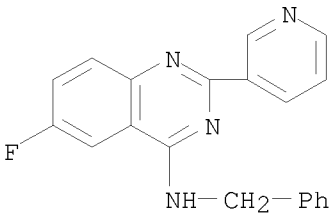
●2 HCl

RN 157863-20-2 CAPLUS
CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



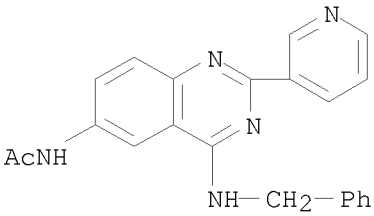
●2 HCl

RN 157863-21-3 CAPLUS
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

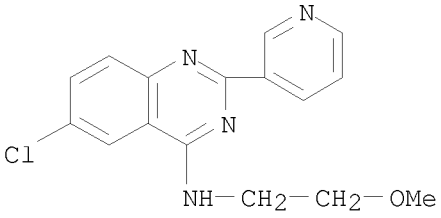


● 2 HCl

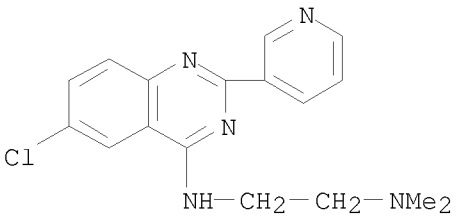
RN 157863-23-5 CAPLUS
CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)



RN 157863-98-4 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

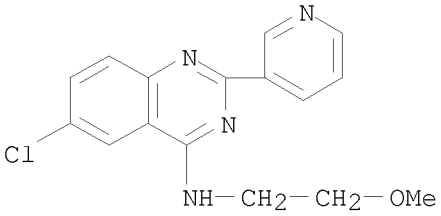


RN 157863-99-5 CAPLUS
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

RN 157864-02-3 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

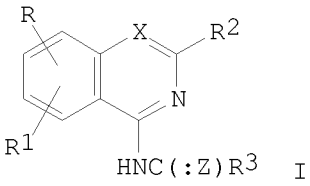
OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:523502 CAPLUS
DOCUMENT NUMBER: 103:123502
ORIGINAL REFERENCE NO.: 103:19757a,19760a
TITLE: Quinazoline and isoquinoline derivatives
INVENTOR(S): Timmerman, Hendrik; Van der Goot, Henderikus
PATENT ASSIGNEE(S): AKZO N. V. , Neth.
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 135975	A2	19850403	EP 1984-201386	19840928 <--
EP 135975	A3	19850612		
EP 135975	B1	19880914		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
WO 8501501	A1	19850411	WO 1984-EP312	19840928 <--
W: AU, DK, JP, US				
AU 8435518	A	19850423	AU 1984-35518	19840928 <--
AU 572585	B2	19880512		
ZA 8407673	A	19850529	ZA 1984-7673	19840928 <--

JP 61500019	T	19860109	JP 1984-503906		19840928 <--
AT 37183	T	19880915	AT 1984-201386		19840928 <--
CA 1255674	A1	19890613	CA 1984-464249		19840928 <--
US 4694000	A	19870915	US 1984-679000		19841206 <--
DK 8406043	A	19850411	DK 1984-6043		19841217 <--
PRIORITY APPLN. INFO.:			NL 1983-3328	A	19830929 <--
			EP 1984-201386	A	19840928 <--
			WO 1984-EP312	A	19840928 <--

OTHER SOURCE(S): MARPAT 103:123502
GI



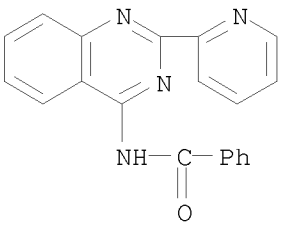
I

AB Quinazolines and isoquinolines I (R, R1 = H, alkyl, alkoxy, halo, F3C; R2 = (un)substituted 2-pyridyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = N, CH; Z = O, NH), useful as bactericides, protozoacides, and inhibitors of Mycoplasma (no data) were prepared Thus, 2-H2NC6H4CONH2 was treated with 2-pyridinecarbonitrile to give 61% 4-amino-2-(2-pyridyl)quinazoline which was acylated with Ac2O to give 23% I (R = R1 = H, R2 = 2-pyridyl, R3 = Me, X = N, Z = O). The microbicidal activities of I are increased by the addition of Cu salts (no data).

IT 91748-44-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)

RN 91748-44-6 CAPLUS

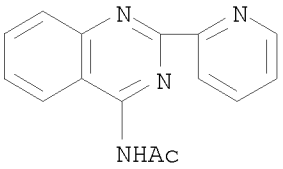
CN Benzamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



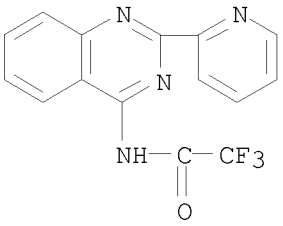
IT 91748-43-5P 91748-46-8P 91748-48-0P
91748-50-4P 91748-51-5P 91748-52-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 91748-43-5 CAPLUS

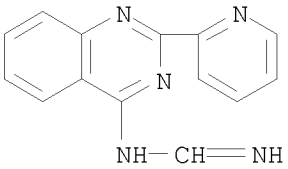
CN Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



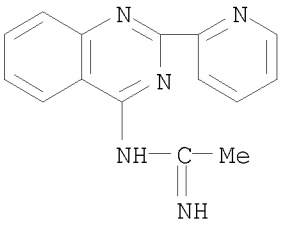
RN 91748-46-8 CAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



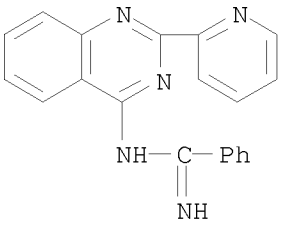
RN 91748-48-0 CAPLUS
CN Methanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



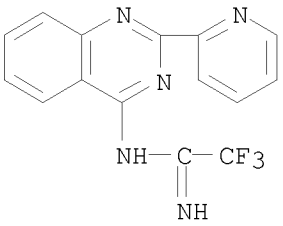
RN 91748-50-4 CAPLUS
CN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 91748-51-5 CAPLUS
CN Benzenecarboximidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

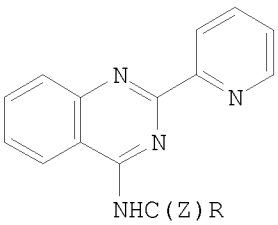


RN 91748-52-6 CAPLUS
CN Ethanimidamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:510863 CAPLUS
DOCUMENT NUMBER: 101:110863
ORIGINAL REFERENCE NO.: 101:16933a,16936a
TITLE: Synthesis and copper dependent antimycoplasmal activity of quinazolinylamidines and amides: a case of concentration quenching
AUTHOR(S): Linschoten, Marcel R.; Gaisser, H. Dieter; Van der Goot, Hendricks; Timmerman, Hendrick
CORPORATE SOURCE: Dep. Pharmacochem., Vrije Univ., Amsterdam, 1081 HV, Neth.
SOURCE: European Journal of Medicinal Chemistry (1984), 19(2), 137-42
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:110863
GI



I

AB The title compds. I (R = H, Me, Ph, CF3, 2-pyridyl, Z = NH; R = Me, Ph, CF3, Z = O) were prepared from the amine or from the chloroquinoline. In

the absence of Cu, I (R = Me, Ph, CF3, Z = NH) showed concentration quenching of their antimycoplasmal activity, i.e. decreasing toxicity with increasing concentration The presence of 10 μg Cu/mL enhanced the activity of I manyfold. In the presence of Cu I, except I (R = H, Z = NH), were more effective than tylosin.

IT 91748-42-4P 91748-43-5P 91748-46-8P
91748-48-0P 91748-50-4P 91748-51-5P
91748-52-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antimycoplasmal activity of, copper presence effect on)

RN 91748-42-4 CAPLUS
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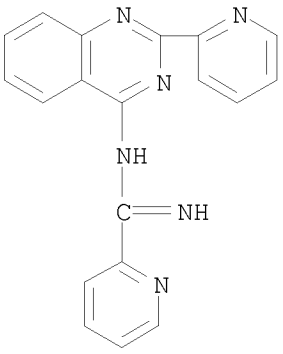

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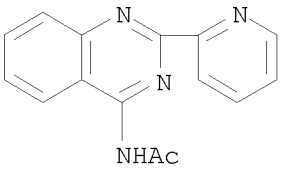

RN 91748-46-8 CAPLUS
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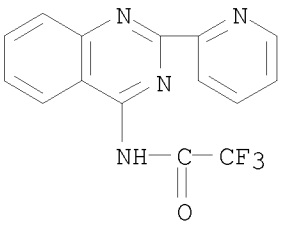

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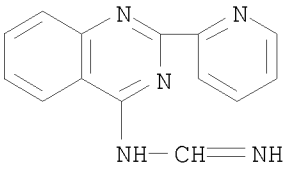

RN 91748-50-4 CAPLUS
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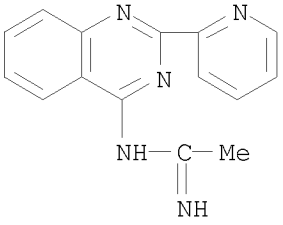

RN 91748-51-5 CAPLUS
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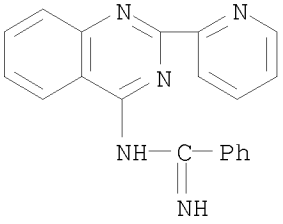
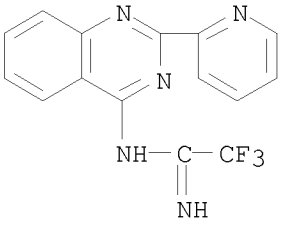
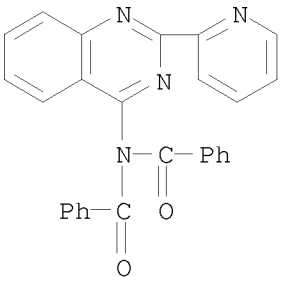


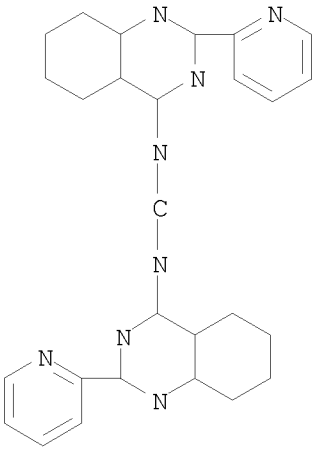
RN 91748-52-6 CAPLUS
CN Ethanimidamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



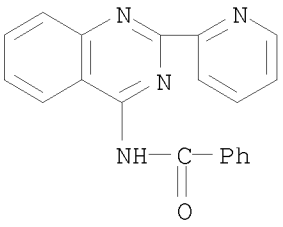
IT 91748-45-7P 91748-49-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 91748-45-7 CAPLUS
CN Benzamide, N-benzoyl-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 91748-49-1 CAPLUS
CN Methanimidamide, N,N'-bis[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT 91748-44-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, chlorination-ammoniation, and antimycoplasmal activity of)
RN 91748-44-6 CAPLUS
CN Benzamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:419205 CAPLUS
DOCUMENT NUMBER: 69:19205
ORIGINAL REFERENCE NO.: 69:3623a,3626a
TITLE: 4-Aminopyrimidines

INVENTOR(S): Blatter, Herbert M.
PATENT ASSIGNEE(S): CIBA Corp.
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3340260		19670905	US 1966-591700	19630919 <--

GI For diagram(s), see printed CA Issue.

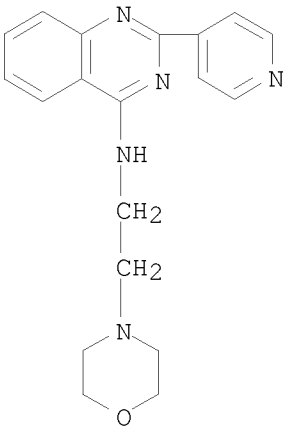
AB The title compds. (I), useful analgesic agents, are prepared Thus, 60 ml. absolute EtOH was added to a solution of 4.76 g. 4-mercapto-2-phenylquinazoline (II) in 60 ml. 2-morpholinoethylamine (III) and the mixture refluxed 2 hrs. to give 4-(2-morpholinoethylamino)-2-phenylquinazoline, (IIIa), m. 139-40.5°; IIIa.2HCl.1.5H2O m. 285° (decomposition). A mixture of 23.9 g. N-phenylbenzamide and 22 g. SOCl2 was refluxed 6 hrs. to give 19.5 g. N-phenylbenzimidoyl chloride (IV), m. 40°. A mixture of 47.5 g. IV and 97 g. Pb(NCS)2 in 500 ml. benzene was refluxed 2 hrs., the mixture worked up, treated with 500 ml. toluene, and refluxed 24 hrs. to give II, m. 226-8°. II was also prepared by refluxing a mixture of 4.4 g. 2-phenylquinazol-4-one and 4.4 g. P4S5 in 50 ml. xylene for 2 hrs. Absolute EtOH (15 ml.) was added to a mixture of 1.19 g. II and 15 ml. of 2-(N,N-diethylamino)ethylamine and refluxed 4 hrs. to give 4-[2-(N,N-diethylamino)ethyl]amino-2-phenylquinazoline-2HCl, m. 269-7°. A mixture of 1.34 g. 4-mercapto-6-methoxy-2-phenylquinazoline and 10 ml. III was refluxed 2 hrs. to give 6-methoxy-4-(2-morpholinoethylamino)-2-phenylquinazoline, m. 182-4°. A mixture of 81 g. N-(4-methoxyphenyl)benzamide and 50 ml. SOCl2 was refluxed 6 hrs. to give N-(4-methoxyphenyl)-benzimidoyl chloride (V), 57-60°. A mixture of 13 g. V and 16 g. Pb(NCS)2 in 200 ml. benzene was treated as above to give 6-methoxy-4-mercapto-2-phenylquinazoline, m. 233-5°. A solution of 1.19 g. II in 15 ml. 2-(N,N-dimethylamino)ethylamine was treated with 15 ml. EtOH and the mixture refluxed 4 hrs. The mixture was worked up and treated with a solution of HCl in isopropanol to give 4-[2-(N,N-dimethylamino)ethyl]amino-2-phenyl quinazoline-2HCl (VI); VI.2HCl.1.5H2O m. 272-4°. A solution of 2.38 g. II in 15 ml. 2-piperidinoethylamine was treated with 15 ml. EtOH and the mixture refluxed 4 hrs. to give 4-(2-piperidino-ethylamino)-2-phenylquinazoline (VII), m. 120-2°. Similarly prepared were the following I (R, R1, n, R2, and m.p. given): 7-F, Ph, 2, morpholino, 124-6°; H, Ph, 2, Pr2N, 118-19°; H, 3,4,5-(MeO)3C6H2, 2, morpholino, 229-30°; 2-Ph, H, 2, piperazino, 235-7°; 6-benzyloxy, Ph, 2, morpholino, 124-6°; 8-F, Ph, 2, morpholino, 142-4°; 2-Ph, H, 2, pyrrolidino, 92-4°; 7-F, 2-ClC6H4, 2, morpholino, 131-3°; H, 2-thienyl, 2, morpholino, 150-2°. A solution of 6-benzyloxy-4-[N-(2-morpholinoethyl)amino]-2-phenylquinazoline in 50 ml. EtOH was treated with H under atmospheric pressure in the presence of 0.5 mg. Pd catalyst containing 10% Pd-C to give 6-hydroxy-4-[N-(2-morpholinoethyl)amino]-2-phenylquinazoline, m. 250-3°. A mixture of 0.7 g. 4-mercapto-2-(4-pyridyl)quinazoline and 10 ml. III in 10 ml. EtOH was refluxed 4 hrs. to give 4-[N-(2-morpholinoethyl)amino]-2-(4-pyridyl)-quinazoline, m. 163-5°. A mixture of 10.7 g. 4-pyridinecarboxaldehyde and 13.6 g. anthranilamide in 100 ml. EtOH was refluxed 15 min. to give 2-(4-pyridylmethylimino)benzamide (VIII), m. 178-81°. A solution of 12 g. VIII in 240 ml. EtOH was treated with 24 ml. 2N aqueous solution NaOH and the mixture refluxed 16 hrs. to give 2-(4-pyridyl)-3,4-dihydroquinazolin-4-one (IX), m. 280-2°. A mixture

of 0.5 g. IX and 0.5 g. P4S5 in 100 ml. xylene was refluxed 2 hrs. and 15 ml. 2N aqueous solution NaOH was added to give 4-mercapto-2-(4-pyridyl)quinazoline, m. 236-8°. Similarly prepared was 2-(2-chlorophenyl)-4-[N-(2-morpholinoethyl)amino]quinazoline, m. 114-16°. Treatment of 2-[(2-chlorophenyl)methylimino]benzamide with NaOH gave 2-(2-chlorophenyl)-3,4-dihydroquinazolin-4-ol (X). Oxidation of X with KMnO4 gave 2-(2-chlorophenyl)-3,4-dihydroquinazolin-4-one, m. 176-8°, which was then treated with P4S5 in xylene to give 2-(2-chlorophenyl)-4-mercaptoquinazoline, m. 208-10°. A mixture of 1.1 g. 4-[N-(2-morpholinoethyl)amino]-2-phenylquinazoline in 10 ml. propionic acid anhydride was treated with 4 drops pyridine and the mixture refluxed 2 hrs. to give 4-[N-(2-morpholinoethyl)amino]-N-propionyl-2-phenylquinazoline, m. 100-3°.

IT 18590-70-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 18590-70-0 CAPLUS

CN 4-Quinazolinamine, N-[2-(4-morpholinyl)ethyl]-2-(4-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	107.00	293.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.76	-14.76

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.26	294.36

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STRUCTURE FILE UPDATES:   30 SEP 2009  HIGHEST RN 1186813-44-4
DICTIONARY FILE UPDATES:  30 SEP 2009  HIGHEST RN 1186813-44-4

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http://www.cas.org/support/stngen/stndoc/properties.html

=> fil stnguide
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CA SUBSCRIBER PRICE                                    0.00     -14.76

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 25, 2009 (20090925/UP).

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CA SUBSCRIBER PRICE                                    0.00     -14.76

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STRUCTURE FILE UPDATES: 30 SEP 2009 HIGHEST RN 1186813-44-4
DICTIONARY FILE UPDATES: 30 SEP 2009 HIGHEST RN 1186813-44-4

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  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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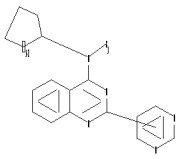

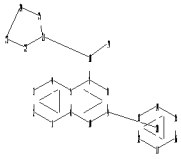

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normalized bonds :
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containing 1 : 11 :

G1:H,Ak

Match level :
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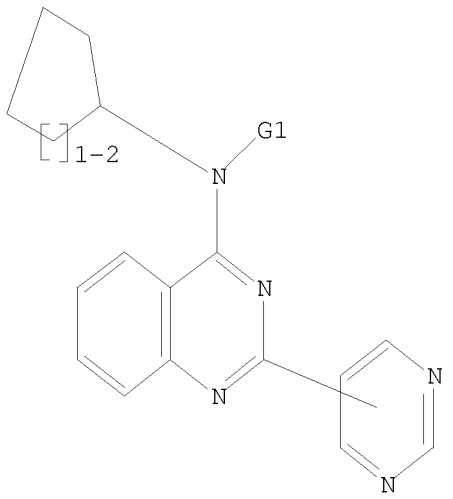

G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED   1286 ITERATIONS   4 ANSWERS
SEARCH TIME: 00.00.01

L6   4 SEA SSS FUL L5

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COST IN U.S. DOLLARS   SINCE FILE   TOTAL
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FULL ESTIMATED COST   185.88   481.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)   SINCE FILE   TOTAL
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CA SUBSCRIBER PRICE   0.00   -14.76

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FILE LAST UPDATED: 30 Sep 2009 (20090930/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

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of databases have been updated to include new citing references
information. This enhancement may impact record import into
database management software. For additional information, refer
to NEWS 9.

=> d his

     (FILE 'HOME' ENTERED AT 18:07:24 ON 01 OCT 2009)

     FILE 'REGISTRY' ENTERED AT 18:07:33 ON 01 OCT 2009
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L2   16 S L1
L3   467 S L1 SSS FULL

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L4   18 S L3 AND (PRY<2004 OR PY<2004)

     FILE 'STNGUIDE' ENTERED AT 18:09:07 ON 01 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:19:56 ON 01 OCT 2009

     FILE 'STNGUIDE' ENTERED AT 18:19:59 ON 01 OCT 2009

     FILE 'REGISTRY' ENTERED AT 18:22:29 ON 01 OCT 2009
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L6   4 S L5 SSS FULL

     FILE 'CAPLUS' ENTERED AT 18:22:55 ON 01 OCT 2009

=> s l6
L7   1 L6

=> d 1 ibib abs hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902403 CAPLUS
DOCUMENT NUMBER: 141:374752
TITLE: Heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in the treatment of kinase-dependent diseases
INVENTOR(S): Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.; Schnepp, Kevin; Shi, Xian; Nuss, John
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092196	A2	20041028	WO 2004-US10858	20040408
WO 2004092196	A3	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230928	A1	20041028	AU 2004-230928	20040408
CA 2520323	A1	20041028	CA 2004-2520323	20040408
EP 1610774	A2	20060104	EP 2004-749893	20040408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006523238	T	20061012	JP 2006-509820	20040408
US 20070161651	A1	20070712	US 2005-552426	20051007
PRIORITY APPLN. INFO.:			US 2003-461446P	P 20030409
			WO 2004-US10858	A 20040408

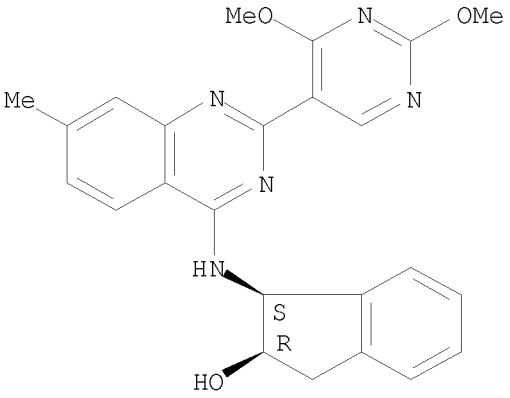
OTHER SOURCE(S): MARPAT 141:374752

AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.

IT 781615-68-7 781615-79-0 781615-81-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

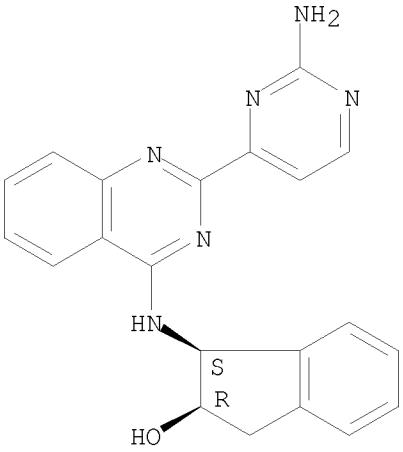
RN 781615-68-7 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-7-methyl-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



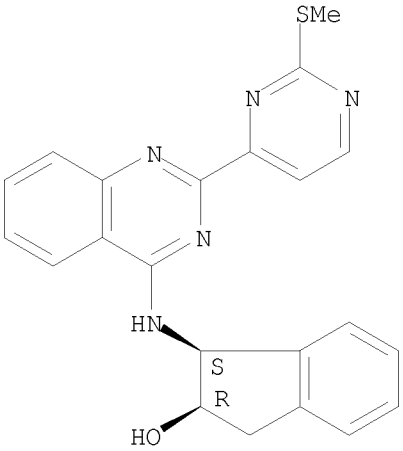
RN 781615-79-0 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



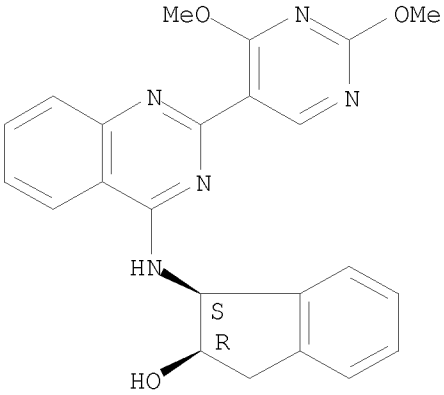
RN 781615-81-4 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-[2-(methylthio)-4-pyrimidinyl]-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 781615-97-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)
RN 781615-97-2 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



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